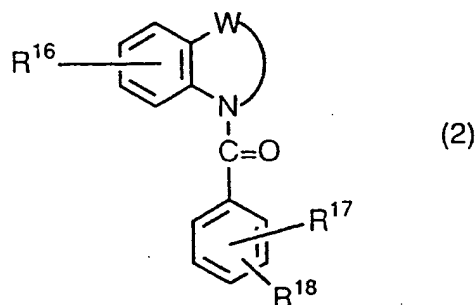
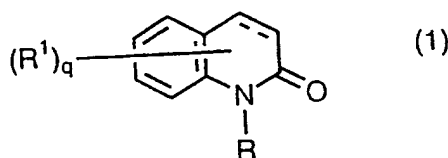




## INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

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<b>(21) International Application Number:</b> PCT/JP93/00835 <b>(22) International Filing Date:</b> 22 June 1993 (22.06.93) <b>(30) Priority data:</b> 4/175563                      2 July 1992 (02.07.92)                      JP 4/175566                      2 July 1992 (02.07.92)                      JP <b>(71) Applicant (for all designated States except US):</b> OTSUKA PHARMACEUTICAL COMPANY, LIMITED [JP/JP]; 9, Kandatsukasa-cho 2-chome, Chiyoda-ku, Tokyo 101 (JP). <b>(72) Inventors; and</b> <b>(75) Inventors/Applicants (for US only) :</b> OGAWA, Hidenori [JP/JP]; 25-18, Nakakirai-Aza Nakasenishinoko-shi, Matsushige-cho, Itano-gun, Tokushima 771-02 (JP). MIYAMOTO, Hisashi [JP/JP]; 3-16, Nakakirai-Aza Nakaseteigai, Matsushige-cho, Itano-gun, Tokushima 771-02 (JP). KONDO, Kazumi [JP/JP]; 55-11, Nakakirai-Aza Inamoto, Matsushige-cho, Itano-gun, Tokushima 771-02 (JP). YAMASHITA, Hiroshi [JP/JP]; 57-1, Sasakino-Aza Hachikami, Matsushige-cho, Itano-gun, Tokushima 771-02 (JP). NAKAYA, Kenji [JP/JP]; 48, Kamibekkukita, Kawauchi-cho, Tokushima-shi, Tokushima 771-01 (JP). TANAKA, Michinori [JP/JP]; 211-1, Nakakirai-Aza Inamoto, Matsushige-cho, Itano-gun, Tokushima 771-02 (JP). KITANO, Kazuyoshi [JP/JP]; KAN, Keizo [JP/JP]; 463-10, Kagasuno, Kawauchi-cho, Tokushima-shi, Tokushima 771-01 (JP). TOMINAGA, Michiaki [JP/JP]; 310-6, Takaiso, Kamiita-cho, Itano-gun, Tokushima 771-13 (JP). YABUCHI, Yoichi [JP/JP]; 900-25, Omatsu, Kawauchi-cho, Tokushima-shi, Tokushima 771-01 (JP).		<b>(74) Agents:</b> AOYAMA, Tamotsu et al.; Twin 21 Mid Tower, 1-61, Shiromi 2-chome, Chuo-ku, Osaka-shi, Osaka 540 (JP). <b>(81) Designated States:</b> AU, CA, KR, US, European patent (AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE). <b>Published</b> <i>With international search report.</i>

**(54) Title:** OXYTOCIN ANTAGONIST**(57) Abstract**

Oxytocin antagonist which comprises as an active ingredient the carbostyryl derivative of formula (1) or the benzoheterocyclic compound of formula (2), or a pharmaceutically acceptable salt thereof, which shows excellent oxytocin antagonist activity and hence, is useful in the protection or treatment of oxytocin relating diseases, especially, for treatment of premature delivery, dysmenorrhea, endometritis, or for stopping labour preparatory to Caesarian delivery.

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## D E S C R I P T I O N

## OXYTOCIN ANTAGONIST

Technical Field

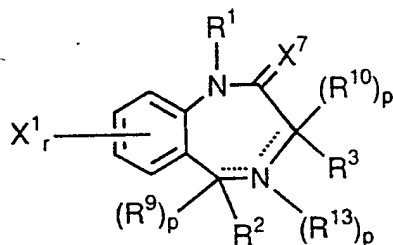
This invention relates to a novel oxytocin antagonist, which has excellent pharmacological activities, for example, inhibitory effect on uterin smooth muscle constriction, inhibitory effect on milk secretion, inhibitory effect on synthesis and secretion of prostaglandin, and vasodilation activity, and hence, are useful in the protection or treatment of oxytocin relating diseases, e.g. premature delivery, dysmenorrhea, endometritis, or in stopping labour preparatory to Caesarian delivery.

Background Art

The carboxytril derivatives of the following formula (1) and the benzoheterocyclic compounds of the following formula (2), which are active ingredients of the present oxytocin antagonist, are known to have vasopressin antagonistic activity (cf. Japanese Patent First Publication (Kokai) No. 173870/1991, and European Patent No. 40097, respectively).

It is known that some heterocyclic compounds have oxytocin antagonistic activity. For example, EP-0421802-A2 discloses that 3-substituted-1,4-benzodiazepines of the following formula are antagonists of oxytocin and are useful in the treatment of preterm labour and dysmenorrhea and for

stoppage of labour preparatory to Caesarian delivery:



wherein  $R^1$  is H,  $C_1$ - $C_6$  alkyl, lower alkenyl, lower alkynyl,  $-X^{12}COOR^6$ ,  $-X^{11}$ -cyclo(lower)alkyl,  $-X^{12}NR^4R^5$ ,  $-X^{12}CONR^4R^5$ ,  $-X^{12}CN$  or  $-X^{11}CX^{10}$ ;  $R^2$  is H, lower alkyl, substituted or unsubstituted phenyl, etc.;  $R^3$  is H,  $-X^{11}NR^{18}X^{11}R^7$ , etc.;  $R^9$  and  $R^{10}$  are each H, OH or  $CH_3$ ;  $R^{13}$  is H, lower alkyl, acyl, O, cyclo(lower)alkyl,  $-X^{11}COX^9X^{11}R^7$  or  $-X^{11}COX^{11}R^7$ ;  $X^1$  is H,  $NO_2$ ,  $CF_3$ , CN, OH, lower alkyl, halo, etc.;  $X^7$  is O, S, NH or  $NR^{15}$ ;  $X^{11}$  is  $C_1$ - $C_4$  alkylidene; and  $X^{12}$  is  $C_1$ - $C_4$  alkyl.

These compounds have a 1,4-benzodiazepine nucleus which is inclusive within the active compounds of the formula (2) of the present invention, but are different in the substituent at 1-position.

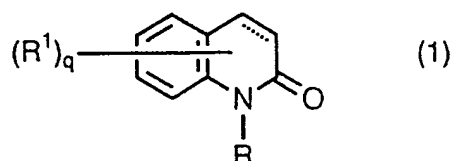
Some other European patent applications disclose also various oxytocin antagonists, such as spiro(indene-piperidine) compounds and analogues thereof (cf. EP-0444945-A2, EP-0450761-A1, and EP-0486280-A2), but these compounds are different from the active compounds of the formulae (1) and (2) of the present invention in the chemical structure.

#### Disclosure of the Invention

The present inventors have intensively studied the carbostyryl derivatives of the formula (1) and the

benzoheterocyclic compounds of the formula (2), and have found that these compounds and pharmaceutically acceptable salts thereof have excellent oxytocin antagonistic activity and have accomplished the present invention.

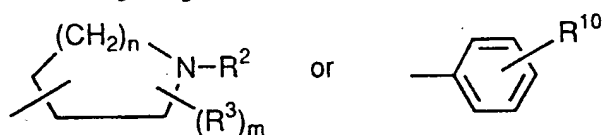
The carbostyryl derivatives, which are one of active ingredients of the oxytocin antagonist of the present invention, have the following formula (1):



wherein  $R^1$  is hydrogen atom; nitro; a lower alkoxy; a lower alkoxy carbonyl; a lower alkyl; a halogen atom; an amino having optionally one or two substituents selected from a lower alkanoyl, a lower alkyl, benzoyl and a phenyl(lower)-alkoxy carbonyl; hydroxy; cyano; carboxy; a lower alkanoyl-oxy; or hydrazinocarbonyl,

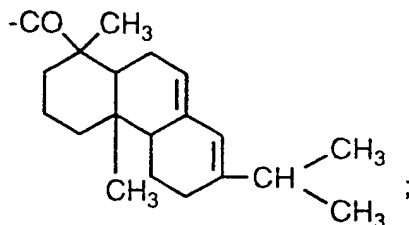
$q$  is an integer of 1 to 3, and

$R$  is a group of the formula:



wherein  $R^2$  is hydrogen atom; a lower alkoxy carbonyl; a phenoxy carbonyl wherein the phenyl ring may optionally be substituted by one to three substituents selected from nitro and an amino having optionally one or two substituents selected from a lower alkanoyl, a lower alkyl and benzoyl; a phenyl(lower)alkenyl carbonyl; a phenyl(lower)alkanoyl wherein the lower alkanoyl moiety may optionally be

substituted by an amino having optionally a lower alkoxy carbonyl substituent; an alkanoyl; an alkenylcarbonyl; a phenylsulfonyl wherein the phenyl ring may optionally be substituted by a lower alkoxy; a group of the formula:  $-\text{CONR}^8\text{R}^9$  (wherein  $\text{R}^8$  and  $\text{R}^9$  are the same or different and are each hydrogen atom or a phenyl which may optionally have one to three substituents selected from a lower alkoxy, a lower alkyl, a halogen atom, an amino having optionally one or two substituents selected from a lower alkyl and a lower alkanoyl, and nitro); a heterocyclic group-substituted carbonyl wherein the heterocyclic group may optionally have one to three substituents selected from a phenyl(lower)-alkoxy carbonyl, a phenyl(lower)alkoxy, oxo, a lower alkyl, and a lower alkyleneedioxy; a group of the formula:



naphthylcarbonyl; a thienyl(lower)alkanoyl; a tricyclo-[3.3.1.1]decanyl(lower)alkanoyl; tricyclo[3.3.1.1]-decanylcarbonyl; or a group of the formula:

$-\text{CO}-\text{C}_6\text{H}_4(\text{R}^{13})_p$  (wherein  $p$  is 0 or an integer of 1 to 3, and  $\text{R}^{13}$  is hydroxy; an alkoxy; an alkoxy which has one or two substituents selected from hydroxy, a lower alkanoyloxy, a tri(lower)alkylammonium, a lower alkoxy, and a group of the formula:  $-\text{NR}^{14}\text{R}^{15}$  (wherein  $\text{R}^{14}$  and  $\text{R}^{15}$  are the same or different and are each hydrogen atom, a lower alkyl, a

hydroxy-substituted lower alkyl, a lower alkanoyl, a tetrahydropyranyl(lower)alkyl, phenyl, a phenyl(lower)alkyl (wherein the alkyl moiety may optionally be substituted by hydroxy and the phenyl ring may optionally be substituted by a lower alkoxy), or a pyridyl(lower)alkyl; or  $R^{14}$  and  $R^{15}$  may bind with the nitrogen atom to which they bond to form a 5- or 6-membered, saturated heterocyclic group which may be intervened or not with nitrogen, oxygen or sulfur atom (wherein the heterocyclic group may optionally be substituted by a member selected from carbamoyl, a lower alkyl, a phenyl(lower)alkyl, phenyl and a hydroxy-substituted lower alkyl)); a carboxy-substituted alkoxy; a halogen-substituted lower alkoxy; a lower alkoxy-carbonyl-substituted alkoxy; a lower alkanoyloxy-substituted lower alkoxy; a lower alkenyloxy-substituted lower alkoxy; a lower alkoxy(lower)alkoxy; a lower alkylsulfonyloxy-substituted lower alkoxy; a benzoyloxy-substituted lower alkoxy; tricyclo[3.3.1.1]decanyl-substituted lower alkoxy; a lower alkoxy(lower)alkoxy which is substituted by one or two substituents selected from hydroxy and an amino being optionally substituted by a lower alkyl; a morpholinyl-substituted lower alkoxy which may optionally be substituted by a lower alkyl or oxo; a benzimidazolylthio-substituted lower alkoxy; a benzimidazolylsulfinyl-substituted lower alkoxy; a group of the formula:  $-O-A-(E)_2-NR^4R^5$  (wherein A is an alkylene, 2 is an integer of 0 or 1, E is a group of the formula:  $-CO-$  or  $-OCO-$ ,  $R^4$  and  $R^5$  are the same or different and are each hydrogen atom; a lower alkyl which

may optionally be substituted by hydroxy or cyano; a lower alkenyl; a lower alkynyl; a phenyl(lower)alkyl; a lower alkanoyl which may optionally have one to three substituents of a halogen atom; a benzoyl wherein the phenyl ring may optionally be substituted by a member selected from nitro and an amino having optionally one or two substituents selected from a lower alkyl, a lower alkanoyl and a phenyl(lower)alkoxycarbonyl; phenyl; a lower alkoxycarbonyl; a lower alkoxycarbonyl(lower)alkyl wherein the lower alkyl moiety may optionally be substituted by hydroxy or an amino having optionally a phenyl(lower)alkoxycarbonyl substituent; an amido having optionally a lower alkyl substituent; a pyrrolidinyl-substituted carbonyl wherein the pyrrolidinyl ring may optionally be substituted by a phenyl(lower)alkoxycarbonyl; an amino-substituted lower alkanoyl wherein the lower alkanoyl moiety may optionally be substituted by a member selected from phenyl(lower)alkoxycarbonylamino, hydroxy, a phenyl having optionally a hydroxy substituent, carbamoyl, imidazolyl and a lower alkylthio, and the amino group may optionally have a substituent selected from a lower alkyl having optionally a hydroxy substituent, a lower alkenyl, a phenyl(lower)alkyl having optionally a lower alkoxy substituent on the phenyl ring, a lower alkylsulfonyl, a lower alkanoyl, and a phenyl(lower)alkoxycarbonyl; a hydroxy-substituted lower alkanoyl; a lower alkanoyloxy(lower)alkanoyl; a lower alkylsulfonyl; a phenylsulfonyl wherein the phenyl ring may optionally be substituted by a lower alkyl, nitro or an amino having



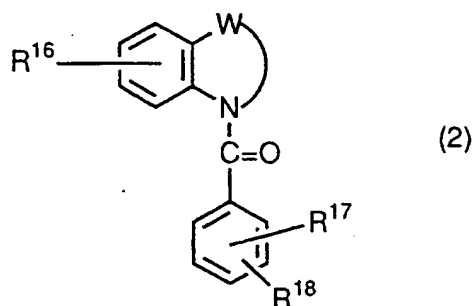
optionally one or two substituents selected from a lower alkyl and a lower alkanoyl; an amido-substituted lower alkyl wherein the lower alkyl moiety have optionally a substituent selected from a phenyl having optionally a hydroxy substituent, imidazolyl, carbamoyl and a lower alkylthio, and the amido group may optionally have a lower alkyl substituent; an amino-substituted lower alkyl which may optionally substituted by a lower alkyl or a lower alkanoyl; anilino-carbonyl; a piperidinyl which may optionally be substituted by a phenyl(lower)alkyl; a cycloalkyl, a cycloalkenyl-carbonyl; a cycloalkylcarbonyl which may optionally have one to three substituents selected from hydroxy and a lower alkanoyloxy; a tetrahydropyranyl-substituted lower alkyl wherein the tetrahydropyranyl ring may optionally have one to four substituents selected from hydroxy and a lower alkoxy; a lower alkanoyl which is substituted by a 5- or 6-membered saturated heterocyclic group selected from pyrrolidinyl, piperazinyl, piperidinyl and morpholinyl wherein the heterocyclic group have optionally a substituent selected from a lower alkyl and phenyl; a piperidinyl-substituted carbonyl which may optionally be substituted by a lower alkanoyl; a lower alkanoyloxy(lower)alkyl; a pyridyl-substituted lower alkyl; or an amino acid residue which can form an amido group with its amino group, or  $R^4$  and  $R^5$  may bind together with the nitrogen atom to which they bond to form a 5- or 6-membered, saturated or unsaturated heterocyclic group which may be intervened or not with nitrogen, oxygen or sulfur atom, wherein the

heterocyclic group may optionally be substituted by a member selected from a phenyl having optionally a substituent selected from a lower alkoxy and a halogen atom, oxo, hydroxy, a lower alkenyl, carboxy, a phenyl(lower)alkyl having optionally a hydroxy substituent on the lower alkyl moiety, a lower alkanoyl, a lower alkyl having optionally a hydroxy substituent, benzoyl, an amido having optionally a lower alkyl substituent, anilino-carbonyl, a benzoyl(lower)-alkyl, a lower alkylsulfonyl, piperidinyl, pyrimidinyl, pyridyl, and a lower alkoxy-carbonyl); a carbamoyloxy-substituted lower alkoxy; a lower alkylthio-substituted lower alkoxy; a lower alkylsulfonyl-substituted lower alkoxy; a lower alkylsulfinyl-substituted lower alkoxy; an alkenyloxy; phenoxy; a lower alkanoyloxy; a lower alkylsulfonyloxy; a lower alkynyloxy; a phenyl(lower)alkoxy; a cycloalkyl; a cycloalkyloxy; a cycloalkenyloxy; imidazo-[4,5-c]pyridyl-carbonyl(lower)alkoxy; a group of the formula:  $-(B)_2NR^6R^7$  (wherein  $\ell$  is as defined above, B is a lower alkylene or a group of  $-CO-$ , and  $R^6$  and  $R^7$  are the same or different and are each hydrogen atom, a lower alkyl, a lower alkanoyl having optionally one to three halogen substituents, a carboxy(lower)alkyl, a lower alkoxy-carbonyl, a lower alkoxy-carbonyl(lower)alkyl, a lower alkenyl, an amido-substituted lower alkyl having optionally a lower alkyl substituent, or a phenyl(lower)alkoxy-carbonyl, or  $R^6$  and  $R^7$  may bind together with nitrogen atom to which they bond to form a 5- or 6-membered, saturated or unsaturated heterocyclic group which may be intervened or not with

nitrogen, oxygen or sulfur atom, wherein the heterocyclic group may optionally have a substituent selected from a lower alkoxycarbonyl, a lower alkyl, a lower alkylthio, and oxo); nitro; a halogen atom; a lower alkylsulfonyl; a lower alkyl which may optionally have one to three substituents selected from a halogen atom, hydroxy, phenyl and a lower alkoxy; a cyano-substituted lower alkoxy; an oxiranyl-substituted lower alkoxy; a phthalimido-substituted alkoxy; an amidino-substituted lower alkoxy, a pyrrolyl-substituted lower alkoxy; cyano; a lower alkoxycarbonyl; amidino; carbamoyl; carboxy; a lower alkanoyl; benzoyl; a lower alkoxycarbonyl(lower)alkyl; a carboxy(lower)alkyl; a lower alkoxy(lower)alkyl; a lower alkanoyloxy(lower)alkyl; hydroxyimino-substituted lower alkyl; phenyl; a lower alkylthio; a lower alkylsulfinyl; a lower alkenyl having optionally a hydroxy substituent; a lower alkylenedioxy, a lower alkylsilyl; a pyrimidylthio-substituted lower alkoxy; a pyrimidylsulfinyl-substituted lower alkoxy; a pyrimidylsulfonyl-substituted lower alkoxy; an imidazolylthio-substituted lower alkoxy which may optionally have a lower alkyl substituent; an imidazolylsulfonyl-substituted lower alkoxy which may optionally have a lower alkyl substituent; an ammonium-lower alkoxy having three substituents selected from lower alkyl, lower alkenyl and oxo; a phenylthio-substituted lower alkoxy wherein the phenyl ring may optionally have a substituent selected from nitro and amino; a phenylsulfonyl-substituted lower alkoxy wherein the phenyl ring may optionally have a substituent selected from nitro

and an amino having optionally one or two substituents selected from a lower alkanoyl and lower alkyl; a pyridylthio-substituted lower alkoxy; or a pyridylsulfonyl-substituted lower alkoxy wherein the pyridyl ring may optionally be substituted by oxo),  $n$  is an integer of 1 or 2,  $m$  is 0 or an integer of 1 to 3,  $R^3$  is a lower alkyl,  $R^{10}$  is a group of the formula:  $-(CO)_\ell-NR^{11}R^{12}$  (wherein  $\ell$  is as defined above and  $R^{11}$  and  $R^{12}$  are the same or different and are each hydrogen atom, a lower alkyl, a phenyl(lower)alkyl, a lower alkenyl, a benzoyl which may optionally have a lower alkoxy substituent, tricyclo[3.3.1.1]decanyl, a phenyl which may optionally have a lower alkoxy substituent, or a cycloalkyl, or  $R^{11}$  and  $R^{12}$  may bind together with the nitrogen atom to which they bond to form a saturated or unsaturated heterocyclic group which may be intervened or not with nitrogen, oxygen or sulfur atom, wherein the heterocyclic group may optionally have a substituent selected from a benzoyl, a lower alkanoyl, a phenyl(lower)-alkyl and a phenyl which may optionally be substituted by a lower alkoxy or a lower alkanoyl), the bond between 3- and 4-positions of the carbostyryl ring is single bond or double bond, provided that when  $R^1$  is hydrogen atom and the  $\ell$  in the formula:  $-(CO)_\ell-NR^{11}R^{12}$  is 0 and  $R^{11}$ ,  $R^{12}$  are not simultaneously hydrogen atom.

The benzoheterocyclic compounds, which are also one of the active ingredient of the present oxytocin antagonist, have the following formula (2):

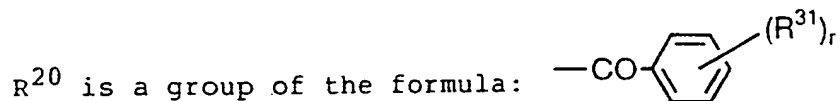


wherein  $R^{16}$  is hydrogen atom, a halogen atom, a lower alkyl, an amino having optionally a lower alkyl substituent, or a lower alkoxy,

$R^{17}$  is hydrogen atom, a halogen atom, a lower alkoxy, a phenyl(lower)alkoxy, hydroxy, a lower alkyl, an amino having optionally a lower alkyl substituent, a carbamoyl-substituted lower alkoxy, an amino-substituted lower alkoxy having optionally a lower alkyl substituent, or a benzoyloxy which has optionally a halogen substituent on the phenyl ring,

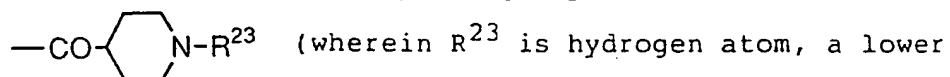
$R^{18}$  is a group of the formula:  $-NR^{19}R^{20}$  or a group of the formula:  $-CONR^{26}R^{27}$ ,

$R^{19}$  is hydrogen atom, a benzoyl which has optionally a halogen substituent on the phenyl ring, or a lower alkyl,



[wherein  $R^{31}$  is a halogen atom; a lower alkyl which has optionally a substituent selected from a halogen atom and hydroxy; hydroxy; a lower alkoxy; a lower alkanoyloxy; a lower alkylthio; a lower alkanoyl; carboxy; a lower alkoxycarbonyl; cyano; nitro; an amino which has optionally a substituent selected from a lower alkyl and a lower

alkanoyl; phenyl; a cycloalkyl; a lower alkanoyloxy-substituted lower alkoxy; a carboxy-substituted lower alkoxy; a halogen-substituted lower alkoxy; a carbamoyl-substituted lower alkoxy; a hydroxy-substituted lower alkoxy; a lower alkoxy-carbonyl-substituted lower alkoxy; a phthalimido-substituted lower alkoxy; an aminocarbonyl-lower alkoxy having a lower alkyl substituent; or a group of the formula:  $-O-F-N^{21}R^{22}$  (F is a lower alkylene, and  $R^{21}$  and  $R^{22}$  are the same or different and are each hydrogen atom, a lower alkyl having optionally a hydroxy substituent, a lower alkanoyl, or benzoyl, or  $R^{21}$  and  $R^{22}$  may bind together with the nitrogen atom to which they bond to form a 5- or 6-membered saturated heterocyclic group with or without being intervened with nitrogen or oxygen atom wherein the heterocyclic group has optionally a substituent selected from piperidinyl and a lower alkyl); and r is an integer of 0 to 3], a phenyl-lower alkoxy-carbonyl, a lower alkanoyl, a phenyl-lower alkanoyl, a cycloalkyl-lower alkanoyl, a cycloalkyl-carbonyl, tricyclo[3.3.1.1]decanyl-carbonyl, naphthyl-carbonyl, pyridyl-carbonyl, furoyl, thenoyl, a phenoxy-lower alkanoyl wherein the phenyl ring has optionally 1 to 3 substituents selected from a lower alkyl, a lower alkoxy and an amino having optionally a lower alkanoyl substituent, a phthalimido-substituted lower alkanoyl, a lower alkoxy-carbonyl-lower alkanoyl, a carboxy-lower alkanoyl, a naphthyloxy-lower alkanoyl, a halogen-substituted lower alkanoyl, a group of the formula:



alkyl, a phenyl-lower alkoxy-carbonyl, a carbamoyl-lower alkyl, an amino-lower alkanoyl having optionally a lower alkyl substituent, or a lower alkanoyl), an anilinocarbonyl which has optionally a lower alkyl substituent on the phenyl ring, phenoxy-carbonyl, a phenylsulfonyl which has optionally a substituent selected from a halogen atom and a lower alkyl on the phenyl ring, quinolylsulfonyl, or a group of the formula:  $-\text{CO}-\text{G}-(\text{CO})_s-\text{NR}^{24}\text{R}^{25}$  (wherein G is a lower alkylene, s is an integer of 0 or 1, and  $\text{R}^{24}$  and  $\text{R}^{25}$  are the same or different and are each hydrogen atom, a lower alkyl having optionally a hydroxy substituent, a cycloalkyl, a phenyl-lower alkyl, a lower alkanoyl, a lower alkenyl, a phenoxy-lower alkyl, a phenyl which has optionally 1 to 3 substituents selected from an amino-lower alkyl having optionally a lower alkanoyl substituent, a lower alkyl, a lower alkoxy and a halogen atom, a phthalimido-substituted lower alkyl, an amino-lower alkyl having optionally a lower alkanoyl substituent, a lower alkynyl, or an amino-lower alkyl having optionally a lower alkyl substituent, or  $\text{R}^{24}$  and  $\text{R}^{25}$  may bind together with the nitrogen atom to which they bond to form a 5- or 6-membered saturated heterocyclic group with or without being intervened with nitrogen or oxygen atom wherein the heterocyclic group has optionally a substituent selected from a lower alkyl, a lower alkoxy-carbonyl and piperidinyl),

$\text{R}^{26}$  is hydrogen atom or a lower alkyl,

$\text{R}^{27}$  is a cycloalkyl, or a phenyl which has optionally 1 to 3 substituents selected from a lower alkoxy,

a lower alkyl and a halogen atom,

W is a group of the formula:  $-(CH_2)_t-$  (t is an integer of 3 to 5), or a group of the formula:  $-CH=CH-(CH_2)_v-$  (v is an integer of 1 to 3), the carbon atom of these groups:  $-(CH_2)_t-$  and  $-CH=CH-(CH_2)_v-$  being optionally replaced by oxygen atom, sulfur atom, sulfinyl, sulfonyl, or a group of the formula:  $-NR^{28}-$  ( $R^{28}$  is hydrogen atom, a cycloalkyl, or a lower alkyl), and further said  $-(CH_2)_t-$  and  $-CH=CH-(CH_2)_v-$  groups having optionally 1 to 3 substituents selected from a lower alkyl having optionally a hydroxy substituent, a lower alkoxy, a lower alkanoyloxy, a lower alkanoyloxy-substituted lower alkyl, a lower alkyl sulfonyloxy-lower alkyl, an azido-lower alkyl, a group of the formula:  $-OCH_2-$ , an aminocarbonyloxy having optionally a lower alkyl substituent, a lower alkoxy, a lower alkoxy-substituted lower alkoxy, a carboxy-substituted lower alkoxy, an aminocarbonyl-lower alkoxy having optionally a lower alkyl substituent, an amino-lower alkoxy having optionally a substituent selected from a lower alkyl and a lower alkanoyl, a phthalimido-substituted lower alkoxy, hydroxyimino, a lower alkanoyloxy-imino, a lower alkylidene, a halogen atom, azido, sulfoxyimino, a group of the formula:  $R^{32}-N(CH_2)_2C(=O)O$  ( $R^{32}$  is hydrogen atom or a lower alkyl), hydrazino, pyrrolyl, an amino-lower alkanoyloxy



having optionally a lower alkyl substituent, a group of the formula:  $-O-F-CO-NR^{33}R^{34}$  (F is as defined above, and  $R^{33}$  and  $R^{34}$  are the same or different and are each hydrogen atom, a lower alkyl, a carbamoyl-substituted lower alkyl, a hydroxy-substituted lower alkyl, or a pyridyl-lower alkyl, or  $R^{33}$  and  $R^{34}$  may bind together with nitrogen atom to which they bond to form a 5- or 6-membered saturated heterocyclic group with or without being intervened with nitrogen, oxygen or sulfur atom wherein the heterocyclic group has optionally a substituent selected from oxo, a lower alkyl, a lower alkanoyl, and carbamoyl), or a group of the formula:  $-(CO)_s-NR^{29}R^{30}$  (wherein s is as defined above, and  $R^{29}$  and  $R^{30}$  are the same or different and are each hydrogen atom, a lower alkyl, a lower alkenyl, a lower alkanoyl, a cycloalkyl, an oxiranyl-substituted lower alkyl, a lower alkyl having optionally 1 to 2 substituents selected from a lower alkoxy, hydroxy and an amino having optionally a lower alkyl substituent, a phenyl-lower alkyl, a pyridyl-lower alkyl, a lower alkylsulfonyl, benzoyl, a lower alkoxy-carbonyl, anilinocarbonyl, an aminocarbonyl having optionally a lower alkyl substituent, a cyano-substituted lower alkyl, a lower alkoxycarbonyl-substituted lower alkyl, a carbamoyl-substituted lower alkyl, a carboxy-substituted lower alkyl, a tetrahydropyranyloxy-substituted lower alkyl, a lower alkanoyloxy-substituted lower alkyl, a piperidinyl having optionally a phenyl-lower alkyl substituent on the piperidine ring, a halogen-substituted lower alkanoyl, an imidazolyl-substituted lower alkanoyl, an amino-lower

alkanoyl having optionally a substituent selected from a lower alkyl and a lower alkoxy carbonyl, an aminocarbonyl-lower alkyl having optionally a lower alkyl substituent, or a phenyl-lower alkoxy carbonyl, or  $R^{29}$  and  $R^{30}$  may bind together with nitrogen atom to which they bond to form a 5- or 6-membered saturated heterocyclic group with or without being intervened with nitrogen or oxygen, wherein the heterocyclic group may optionally have a substituent selected from a lower alkyl, a phenyl-lower alkyl and a lower alkanoyl).

The carbostyryl derivatives of the formula (1) and their salts, and the benzoheterocyclic compounds of the formula (2) and their salts have excellent inhibitory effect on uterin smooth muscle constriction, inhibitory effect on milk secretion, inhibitory effect on synthesis and secreation of prostaglandin, and vasodilation activity, and hence, they are useful in the protection or treatment of oxytocin relating diseases, expecially, for treatment of premature delivery, dysmenorrhea, endometritis, or for stopping labour preparatory to Caearian delivery.

Each group in the above formulae (1) and (2) includes specifically the following groups.

The "alkoxy" includes a straight chain or branched chain alkoxy group having 1 to 12 carbon atoms, for example, methoxy, ethoxy, propoxy, isopropoxy, butoxy, tert-butoxy, pentyloxy, hexyloxy, heptyloxy, octyloxy, nonyloxy, decyloxy, undecyloxy, dodecyloxy, and the like.

The "lower alkyl" includes a straight chain or

branched chain alkyl group having 1 to 6 carbon atoms, for example, methyl, ethyl, propyl, isopropyl, butyl, tert-butyl, pentyl, hexyl, and the like.

The "halogen atom" includes fluorine atom, chlorine atom, bromine atom and iodine atom.

The "amino having optionally one or two substituents selected from a lower alkanoyl, a lower alkyl and benzoyl" includes an amino having optionally one or two substituents selected from a straight chain or branched chain alkyl group having 1 to 6 carbon atoms, a straight chain or branched chain alkanoyl group having 1 to 6 carbon atoms and benzoyl group, for example, amino, methylamino, ethylamino, propylamino, isopropylamino, butylamino, tert-butylamino, pentylamino, hexylamino, dimethylamino, diethylamino, dipropylamino, dibutylamino, dipentylamino, dihexylamino, N-methyl-N-ethylamino, N-ethyl-N-propylamino, N-methyl-N-butylamino, N-methyl-N-hexylamino, N-methyl-N-acetylamino, N-acetylamino, N-formylamino, N-propionylamino, N-butyrylamino, N-isobutyrylamino, N-pentanoylamino, N-tert-butylcarbonylamino, N-hexanoylamino, N-ethyl-N-acetylamino, benzoylamino, N-methyl-N-benzoylamino, N-ethyl-N-benzoylamino, and the like.

The "amino having optionally one or two substituents selected from a lower alkanoyl and a lower alkyl" includes an amino having optionally one or two substituents selected from a straight chain or branched chain alkyl group having 1 to 6 carbon atoms and a straight chain or branched chain alkanoyl group having 1 to 6 carbon atoms, for

example, amino, methylamino, ethylamino, propylamino, isopropylamino, butylamino, tert-butylamino, pentylamino, hexylamino, dimethylamino, diethylamino, dipropylamino, dibutylamino, dipentylamino, dihexylamino, N-methyl-N-ethylamino, N-ethyl-N-propylamino, N-methyl-N-butylamino, N-methyl-N-hexylamino, N-methyl-N-acetylamino, N-acetylamino, N-formylamino, N-propionylamino, N-butyrylamino, N-isobutyrylamino, N-pentanoylamino, N-tert-butylcarbonylamino, N-hexanoylamino, N-ethyl-N-acetylamino, and the like.

The "phenyl(lower)alkyl" includes a phenylalkyl group wherein the alkyl moiety is a straight chain or branched chain alkyl group having 1 to 6 carbon atoms, for example, benzyl, 2-phenylethyl, 1-phenylethyl, 3-phenylpropyl, 4-phenylbutyl, 5-phenylpentyl, 6-phenylhexyl, 1,1-dimethyl-2-phenylethyl, 2-methyl-3-phenylpropyl, and the like.

The "amino having optionally one or two substituents selected from a lower alkyl, phenyl and a phenyl(lower)alkyl" includes an amino having optionally one or two substituents selected from a straight chain or branched chain alkyl group having 1 to 6 carbon atoms, phenyl and a phenylalkyl wherein the alkyl moiety is a straight chain or branched chain alkyl group having 1 to 6 carbon atoms, for example, amino, phenylamino, diphenylamino, methylamino, ethylamino, propylamino, isopropylamino, butylamino, tert-butylamino, pentylamino, hexylamino, dimethylamino, diethylamino, dipropylamino, dibutylamino, dipentylamino, dihexylamino, N-methyl-N-ethylamino, N-ethyl-N-propylamino, N-

methyl-N-butylamino, N-methyl-N-hexylamino, N-methyl-N-phenylamino, N-ethyl-N-phenylamino, N-benzylamino, N-(2-phenylethyl)amino, N-(1-phenylethyl)amino, N-(3-phenylpropyl)amino, N-(4-phenylbutyl)amino, N-(5-phenylpentyl)amino, N-(6-phenylhexyl)amino, N-(1,1-dimethyl-2-phenylethyl)amino, N-(2-methyl-3-phenylpropyl)amino, N-methyl-N-benzylamino, N-ethyl-N-benzylamino, N-phenyl-N-benzylamino, and the like.

The "alkoxy which has one or two substituents selected from hydroxy, a lower alkanoyloxy, a tri(lower)-alkylammonium, a lower alkoxy, and a group of the formula:  $-NR^{14}R^{15}$ " includes an alkoxy group having 1 to 10 carbon atoms which has one or two substituents selected from hydroxy, a straight chain or branched chain alkanoyloxy having 1 to 6 carbon atoms, a trialkylammonium group wherein the alkyl moiety is a straight chain or branched chain alkyl group having 1 to 6 carbon atoms, a straight chain or branched chain alkoxy group having 1 to 6 carbon atoms, and a group of the formula:  $-NR^{14}R^{15}$  [wherein  $R^{14}$  and  $R^{15}$  are the same or different and are each hydrogen atom, a straight chain or branched chain alkyl group having 1 to 6 carbon atoms, a straight chain or branched chain alkanoyl group having 1 to 6 carbon atoms, a hydroxy-substituted alkyl group wherein the alkyl moiety is a straight chain or branched chain alkyl group having 1 to 6 carbon atoms, a tetrahydropyranylalkyl group wherein the alkyl moiety is straight chain or branched chain alkyl group having 1 to 6 carbon atoms, phenyl, a phenylalkyl (wherein the alkyl

moiety is straight chain or branched chain alkyl group having 1 to 6 carbon atoms which may optionally be substituted by hydroxy and the phenyl ring may optionally be substituted by one to three of straight chain or branched chain alkoxy group having 1 to 6 carbon atoms), or a pyridylalkyl wherein the alkyl moiety is straight chain or branched chain alkyl group having 1 to 6 carbon atoms, or  $R^{14}$  and  $R^{15}$  may bind with the nitrogen atom to which they bond to form a 5- or 6-membered, saturated heterocyclic group which may be intervened or not with nitrogen, oxygen or sulfur atom (wherein the heterocyclic group may optionally be substituted by one to three substituents selected from carbamoyl, a straight chain or branched chain alkyl group having 1 to 6 carbon atoms, a phenylalkyl group wherein the alkyl moiety is a straight chain or branched chain alkyl group having 1 to 6 carbon atoms, phenyl and a hydroxy-substituted alkyl group wherein the alkyl moiety is a straight chain or branched chain alkyl group having 1 to 6 carbon atoms)], for example, hydroxymethoxy, 2-hydroxyethoxy, 1-hydroxyethoxy, 3-hydroxypropoxy, 2,3-dihydroxyethoxy, 4-hydroxybutoxy, 3,4-dihydroxybutoxy, 1,1-dimethyl-2-hydroxyethoxy, 5,6-dihydroxyhexyloxy, 5-hydroxypentyloxy, 6-hydroxyhexyloxy, 7-hydroxyheptyloxy, 8-hydroxyoctyloxy, 9-hydroxynonyloxy, 10-hydroxydecyloxy, 6-(3,4-dimethoxybenzyl-amino)-5-hydroxyhexyloxy, 6-(3-methoxybenzylamino)-5-hydroxyhexyloxy, 6-[2-(2-pyridyl)ethylamino]-5-hydroxyhexyloxy, 6-[N-methyl-N-(2-pyridylethyl)amino]-5-hydroxyhexyloxy, 6-{N-ethyl-N-2-(2-pyridylethyl)amino}-5-hydroxy-

hexyloxy, 6-[N-ethyl-N-(4-pyridylmethyl)amino]-5-hydroxyhexyloxy, 6-(3-pyridylmethylamino)-5-hydroxyhexyloxy, 6-(2-pyridylmethylamino)-5-hydroxyhexyloxy, 6-(diethyl,methylammonium)-5-methoxyhexyloxy, 4-(trimethylammonium)-3-hydroxyhexyloxy, 5-(dipropyl,ethylammonium)-4-acetyloxy-pentyloxy, 7-(2-ethoxybenzylamino)-6-acetyloxyheptyloxy, 8-(3,4,5-trimethoxybenzylamino)-7-ethoxyoctyloxy, 5-[3-(2-pyridyl)propyl]-4-acetyloxypentyloxy, 7-[4-(3-pyridyl)-butyl]-6-propoxyheptyloxy, 2-methyl-3-hydroxypropoxy, aminomethoxy, 1-aminoethoxy, 2-aminoethoxy, 3-aminopropoxy, 4-aminobutoxy, 5-aminopentyloxy, 6-aminohexyloxy, 1,1-dimethyl-2-aminoethoxy, 2-methyl-3-aminopropoxy, methylaminomethoxy, ethylaminomethoxy, propylaminomethoxy, isopropylaminomethoxy, butylaminomethoxy, tert-butylaminomethoxy, pentylaminomethoxy, hexylaminomethoxy, dimethylaminomethoxy, diethylaminomethoxy, dipropylaminomethoxy, dibutylaminomethoxy, dipentylaminomethoxy, dihexylaminomethoxy, N-methyl-N-ethylaminomethoxy, N-methyl-N-propylaminomethoxy, N-methyl-N-butylaminomethoxy, N-methyl-N-hexylaminomethoxy, 1-methylaminoethoxy, 2-ethylaminoethoxy, 3-propylaminopropoxy, 4-butylaminobutoxy, 1,1-dimethyl-2-pentylaminoethoxy, 5-hexylaminopentyloxy, 6-dimethylaminohexyloxy, 7-methylaminoheptyloxy, 8-dimethylaminooctyloxy, 4-dimethylaminobutoxy, 2-diethylaminoethoxy, 1-(N-methyl-N-hexylamino)ethoxy, 3-dihexylaminopropoxy, 6-diethylaminohexyloxy, 4-dibutylaminobutoxy, 9-(N-methyl-N-propylamino)nonyloxy, 2-(N-methyl-N-pentylamino)ethoxy, 7-hydroxy-8-dimethylaminooctyloxy, 2-hydroxy-3-diethylamino-

propoxy, 7-hydroxy-8-diethylaminooctyloxy, 2-hydroxy-3-(N-phenyl-N-benzylamino)propoxy, 7-hydroxy-8-ethylamino-octyloxy, 3-hydroxy-4-methylaminobutoxy, 5-hydroxy-6-diethylaminohexyloxy, 3-hydroxy-4-phenylaminobutoxy, 8-hydroxy-9-dimethylaminononyloxy, 4-hydroxy-5-dimethylaminopentyloxy, 9-hydroxy-10-diethylaminodecyloxy, 4-hydroxy-5-methylaminopentyloxy, 4-hydroxy-5-diethylaminopentyloxy, phenylaminomethoxy, diphenylaminomethoxy, benzylamino-methoxy, 5-hydroxy-6-benzylaminohexyloxy, 5-hydroxy-6-[N-methyl-N-(2-phenylethyl)amino]hexyloxy, 5-hydroxy-6-ethyl-aminohexyloxy, 5-hydroxy-6-isopropylaminohexyloxy, 5-hydroxy-6-(N-methyl-N-benzylamino)hexyloxy, 5-hydroxy-6-aminohexyloxy, (N-methyl-N-benzylamino)methoxy, (N-ethyl-N-benzylamino)methoxy, (N-phenyl-N-benzylamino)methoxy, 2-(phenylamino)ethoxy, 3-(2-phenylethylamino)propoxy, 4-(3-phenylpropylamino)butoxy, 1,1-dimethyl-2-(4-phenylbutyl-amino)ethoxy, 5-(5-phenylpentylamino)pentyloxy, 6-(6-phenylhexylamino)hexyloxy, 7-hydroxy-8-(N-phenyl-N-benzyl-amino)octyloxy, 8-hydroxy-9-[N-(2-phenylethyl)amino]-nonyloxy, 9-hydroxy-10-(N-ethyl-N-benzylamino)decyloxy, acetyloxymethoxy, 2-propionyloxyethoxy, 1-butyryloxyethoxy, 3-acetyloxypropoxy, 4-isobutyryloxybutoxy, 5-pentanoyloxy-pentyloxy, 6-tert-butylcarbonyloxyhexyloxy, 1,1-dimethyl-2-hexanoyloxyethoxy, 2-methyl-3-acetyloxypropoxy, 7-acetyloxy-heptyloxy, 8-acetyloxyoctyloxy, 9-acetyloxynonyloxy, 10-acetyloxydecyloxy, (hydroxymethyl)aminomethoxy, 1-[N,N-di-(2-hydroxyethyl)amino]ethoxy, 2-(3-hydroxypropyl)amino-ethoxy, 3-(4-hydroxybutyl)aminopropoxy, 4-(5-hydroxypentyl)-



aminobutoxy, 5-(6-hydroxyhexyl)aminopentyloxy, 6-[N-(2-hydroxyethyl)-N-methylamino]hexyloxy, 5-hydroxy-6-[N-(2-hydroxyethyl)-N-methylamino]hexyloxy, 5-hydroxy-6-[N,N-di(2-hydroxyethyl)amino]hexyloxy, 6-hydroxy-7-[N-(2-hydroxyethyl)-N-benzylamino]heptyloxy, 7-hydroxy-8-[N-(3-hydroxypropyl)-N-phenylamino]octyloxy, 7-hydroxy-9-[N-(4-hydroxybutyl)-N-((tetrahydropyranyl-2-yl)methyl)amino]nonyloxy, 8-hydroxy-10-[N-(2-hydroxyethyl)-N-acetylamino]decyloxy, acetylaminomethoxy, 2-(formylamino)ethoxy, 1-(propionylamino)ethoxy, 3-(butyrylamino)propoxy, 4-(isobutyrylamino)butyloxy, 5-(pentanoylamino)pentyloxy, 6-(hexanoylamino)hexyloxy, 5-acetyloxy-6-acetylaminohexyloxy, 5-hydroxy-6-acetylaminohexyloxy, 6-hydroxy-7-(N-methyl-N-acetylamino)heptyloxy, 7-hydroxy-8-(N-benzyl-N-acetylamino)octyloxy, 8-hydroxy-9-(N-phenyl-N-acetylamino)nonyloxy, 9-acetyloxy-10-[N-(tetrahydro-2-pyranylmethyl)-N-acetylamino]decyloxy, (tetrahydro-2-pyranyl)methylaminomethoxy, 2-(tetrahydro-3-pyranylmethylamino)ethoxy, 1-(tetrahydro-4-pyranylmethylamino)ethoxy, 3-[2-(tetrahydro-2-pyranyl)ethylamino]propoxy, 4-[3-(tetrahydro-2-pyranyl)propylamino]butoxy, 5-[4-(tetrahydro-2-pyranyl)butylamino]pentyloxy, 5-hydroxy-6-[N-ethyl-N-tetrahydro-2-pyranylmethylamino]hexyloxy, 6-hydroxy-7-[N-phenyl-N-[5-(tetrahydro-2-pyranyl)pentylamino]heptyloxy, 7-hydroxy-8-[N-benzyl-N-[6-(tetrahydro-2-pyranyl)hexylamino]octyloxy, (2-hydroxy-2-phenylethyl)aminomethoxy, 2-[(3-hydroxy-3-phenylpropyl)amino]ethoxy, 3-[(2-hydroxy-4-phenylbutyl)amino]propoxy, 4-[(6-hydroxy-6-phenylhexyl)amino]butoxy, 5-[(2-hydroxy-2-phenylethyl)amino]pentyloxy,

5-hydroxy-6-[(2-hydroxy-2-phenylethyl)amino]hexyloxy, 6-hydroxy-7-[N-(2-hydroxy-2-phenylethyl)-N-methylamino]-heptyloxy, 7-hydroxy-8-[N-(2-hydroxy-2-phenylethyl)-N-phenylamino]octyloxy, 8-hydroxy-9-[N-(2-hydroxy-2-phenylethyl)-N-benzylamino]nonyloxy, 9-hydroxy-10-[N-(2-hydroxy-2-phenylethyl)-N-acetylamino]decyloxy, (piperazin-1-yl)-methoxy, 2-(pyrrolidin-1-yl)ethoxy, 3-(piperidin-1-yl)-propoxy, 4-morpholinobutoxy, 5-thiomorpholinopentyloxy, 6-(piperazin-1-yl)hexyloxy, 5-hydroxy-6-(4-benzyl-1-piperazinyl)hexyloxy, 5-hydroxy-6-(1-piperazinyl)hexyloxy, 5-hydroxy-6-(4-methyl-1-piperazinyl)hexyloxy, 4-hydroxy-5-(1-pyrrolidinyl)pentyloxy, 4-hydroxy-5-(1-piperidinyl)pentyloxy, 4-hydroxy-5-morpholinopentyloxy, 5-hydroxy-6-(1-pyrrolidinyl)hexyloxy, 5-hydroxy-6-(1-piperidinyl)hexyloxy, 5-hydroxy-6-(4-phenyl-1-piperazinyl)hexyloxy, 5-hydroxy-6-(2-carbamoyl-1-pyrrolidinyl)hexyloxy, 7-hydroxy-8-(1-pyrrolidinyl)octyloxy, 5-hydroxy-6-(2-hydroxymethyl-1-pyrrolidinyl)hexyloxy, 7-(2-carbamoylmorpholino)-6-hydroxyheptyloxy, 8-hydroxy-9-(4-benzyl-1-piperazinyl)nonyloxy, 4-(3-carbamoyl-1-piperidinyl)-3-hydroxybutoxy, 9-hydroxy-10-(4-ethyl-1-piperazinyl)decyloxy, 6-(4-carbamoyl-1-piperazinyl)-5-hydroxyhexyloxy, and the like.

The "carboxy-substituted alkoxy" includes a carboxy-substituted alkoxy group wherein the alkoxy moiety is a straight chain or branched chain alkoxy group having 1 to 12 carbon atoms, for example, carboxymethoxy, 2-carboxyethoxy, 1-carboxyethoxy, 3-carboxypropoxy, 4-carboxybutoxy, 5-carboxypentyloxy, 6-carboxyhexyloxy, 1,1-dimethyl-2-

carboxyethoxy, 2-methyl-3-carboxypropoxy, 7-carboxyheptyloxy, 8-carboxyoctyloxy, 9-carboxynonyloxy, 10-carboxydecyloxy, 11-carboxyundecyloxy, 12-carboxydodecyloxy, and the like.

The "lower alkoxy-carbonyl-substituted alkoxy" includes an alkoxy-carbonyl-substituted straight chain or branched chain alkoxy group having 1 to 12 carbon atoms wherein the alkoxy-carbonyl moiety is a straight chain or branched chain alkoxy-carbonyl group having 1 to 6 carbon atoms, for example, methoxycarbonylmethoxy, 3-methoxycarbonylpropoxy, ethoxycarbonylmethoxy, 3-ethoxycarbonylpropoxy, 4-ethoxycarbonylbutoxy, 5-isopropoxycarbonylpentyloxy, 6-propoxycarbonylhexyloxy, 1,1-dimethyl-2-butoxycarbonylethoxy, 2-methyl-3-tert-butoxycarbonylpropoxy, 2-pentyloxycarbonylethoxy, hexyloxycarbonylmethoxy, 7-methoxycarbonylheptyloxy, 8-ethoxycarbonyloctyloxy, 9-propoxycarbonylnonyloxy, 10-butoxycarbonyldecyloxy, 11-methoxycarbonylundecyloxy, 12-ethoxycarbonyldodecyloxy, and the like.

The "lower alkanoyloxy-substituted lower alkoxy" includes a straight chain or branched chain alkoxy group having 1 to 6 carbon atoms which is substituted by a straight chain or branched chain alkanoyloxy group having 2 to 6 carbon atoms, for example, acetyloxymethoxy, 2-propionyloxyethoxy, 1-butyryloxyethoxy, 4-acetyloxybutoxy, 3-acetyloxypropoxy, 4-isobutyryloxybutoxy, 5-pentanoyloxy-pentyloxy, 6-acetyloxyhexyloxy, 6-tert-butylcarbonyloxy-hexyloxy, 1,1-dimethyl-2-hexanoyloxyethoxy, 2-methyl-3-

acetyloxypropoxy, and the like.

The "lower alkenyloxy-substituted lower alkoxy" includes a straight chain or branched chain alkoxy group having 1 to 6 carbon atoms which is substituted by a straight chain or branched chain alkenyloxy group having 2 to 6 carbon atoms, for example, vinyloxymethoxy, 2-allyloxyethoxy, 1-(2-butenyloxy)ethoxy, 3-allyloxypropoxy, 4-(3-butenyloxy)butoxy, 5-(1-methylallyloxy)pentyloxy, 6-(2-pentenylloxy)hexyloxy, 1,1-dimethyl-2-(2-hexenyloxy)ethoxy, 2-methyl-3-allyloxypropoxy, and the like.

The "lower alkoxy(lower)alkoxy" includes a straight chain or branched chain alkoxy group having 1 to 6 carbon atoms which is substituted by a straight chain or branched chain alkoxy group having 1 to 6 carbon atoms, for example, methoxymethoxy, 3-methoxypropoxy, 4-ethoxybutoxy, 6-propoxyhexyloxy, 5-isopropoxypentyloxy, 1,1-dimethyl-2-butoxyethoxy, 2-methyl-3-tert-butoxypropoxy, 2-pentyloxyethoxy, hexyloxymethoxy, and the like.

The "lower alkylsulfonyloxy-substituted lower alkoxy" includes a straight chain or branched chain alkoxy group having 1 to 6 carbon atoms which is substituted by a straight chain or branched chain alkylsulfonyloxy group having 1 to 6 carbon atoms, for example, methylsulfonyloxymethoxy, 3-methylsulfonyloxypropoxy, 4-ethylsulfonylobutoxy, 2-methylsulfonyloxyethoxy, 6-propylsulfonyloxyhexyloxy, 5-isopropylsulfonyloxypentyloxy, 1,1-dimethyl-2-butylsulfonyloxyethoxy, 2-methyl-3-methylsulfonyloxypropoxy, and the like.

The "benzoyloxy-substituted lower alkoxy" includes a benzoyloxyalkoxy group wherein the alkoxy moiety is a straight chain or branched chain alkoxy group having 1 to 6 carbon atoms, for example, benzoyloxymethoxy, 2-benzoyloxyethoxy, 1-benzoyloxyethoxy, 3-benzoyloxypropoxy, 4-benzoyloxybutoxy, 6-benzoyloxyhexyloxy, 5-benzoyloxypropyloxy, 1,1-dimethyl-2-benzoyloxyethoxy, 2-methyl-3-benzoyloxypropoxy, and the like.

The "tricyclo[3.3.1.1]decanyl-substituted lower alkoxy" includes a tricyclo[3.3.1.1]decanyl-substituted straight chain or branched chain alkoxy group having 1 to 6 carbon atoms, for example, tricyclo[3.3.1.1]decanylmethoxy, 2-tricyclo[3.3.1.1]decanylethoxy, 1-tricyclo[3.3.1.1]decanylethoxy, 3-tricyclo[3.3.1.1]decanylpropoxy, 4-tricyclo[3.3.1.1]decanylbutoxy, 5-tricyclo[3.3.1.1]decanylpentyloxy, 6-tricyclo[3.3.1.1]decanylhxyloxy, 1,1-dimethyl-2-tricyclo[3.3.1.1]decanylethoxy, 2-methyl-3-tricyclo[3.3.1.1]decanylpropoxy, and the like.

The "lower alkylene" includes a straight chain or branched chain alkylene group having 1 to 6 carbon atoms, for example, methylene, ethylene, trimethylene, 2-methyltrimethylene, 2,2-dimethyltrimethylene, 1-methyltrimethylene, methylmethylene, ethylmethylene, tetramethylene, pentamethylene, hexamethylene, and the like.

The "lower alkanoyl" includes a straight chain or branched chain alkanoyl group having 1 to 6 carbon atoms, for example, formyl, acetyl, propionyl, butyryl, isobutyryl, pentanoyl, tert-butylcarbonyl, hexanoyl, and the like.

The "amino having optionally one or two substituents selected from a lower alkyl, a lower alkanoyl and a phenyl(lower)alkoxycarbonyl" includes an amino having optionally one or two substituents selected from a straight chain or branched chain alkyl group having 1 to 6 carbon atoms, a straight chain or branched chain alkanoyl group having 1 to 6 carbon atoms and a phenylalkoxycarbonyl group wherein the alkoxy moiety is a straight chain or branched chain alkoxy group having 1 to 6 carbon atoms, for example, amino, methylamino, ethylamino, propylamino, isopropylamino, butylamino, tert-butylamino, pentylamino, hexylamino, dimethylamino, diethylamino, dipropylamino, dibutylamino, dipentylamino, dihexylamino, N-methyl-N-ethylamino, N-ethyl-N-propylamino, N-methyl-N-butylamino, N-methyl-N-hexylamino, N-benzyloxycarbonylamino, N-(2-phenylethoxycarbonyl)amino, N-(1-phenylethoxycarbonyl)amino, N-(3-phenylpropoxycarbonyl)amino, N-(4-phenylbutoxycarbonyl)amino, N-(5-phenylpentoxycarbonyl)amino, N-(6-phenylhexyloxycarbonyl)amino, N-(1,1-dimethyl-2-phenylethoxycarbonyl)amino, N-(2-methyl-3-phenylpropoxycarbonyl)amino, N-methyl-N-benzyloxycarbonylamino, N-ethyl-N-benzyloxycarbonylamino, acetylamino, formylamino, propionylamino, butyrylamino, isobutyrylamino, pentanoylamino, tert-butylcarbonylamino, hexanoylamino, N-methyl-N-acetylamino, N-ethyl-N-acetylamino, N-benzyloxycarbonyl-N-acetylamino, and the like.

The "benzoyl wherein the phenyl ring may optionally has a substituent selected from nitro and an amino having optionally one or two substituents selected from a lower

alkyl, a lower alkanoyl and a phenyl(lower)alkoxycarbonyl" includes a benzoyl group wherein the phenyl ring may optionally have one to three substituents selected from nitro and an amino optionally having one or two substituents selected from a straight chain or branched chain alkyl group having 1 to 6 carbon atoms, a straight chain or branched chain alkanoyl group having 1 to 6 carbon atoms and a phenylalkoxycarbonyl group wherein the alkoxy moiety is a straight chain or branched chain alkoxy group having 1 to 6 carbon atoms, for example, benzoyl, 2-aminobenzoyl, 4-amino-benzoyl, 4-methylaminobenzoyl, 3-ethylaminobenzoyl, 2-(N-methyl-N-ethylamino)benzoyl, 3-(N-methyl-N-hexylamino)-benzoyl, 4-dimethylaminobenzoyl, 4-dipentylaminobenzoyl, 2-isopropylaminobenzoyl, 3-butylaminobenzoyl, 4-(N-methyl-N-benzyloxycarbonylamino)benzoyl, 2-[N-(2-phenylethoxy-carbonyl)amino]benzoyl, 2,3-bis(dimethylamino)benzoyl, 3,4-bis(methylamino)benzoyl, 3,4,5-tri(methylamino)benzoyl, 2,6-di(N-methyl-N-benzyloxycarbonylamino)benzoyl, 3-[N-(3-phenylpropoxycarbonyl)amino]benzoyl, 4-[N-(5-phenylpentyl-oxycarbonyl)amino]benzoyl, 2-[N-(6-phenylhexyloxycarbonyl)-amino]benzoyl, 3-[N-(4-phenylbutoxycarbonyl)amino]benzoyl, 4-acetylaminobenzoyl, 3-(N-methyl-N-acetylamino)benzoyl, 2-(N-benzyloxycarbonyl-N-acetylamino)benzoyl, 4-nitrobenzoyl, 4-nitro-3-methylaminobenzoyl, 2,4-dinitrobenzoyl, 2,4,6-trinitrobenzoyl, and the like.

The "lower alkoxycarbonyl" includes a straight chain or branched chain alkoxycarbonyl group having 1 to 6 carbon atoms in the alkoxy moiety, for example, methoxy-

carbonyl, ethoxycarbonyl, propoxycarbonyl, isopropoxy-carbonyl, butoxycarbonyl, tert-butoxycarbonyl, pentyloxy-carbonyl, hexyloxy-carbonyl, and the like.

The "lower alkoxy-carbonyl(lower)alkyl" includes a straight chain or branched chain alkoxy-carbonylalkyl group having 1 to 6 carbon atoms in the alkoxy moiety wherein the alkyl moiety is a straight chain or branched chain alkyl group having 1 to 6 carbon atoms, for example, methoxy-carbonylmethyl, 3-methoxycarbonylpropyl, 3-ethoxycarbonyl-propyl, ethoxycarbonylmethyl, 4-ethoxycarbonylbutyl, 1-ethoxycarbonylethyl, 1-methoxycarbonylethyl, 6-propoxy-carbonylhexyl, 5-isopropoxycarbonylpentyl, 1,1-dimethyl-2-butoxycarbonylethyl, 2-methyl-3-tert-butoxycarbonylpropyl, 2-pentyloxy-carbonylethyl, hexyloxy-carbonylmethyl, and the like.

The "amido having optionally a lower alkyl substituent" includes an amido having optionally one or two substituents of a straight chain or branched chain alkyl group having 1 to 6 carbon atoms, for example, carbamoyl, methylamido, ethylamido, propylamido, isopropylamido, butyl-amido, tert-butylamido, pentylamido, hexylamido, dimethyl-amido, diethylamido, dipropylamido, dibutylamido, dipentyl-amido, dihexylamido, N-methyl-N-ethylamido, N-ethyl-N-propylamido, N-methyl-N-butylamido, N-methyl-N-hexylamido, and the like.

The "lower alkylsulfonyl" includes a straight chain or branched chain alkylsulfonyl group having 1 to 6 carbon atoms, for example, methylsulfonyl, ethylsulfonyl, propyl-



sulfonyl, isopropylsulfonyl, butylsulfonyl, tert-butylsulfonyl, pentylsulfonyl, hexylsulfonyl, and the like.

The "5- or 6-membered, saturated or unsaturated heterocyclic group which is formed by binding the groups R<sup>4</sup> and R<sup>5</sup> together with the nitrogen atom to which they bond and may be intervened or not with nitrogen, oxygen or sulfur atom" includes, for example, pyrrolidinyl, piperidinyl, piperazinyl, morpholino, thiomorpholino, pyrrolyl, pyrazolyl, imidazolyl, imidazolidinyl, 1,2,4-triazolyl, 1,2,3,4-tetrazolyl, pyrrolinyl, imidazolinyl, pyrazolinyl, pyrazolidinyl, oxazolinyl, oxazolidinyl, isoxazolinyl, isoxazolidinyl, thiazolinyl, thiazolidinyl, isothiazolinyl, isothiazolidinyl, and the like.

The "phenyl which may optionally have a substituent selected from a lower alkoxy and a halogen atom" includes a phenyl group which may optionally have one to three substituents selected from a straight chain or branched chain alkoxy group having 1 to 6 carbon atoms and a halogen atom, for example, phenyl, 2-methoxyphenyl, 3-methoxyphenyl, 4-methoxyphenyl, 2-ethoxyphenyl, 3-ethoxyphenyl, 4-ethoxyphenyl, 4-isopropoxyphenyl, 4-pentyloxyphenyl, 2,4-dimethoxyphenyl, 4-hexyloxyphenyl, 3,4-dimethoxyphenyl, 3-ethoxy-4-methoxyphenyl, 2,3-dimethoxyphenyl, 3,4-diethoxyphenyl, 2,5-dimethoxyphenyl, 2,6-dimethoxyphenyl, 3,5-dimethoxyphenyl, 3,4-dipentyloxyphenyl, 3,4,5-trimethoxyphenyl, 2-chlorophenyl, 3-chlorophenyl, 4-chlorophenyl, 2-fluorophenyl, 3-fluorophenyl, 4-fluorophenyl, 2-bromophenyl, 3-bromophenyl, 4-bromophenyl, 2-iodophenyl, 3-iodophenyl, 4-

iodophenyl, 3,4-dichlorophenyl, 3,5-dichlorophenyl, 2,6-dichlorophenyl, 2,3-dichlorophenyl, 2,4-dichlorophenyl, 3,4-difluorophenyl, 3,5-dibromophenyl, 3,4,5-trichlorophenyl, 2-methoxy-3-chlorophenyl, and the like.

The "heterocyclic group which is substituted by a member selected from a phenyl having optionally a substituent selected from a lower alkoxy and a halogen atom, oxo, hydroxy, a lower alkenyl, carboxy, a phenyl(lower)alkyl having optionally a hydroxy substituent on the lower alkyl moiety, a lower alkanoyl, a lower alkyl having optionally a hydroxy substituent, benzoyl, an amido having optionally a lower alkyl substituent, anilino-carbonyl, a benzoyl(lower)-alkyl, a lower alkylsulfonyl, piperidinyl, pyrimidinyl, pyridyl, and a lower alkoxy-carbonyl" includes the above-mentioned heterocyclic groups which is substituted by one to three substituents selected from a phenyl having optionally one to three substituents selected from a straight chain or branched chain alkoxy group having 1 to 6 carbon atoms and a halogen atom, an oxo group, a hydroxy group, a straight chain or branched chain alkenyl group having 2 to 6 carbon atoms, carboxy, a phenylalkyl wherein the alkyl moiety is a straight chain or branched chain alkyl group having 1 to 6 carbon atoms and having optionally a hydroxy substituent, a straight chain or branched chain alkanoyl group having 1 to 6 carbon atoms, a straight chain or branched chain alkyl group having 1 to 6 carbon atoms and having optionally one to three hydroxy substituents, benzoyl, an amido group having optionally one or two substituents of a straight

chain or branched chain alkyl group having 1 to 6 carbon atoms, anilincarbonyl, a benzoylalkyl group wherein the alkyl moiety is a straight chain or branched chain alkyl group having 1 to 6 carbon atoms, a straight chain or branched chain alkylsulfonyl group having 1 to 6 carbon atoms in the alkyl moiety, piperidinyl, pyrimidinyl, pyridyl, and a straight chain or branched chain alkoxy-carbonyl group having 1 to 6 carbon atoms, for example, 4-phenylpiperazinyl, 4-(4-methoxyphenyl)piperazinyl, 4-(4-chlorophenyl)piperazinyl, 3-(2-ethoxyphenyl)pyrrolidinyl, 2-(4-isopropoxyphenyl)pyrrolidinyl, 4-(4-pentyloxyphenyl)-piperidinyl, 3-(4-hexyloxyphenyl)piperidinyl, 3-(2,3-dimethoxyphenyl)morpholino, 2-(2-methoxyphenyl)morpholino, 3-(3-ethoxyphenyl)thiomorpholino, 2-(3,4,5-trimethoxyphenyl)thiomorpholino, 4-(3,4-dimethoxyphenyl)piperazinyl, 4-(3,4,5-trimethoxyphenyl)piperazinyl, 3-(2-fluorophenyl)-pyrrolidinyl, 2-(3-bromophenyl)pyrrolidinyl, 4-(3-iodophenyl)piperidinyl, 3-(4-bromophenyl)piperidinyl, 2-(3,4-dichlorophenyl)morpholino, 3-(3-chlorophenyl)morpholino, 3-(2-bromophenyl)thiomorpholino, 2-(4-fluorophenyl)thiomorpholino, 4-(3,4,5-trichlorophenyl)piperazinyl, 4-(2,6-dichlorophenyl)piperazinyl, 4-benzylpiperazinyl, 3-(2-phenylethyl)pyrrolidinyl, 2-(3-phenylpropyl)pyrrolidinyl, 4-(4-phenylbutyl)piperidinyl, 3-(5-phenylpentyl)morpholino, 2-(6-phenylhexyl)thiomorpholino, 4-(2-phenyl-2-hydroxyethyl)-piperazinyl, 3-(1-hydroxy-1-phenylmethyl)pyrrolidinyl, 2-(3-hydroxy-3-phenylpropyl)pyrrolidinyl, 4-(2-hydroxy-4-phenylbutyl)piperidinyl, 2-(5-hydroxy-5-phenylpentyl)thio-

morpholino, 3-(6-hydroxy-6-phenylhexyl)morpholino, 4-acetylpiperazinyl, 3-formylpyrrolidinyl, 2-propionylpyrrolidinyl, 4-butyrylpiperidinyl, 3-pentanoylthiomorpholino, 2-hexanoylmorpholino, 4-methylpiperazinyl, 3,4-dimethylpiperazinyl, 3-ethylpyrrolidinyl, 2-propylpyrrolidinyl, 3,4,5-trimethylpiperidinyl, 4-butylpiperidinyl, 3-pentylmorpholino, 2-hexylthiomorpholino, 4-benzoylpiperazinyl, 3-benzoylpyrrolidinyl, 3-benzoylmorpholino, 2-benzoylthiomorpholino, 3-benzoylpiperidinyl, 4-anilinocarbonylpiperazinyl, 2-anilinocarbonylpyrrolidinyl, 3-anilinocarbonylpiperidinyl, 2-anilinocarbonylmorpholino, 3-anilinocarbonylthiomorpholino, 4-(benzoylmethyl)piperazinyl, 3-(1-benzoylethyl)pyrrolidinyl, 2-(3-benzoylpropyl)pyrrolidinyl, 4-(4-benzoylbutyl)piperidinyl, 3-(5-benzoylpentyl)morpholino, 2-(6-benzoylhexyl)thiomorpholino, 3-methyl-4-benzoylpiperazinyl, 3-ethyl-4-acetylpiperidinyl, 3-methyl-4-benzylpyrrolidinyl, 3-propyl-4-anilinocarbonylpyrrolidinyl, 3-methyl-5-(benzoylmethyl)morpholino, 3-methyl-5-(2-phenyl-2-hydroxyethyl)thiomorpholino, 4-methylsulfonylpiperazinyl, 4-methoxycarbonylpiperazinyl, 3-ethylsulfonylpyrrolidinyl, 3-ethoxycarbonylpyrrolidinyl, 4-propylsulfonylpiperidinyl, 3-propoxycarbonylpiperidinyl, 3-butylsulfonylmorpholino, 2-pentyloxycarbonylmorpholino, 2-hexylsulfonylthiomorpholino, 3-hexyloxycarbonylthiomorpholino, 4-allylpiperazinyl, 4-ethoxycarbonylpiperidinyl, 4-carboxypiperidinyl, 4-dimethylamidopiperidinyl, 4-carbamoylpiperidinyl, 4-(1-piperidinyl)piperidinyl, 3-hydroxypiperidinyl, 2-carbamoylpyrrolidinyl, 2-hydroxy-

methylpiperidinyl, 2-hydroxymethylpyrrolidinyl, 3-hydroxymethylpiperidinyl, 3-hydroxypyrrolidinyl, 4-(2-hydroxyethyl)piperidinyl, 2-methoxycarbonylpyrrolidinyl, 2-(2-hydroxyethyl)piperidinyl, (2-pyrimidyl)piperazinyl, (2-pyridyl)piperazinyl, 4-oxothiomorpholino, 4,4-dioxothiomorpholino, 2-methylimidazolyl, 3-methyl-1,2,4-triazolyl, 5-methyl-1,2,3,4-tetrazolyl, 4-hydroxymethylimidazolyl, 3-allyl-1,2,4-triazolyl, 5-phenyl-1,2,3,4-tetrazolyl, 3-carboxypyrrolyl, 2-hydroxyoxazolidinyl, 2-carbamoylthiazolidinyl, and the like.

The "phenyl(lower)alkyl having optionally a hydroxy-substituent on the alkyl moiety and having optionally a lower alkoxy substituent on the phenyl ring" includes a phenylalkyl group wherein the alkyl moiety is a straight chain or branched chain alkyl group having 1 to 6 carbon atoms and having optionally a hydroxy-substituent and the phenyl ring has optionally one to three substituents of a straight chain or branched chain alkoxy group having 1 to 6 carbon atoms, for example, in addition to the above-mentioned phenyl(lower)alkyl groups, 1-hydroxy-1-phenylmethyl, 1-phenyl-2-hydroxyethyl, 2-phenyl-2-hydroxyethyl, 3-hydroxy-3-phenylpropyl, 2-hydroxy-4-phenylbutyl, 6-hydroxy-6-phenylhexyl, 3,4-dimethoxybenzyl, 3-methoxybenzyl, 1-(2-methoxyphenyl)ethyl, 2-(4-methoxyphenyl)ethyl, 3-(2-ethoxyphenyl)propyl, 4-(3-ethoxyphenyl)butyl, 5-(4-ethoxyphenyl)pentyl, 6-(4-isopropoxyphenyl)hexyl, 1,1-dimethyl-2-(4-pentyloxyphenyl)ethyl, 2-methyl-3-(4-hexyloxyphenyl)propyl, 3-ethoxy-4-methoxybenzyl, 2,3-dimethoxybenzyl, 3,4-diethoxy-

benzyl, 3,4,5-trimethoxybenzyl, 1-hydroxy-1-(3-methoxyphenyl)methyl, 1-(2,5-dimethoxyphenyl)-2-hydroxyethyl, 2-(2,6-dimethoxyphenyl)-2-hydroxyethyl, 5-hydroxy-5-(3,4-dipentyloxyphenyl)pentyl, and the like.

The "benzoyl(lower)alkyl" includes a benzoylalkyl group wherein the alkyl moiety is a straight chain or branched chain alkyl group having 1 to 6 carbon atoms, for example, benzoylmethyl, 1-benzoylethyl, 2-benzoylethyl, 3-benzoylpropyl, 4-benzoylbutyl, 5-benzoylpentyl, 6-benzoylhexyl, 1,1-dimethyl-2-benzoylethyl, 2-methyl-3-benzoylpropyl, and the like.

The "carbamoyloxy-substituted lower alkoxy" includes a carbamoyloxy-substituted alkoxy group wherein the alkoxy moiety is a straight chain or branched chain alkoxy group having 1 to 6 carbon atoms, for example, carbamoyloxymethoxy, 2-carbamoyloxyethoxy, 1-carbamoyloxyethoxy, 3-carbamoyloxypropoxy, 4-carbamoyloxybutoxy, 5-carbamoyloxy-pentyloxy, 6-carbamoyloxyhexyloxy, 1,1-dimethyl-2-carbamoyloxyethoxy, 2-methyl-3-carbamoyloxypropoxy, and the like.

The "lower alkylthio-substituted alkoxy" includes a alkylthio-substituted straight chain or branched chain alkoxy group having 1 to 6 carbon atoms wherein the alkylthio moiety is a straight chain or branched chain alkylthio group having 1 to 6 carbon atoms, for example, methylthiomethoxy, 3-ethylthiopropoxy, 4-methylthiobutoxy, 2-methylthioethoxy, 6-propylthiohexyloxy, 5-isopropylthiopentyloxy, 1,1-dimethyl-2-butylthioethoxy, 2-methyl-3-methylthiopropoxy, and the like.

The "lower alkylsulfonyl-substituted lower alkoxy" includes a straight chain or branched chain alkoxy group having 1 to 6 carbon atoms which is substituted by a straight chain or branched chain alkylsulfonyl group having 1 to 6 carbon atoms, for example, methylsulfonylmethoxy, 3-ethylsulfonylpropoxy, 4-methylsulfonylbutoxy, 2-methylsulfonylethoxy, 6-propylsulfonylhexyloxy, 5-isopropylsulfonylpentyloxy, 1,1-dimethyl-2-butylsulfonylethoxy, 2-methyl-3-methylsulfonylpropoxy, and the like.

The "lower alkylsulfinyl-substituted lower alkoxy" includes a straight chain or branched chain alkoxy group having 1 to 6 carbon atoms which is substituted by a straight chain or branched chain alkylsulfinyl group having 1 to 6 carbon atoms, for example, methylsulfinylmethoxy, 3-ethylsulfinylpropoxy, 4-methylsulfinylbutoxy, 2-methylsulfinylethoxy, 6-propylsulfinylhexyloxy, 5-isopropylsulfinylpentyloxy, 1,1-dimethyl-2-butylsulfinylethoxy, 2-methyl-3-methylsulfinylpropoxy, and the like.

The "alkenyloxy" includes a straight chain or branched chain alkenyl group having 2 to 12 carbon atoms and containing one to three double bonds, for example, vinyloxy, allyloxy, 3-methyl-2-butenyloxy, 2-butenyloxy, 3-butenyloxy, 1-methylallyloxy, 2-pentenyl-oxy, 2-hexenyloxy, 1-heptenyloxy, 1-octenyloxy, 1-nonynyloxy, 1-decenyloxy, 1-undecenyl-oxy, 1-dodecenyloxy, 2-heptenyloxy, 3-heptenyloxy, 2-methyl-4-heptenyloxy, 2-methyl-5-heptenyloxy, 4-methyl-2-heptenyloxy, 3-methyl-1-heptenyloxy, 1,3-heptadienyloxy, 1,4-heptadienyloxy, 1,5-heptadienyloxy, 1,6-heptadienyloxy, 2,4-

heptadienyloxy, 2-methyl-2,4-heptadienyloxy, 2,6-dimethyl-2,4-heptadienyloxy, 2,5-dimethyl-1,3-heptadienyloxy, 2,4,6-trimethyl-2,4-heptadienyloxy, 2-octenyloxy, 3-octenyloxy, 4-octenyloxy, 2-methyl-5-octenyloxy, 2-methyl-6-octenyloxy, 2-methyl-7-octenyloxy, 1,3-octadienyloxy, 1,4-octadienyloxy, 1,5-octadienyloxy, 1,6-octadienyloxy, 1,7-octadienyloxy, 2,4-octadienyloxy, 3,7-octadienyloxy, 4,8-dimethyl-3,7-octadienyloxy, 2,4,6-trimethyl-3,7-octadienyloxy, 3,4-dimethyl-2,5-octadienyloxy, 3,7-dimethyl-2,6-octadienyloxy, 4,8-dimethyl-2,6-octadienyloxy, 2-nonenyloxy, 3-nonenyloxy, 4-nonenyloxy, 2-methyl-5-nonenyloxy, 2-methyl-6-nonenyloxy, 2-methyl-7-nonenyloxy, 2-methyl-8-nonenyloxy, 1,3-nonadienyloxy, 1,4-nonadienyloxy, 1,5-nonadienyloxy, 1,6-nonadienyloxy, 1,7-nonadienyloxy, 1,8-nonadienyloxy, 2,4-nonadienyloxy, 3,7-nonadienyloxy, 4,8-dimethyl-3,7-nonadienyloxy, 2,4,6-trimethyl-3,7-nonadienyloxy, 3,4-dimethyl-2,5-nonadienyloxy, 4,8-dimethyl-2,6-nonadienyloxy, 2-decenyloxy, 3-decenyloxy, 4-decenyloxy, 5-decenyloxy, 2-methyl-6-decenyloxy, 3-methyl-7-decenyloxy, 4-methyl-8-decenyloxy, 5-methyl-9-decenyloxy, 1,3-decadienyloxy, 1,4-decadienyloxy, 1,5-decadienyloxy, 1,6-decadienyloxy, 1,7-decadienyloxy, 1,8-decadienyloxy, 1,9-decadienyloxy, 2-methyl-2,4-decadienyloxy, 3-methyl-2,5-decadienyloxy, 4,8-dimethyl-2,6-decadienyloxy, 2,4,6-trimethyl-3,7-decadienyloxy, 2,9-dimethyl-3,7-decadienyloxy, 2-undecenyloxy, 3-undecenyloxy, 4-undecenyloxy, 5-undecenyloxy, 2-methyl-6-undecenyloxy, 3-methyl-7-undecenyloxy, 4-methyl-8-undecenyloxy, 5-methyl-9-undecenyloxy, 2-methyl-10-undecenyloxy, 1,3-undecadienyloxy,



1,4-undecadienyloxy, 1,5-undecadienyloxy, 1,6-undecadienyl-oxy, 1,7-undecadienyloxy, 1,8-undecadienyloxy, 1,9-undecadienyloxy, 1,10-undecadienyloxy, 2-methyl-2,4-undecadienyl-oxy, 3-methyl-2,5-undecadienyloxy, 4,8-dimethyl-2,6-undecadienyloxy, 2,4,6-trimethyl-3,8-undecadienyloxy, 2,9-dimethyl-3,8-undecadienyloxy, 2-dodecenyloxy, 3-dodecenyl-oxy, 4-dodecenyloxy, 5-dodecenyloxy, 6-dodecenyloxy, 2-methyl-7-dodecenyloxy, 3-methyl-8-dodecenyloxy, 4-methyl-9-dodecenyloxy, 5-methyl-10-dodecenyloxy, 6-methyl-11-dodecenyloxy, 2-methyl-2,4-dodecadienyloxy, 3-methyl-2,5-dodecadienyloxy, 4,8-dimethyl-2,6-dodecadienyloxy, 2,4,6-trimethyl-2,7-dodecadienyloxy, 2,10-dimethyl-2,8-dodecadienyloxy, 2,5-dimethyl-3,7-dodecadienyloxy, 4,8,12-trimethyl-3,7,11-dodecatrienyloxy, 1,3,5-heptatrienyloxy, 2,4,6-octatrienyloxy, 1,3,6-nonatrienyloxy, 2,6,8-dodecatrienyloxy, 1,5,7-undecatrienyloxy, and the like.

The "lower alkanoyloxy" includes a straight chain or branched chain alkanoyloxy group having 1 to 6 carbon atoms, for example, formyloxy, acetyloxy, propionyloxy, butyryloxy, isobutyryloxy, pentanoyloxy, tert-butyl-carbonyloxy, hexanoyloxy, and the like.

The "lower alkylsulfonyloxy" includes a straight chain or branched chain alkylsulfonyloxy group having 1 to 6 carbon atoms, for example, methylsulfonyloxy, ethylsulfonyloxy, isopropylsulfonyloxy, butylsulfonyloxy, tert-butylsulfonyloxy, pentylsulfonyloxy, hexylsulfonyloxy, and the like.

The "lower alkynyloxy" includes a straight chain or branched chain alkynyloxy group having 2 to 6 carbon atoms,

for example, ethynyloxy, 2-propynyloxy, 2-butyloxy, 3-butyloxy, 1-methyl-2-propynyloxy, 2-pentyloxy, 2-hexynyloxy, and the like.

The "phenyl(lower)alkoxy" includes a phenylalkoxy group wherein the alkoxy moiety is a straight chain or branched chain alkoxy group having 1 to 6 carbon atoms, for example, benzyloxy, 2-phenylethoxy, 1-phenylethoxy, 3-phenylpropoxy, 4-phenylbutoxy, 5-phenylpentyloxy, 6-phenylhexyloxy, 1,1-dimethyl-2-phenylethoxy, 2-methyl-3-phenylpropoxy, and the like.

The "cycloalkyloxy" includes a cycloalkyloxy group having 3 to 8 carbon atoms, for example, cyclopropyloxy, cyclopentyloxy, cyclohexyloxy, cycloheptyloxy, cyclooctyloxy, and the like.

The "cycloalkenyloxy" includes a cycloalkenyloxy group having 3 to 8 carbon atoms, for example, cyclopropenyloxy, cyclobutenyloxy, cyclopentenyl, cyclohexenyloxy, cycloheptenyloxy, cyclooctenyloxy, and the like.

The "lower alkanoyl which may optionally have one to three substituents of a halogen atom" includes a straight chain or branched chain alkanoyl group having 1 to 6 carbon atoms which may optionally have one to three substituents of a halogen atom, for example, in addition to the above mentioned lower alkanoyl groups, 2,2,2-trifluoroacetyl, 2,2,2-trichloroacetyl, 2-chloroacetyl, 2-bromoacetyl, 2-fluoroacetyl, 2-iodoacetyl, 2,2-difluoroacetyl, 2,2-dibromoacetyl, 3,3,3-trifluoropropionyl, 3,3,3-trichloropropionyl, 3-chloropropionyl, 2,3-dichloropropionyl, 4,4,4-trichloro-

butyryl, 4-fluorobutyryl, 5-chloropentanoyl, 3-chloro-2-methylpropionyl, 6-bromohexanoyl, 5,6-dibromohexanoyl, and the like.

The "lower alkenyl" includes a straight chain or branched chain alkenyl group having 2 to 6 carbon atoms, for example, vinyl, allyl, 2-butenyl, 3-butenyl, 1-methylallyl, 2-pentenyl, 2-hexenyl, and the like.

The "lower alkylthio" includes a straight chain or branched chain alkylthio group having 1 to 6 carbon atoms, for example, methylthio, ethylthio, propylthio, isopropylthio, butylthio, tert-butylthio, pentylthio, hexylthio, and the like.

The "5- or 6-membered, saturated or unsaturated heterocyclic group which is formed by binding R<sup>6</sup> and R<sup>7</sup> together with the nitrogen atom to which they bond and may be intervened or not with nitrogen, oxygen or sulfur atom" includes, for example, pyrrolidinyl, piperidinyl, piperazinyl, morpholino, thiomorpholino, pyrrolyl, pyrazolyl, imidazolyl, imidazolidinyl, pyrrolyl, imidazolinyl, pyrazolinyl, pyrazolidinyl, oxazolinyl, oxazolidinyl, isoxazolinyl, isoxazolidinyl, thiazolinyl, thiazolidinyl, isothiazolinyl, isothiazolidinyl, and the like.

The "heterocyclic group having a substituent selected from a lower alkoxy carbonyl, lower alkyl, lower alkylthio and oxo" includes the above heterocyclic groups which have a substituent selected from a straight chain or branched chain alkoxy carbonyl group having 1 to 6 carbon atoms, a straight chain or branched chain alkyl group having

1 to 6 carbon atoms, a straight chain or branched chain alkylthio group having 1 to 6 carbon atoms, and an oxo group, for example, 4-tert-butoxycarbonylpiperazinyl, 4-methylpiperazinyl, 2-ethylthioimidazolyl, 2-oxopyrrolidinyl, 2-oxo-oxazolidinyl, 3-oxopiperazinyl, 4-methoxycarbonylpiperazinyl, 3-ethoxycarbonylpiperidinyl, 2-propoxycarbonylpyrrolidinyl, 3-pentyloxycarbonylthiomorpholino, 2-hexyloxycarbonylthiomorpholino, 3-ethoxycarbonylpyrrolyl, 3-methoxycarbonylimidazolyl, 3-ethylpiperidinyl, 3-propylpyrrolidinyl, 3-butylpyrrolyl, 2-pentylimidazolyl, 3-hexylmorpholino, 2-methylthiomorpholino, 2-methyloxazolidinyl, 2-ethylthiazolinyl, 3-methylisoxazolinyl, 2-methylthioimidazolyl, 2-propylthioimidazolinyl, 2-butylthioimidazolidinyl, 3-pentylthiopyrrolyl, 3-hexylthiopyrrolinyl, 3-methylthiopyrrolidinyl, 3-ethylthiomorpholino, 2-methylthiomorpholino, 2-methylthioisoxazolidinyl, and the like.

The "lower alkyl which may optionally have one to three substituents selected from a halogen atom, hydroxy, phenyl and a lower alkoxy" includes a straight chain or branched chain alkyl group having 1 to 6 carbon atoms which may optionally have one to three substituents selected from a halogen atom, hydroxy, phenyl and a straight chain or branched chain alkoxy group having 1 to 6 carbon atoms, for example, in addition to the above-mentioned lower alkyl groups, hydroxymethyl, 2-hydroxyethyl, 1-hydroxyethyl, 3-hydroxypropyl, 2,3-dihydroxypropyl, 4-hydroxybutyl, 1,1-dimethyl-2-hydroxyethyl, 5,5,4-trihydroxypentyl, 5-hydroxypentyl, 6-hydroxyhexyl, 1-hydroxyisopropyl, 2-methyl-3-

hydroxypropyl, trifluoromethyl, trichloromethyl, chloromethyl, bromomethyl, fluoromethyl, iodomethyl, difluoromethyl, dibromomethyl, 2-chloroethyl, 2,2,2-trifluoroethyl, 2,2,2-trichloroethyl, 3-chloropropyl, 2,3-dichloropropyl, 4,4,4-trichlorobutyl, 4-fluorobutyl, 5-chloropentyl, 3-chloro-2-methylpropyl, 5-bromohexyl, 5,6-dichlorohexyl, benzyl, 1-phenylethyl, 2-phenylethyl, 3-phenylpropyl, 4-phenylbutyl, 1,1-dimethyl-2-phenylethyl, 5-phenylpentyl, 6-phenylhexyl, 2-methyl-3-phenylpropyl, methoxymethyl, 3-methoxypropyl, 4-ethoxybutyl, 6-propoxyhexyl, 5-isopropoxypentyl, 1,1-dimethyl-2-butoxyethyl, 2-methyl-3-tert-butoxypropyl, 2-pentyloxyethyl, hexyloxymethyl, dimethoxymethyl, 2,3-dimethoxyethyl, 6,6,5-trimethoxyhexyl, 1-hydroxy-1-phenylmethyl, 1-hydroxy-2-phenylethyl, 1-hydroxy-3-phenylpropyl, 1-methoxy-1-phenylmethyl, and the like.

The "cyano-substituted lower alkoxy" includes a straight chain or branched chain alkoxy group having 1 to 6 carbon atoms which is substituted by cyano group, for example, cyanomethoxy, 2-cyanoethoxy, 1-cyanoethoxy, 3-cyanopropoxy, 4-cyanobutoxy, 5-cyanopentyloxy, 6-cyanohexyloxy, 1,1-dimethyl-2-cyanoethoxy, 2-methyl-3-cyanopropoxy, and the like.

The "oxiranyl-substituted lower alkoxy" includes a straight chain or branched chain alkoxy group having 1 to 6 carbon atoms which is substituted by oxiranyl group, for example, glycidoxy, 2-oxiranylethoxy, 1-oxiranylethoxy, 3-oxiranylpropoxy, 4-oxiranylbutoxy, 5-oxiranylpentyloxy, 6-oxiranylhxyloxy, 1,1-dimethyl-2-oxiranylethoxy, 2-methyl-3-

oxiranylpropoxy, and the like.

The "phthalimido-substituted alkoxy" includes a straight chain or branched chain alkoxy group having 1 to 12 carbon atoms which is substituted by phthalimido group, for example, phthalimidomethoxy, 2-phthalimidoethoxy, 1-phthalimidoethoxy, 3-phthalimidopropoxy, 4-phthalimidobutoxy, 5-phthalimidopentyloxy, 6-phthalimidohexyloxy, 1,1-dimethyl-2-phthalimidoethoxy, 2-methyl-3-phthalimidopropoxy, 7-phthalimidoheptyloxy, 8-phthalimidooctyloxy, 9-phthalimidononyloxy, 10-phthalimidodecyloxy, 11-phthalimidoundecyloxy, 12-phthalimidododecyloxy, and the like.

The "pyrrolyl-substituted lower alkoxy" includes a straight chain or branched chain alkoxy group having 1 to 6 carbon atoms which is substituted by pyrrolyl group, for example, (1-pyrrolyl)methoxy, 2-(2-pyrrolyl)ethoxy, 1-(3-pyrrolyl)ethoxy, 3-(1-pyrrolyl)propoxy, 4-(1-pyrrolyl)-butoxy, 5-(2-pyrrolyl)pentyloxy, 6-(3-pyrrolyl)hexyloxy, 1,1-dimethyl-2-(1-pyrrolyl)ethoxy, 2-methyl-3-(1-pyrrolyl)-propoxy, and the like.

The "amidino-substituted lower alkoxy" includes a straight chain or branched chain alkoxy group having 1 to 6 carbon atoms which is substituted by amidino group, for example, amidinomethoxy, 2-amidinoethoxy, 1-amidinoethoxy, 3-amidinopropoxy, 2-amidinobutoxy, 5-amidinopentyloxy, 6-amidinohexyloxy, 1,1-dimethyl-2-amidinoethoxy, 2-methyl-3-amidinopropoxy, and the like.

The "lower alkanoyloxy(lower)alkyl" includes a straight chain or branched chain alkyl group having 1 to 6

carbon atoms which is substituted by a straight chain or branched chain alkanoyloxy group having 2 to 6 carbon atoms, for example, acetyloxymethyl, 2-propionyloxyethyl, 1-butyryloxyethyl, 3-acetyloxypropyl, 4-isobutyryloxybutyl, 5-pentanoyloxypentyl, 6-tert-butylcarbonyloxyhexyl, 1,1-dimethyl-2-hexanoyloxyethyl, 2-methyl-3-acetyloxypropyl, and the like.

The "lower alkylsulfinyl" includes a straight chain or branched chain alkylsulfinyl group having 1 to 6 carbon atoms, for example, methylsulfinyl, ethylsulfinyl, isopropylsulfinyl, butylsulfiyl, tert-butylsulfinyl, pentylsulfinyl, hexylsulfinyl, and the like.

The "lower alkenyl having optionally a hydroxy-substituent" include a straight chain or branched chain alkenyl group having 2 to 6 carbon atoms and having optionally a hydroxy-substituent, for example, in addition to the above-mentioned lower alkenyl groups, 1-hydroxyallyl, 4-hydroxy-1-butenyl, 4-hydroxy-2-butenyl, 2-hydroxy-3-butenyl, 5-hydroxy-2-pentenyl, 6-hydroxy-2-hexenyl, and the like.

The "lower alkylenedioxy" includes a straight chain or branched chain alkylenedioxy group having 1 to 4 carbon atoms, for example, methylenedioxy, ethylenedioxy, trimethylenedioxy, tetramethylenedioxy, and the like.

The "lower alkylsilyl" includes a silyl group having one to three substituents of a straight chain or branched chain alkyl group having 1 to 6 carbon atoms, for example, methylsilyl, ethylsilyl, propylsilyl, isopropylsilyl, butylsilyl, tert-butylsilyl, pentylsilyl,

hexylsilyl, dimethylsilyl, trimethylsilyl, dimethyl-tert-butylsilyl, and the like.

The "amino which may optionally be substituted by a lower alkanoyl" includes an amino which may optionally be substituted by a straight chain or branched chain alkanoyl group having 1 to 6 carbon atoms, for example, amino, formylamino, acetylamino, propionylamino, butyrylamino, isobutyrylamino, pentanoylamino, tert-butylcarbonylamino, hexanoylamino, and the like.

The "phenoxycarbonyl which may optionally have one to three substituents selected from nitro and an amino having optionally one or two substituents selected from a lower alkanoyl, lower alkyl and benzoyl" includes a phenoxycarbonyl group which may optionally have one to three substituents selected from nitro group and an amino group having optionally one or two substituents selected from a straight chain or branched chain alkanoyl group having 1 to 6 carbon atoms, a straight chain or branched chain alkyl group having 1 to 6 carbon atoms and benzoyl group, for example, phenoxycarbonyl, 2-nitrophenoxycarbonyl, 3-nitrophenoxycarbonyl, 4-nitrophenoxycarbonyl, 3,4-dinitrophenoxycarbonyl, 2,5-dinitrophenoxycarbonyl, 2,6-dinitrophenoxycarbonyl, 3,4,5-trinitrophenoxycarbonyl, 2-aminophenoxycarbonyl, 3-aminophenoxycarbonyl, 4-aminophenoxycarbonyl, 3-acetylaminophenoxycarbonyl, 4-formylaminophenoxycarbonyl, 4-isobutyrylamino-phenoxycarbonyl, 2-pentanoylamino-phenoxycarbonyl, 3-hexanoylamino-phenoxycarbonyl, 3,4-diacetylaminophenoxycarbonyl, 3,4-diaminophenoxycarbonyl, 2,6-diaminophe-



noxy carbonyl, 2,5-diaminophenoxy carbonyl, 2,4,6-triaminophenoxy carbonyl, 4-acetylaminophenoxy carbonyl, 4-dimethylaminophenoxy carbonyl, 4-benzoylaminophenoxy carbonyl, 3-(N-methyl-N-benzoylamino)phenoxy carbonyl, 2-(N-ethyl-N-acetylamino)phenoxy carbonyl, and the like.

The "phenyl(lower)alkenylcarbonyl" includes a phenylalkenylcarbonyl group wherein the alkenylcarbonyl moiety is a straight chain or branched chain alkenylcarbonyl group having 3 to 6 carbon atoms, for example, cinnamoyl, 4-phenyl-3-butenoyl, 4-phenyl-2-butenoyl, 5-phenyl-4-pentenoyl, 5-phenyl-3-pentenoyl, 5-phenyl-2-pentenoyl, 6-phenyl-5-hexenoyl, 6-phenyl-4-hexenoyl, 6-phenyl-3-hexenoyl, 6-phenyl-2-hexenoyl, 2-methyl-4-phenyl-3-butenoyl, and the like.

The "amino having optionally a lower alkoxycarbonyl substituent" includes an amino being optionally substituted by a straight chain or branched chain alkoxycarbonyl group having 1 to 6 carbon atoms, for example, amino, methoxycarbonylamino, ethoxycarbonylamino, propoxycarbonylamino, isopropoxycarbonylamino, butoxycarbonylamino, tert-butoxycarbonylamino, pentyloxycarbonylamino, hexyloxycarbonylamino, and the like.

The "phenyl(lower)alkanoyl wherein the lower alkanoyl moiety may optionally be substituted by an amino having optionally a lower alkoxycarbonyl substituent" includes a phenylalkanoyl wherein the alkanoyl moiety is a straight chain or branched chain alkanoyl group having 2 to 6 carbon atoms and may optionally be substituted by an amino

group which may optionally be substituted by a straight chain or branched chain alkoxy carbonyl group having 1 to 6 carbon atoms, for example, phenylacetyl, 3-phenylpropionyl, 2-phenylpropionyl, 4-phenylbutyryl, 2,2-dimethyl-3-phenylpropionyl, 5-phenylpentanoyl, 6-phenylhexanoyl, 3-methyl-4-phenylbutyryl, 2-amino-4-phenylacetyl, 2-tert-butoxycarbonylamino-2-phenylacetyl, 2-methoxycarbonylamino-2-phenylacetyl, 2-ethoxycarbonylamino-3-phenylpropionyl, 2-propoxycarbonylamino-4-phenylbutyryl, 2-pentyloxycarbonylamino-5-phenylpentanoyl, 2-hexyloxycarbonylamino-6-phenylhexanoyl, and the like.

The "alkanoyl" includes a straight chain or branched chain alkanoyl group having 1 to 12 carbon atoms, for example, in addition to the above-mentioned lower alkanoyl groups, heptanoyl, octanoyl, nonanoyl, decanoyl, undecanoyl, dodecanoyl, neopentanoyl, and the like.

The "alkenylcarbonyl" includes a straight chain or branched chain alkenylcarbonyl group having 2 to 12 carbon atoms and having one to three double bonds, for example, vinylcarbonyl, acrylcarbonyl, 3-methyl-2-butenylcarbonyl, 2-butenylcarbonyl, 1-methylallylcarbonyl, 2-pentenylcarbonyl, 2-hexenylcarbonyl, 1-heptenylcarbonyl, 1-octenylcarbonyl, 1-nonenylcarbonyl, 1-decenylcarbonyl, 1-undecenylcarbonyl, 1-dodecenylcarbonyl, 2-heptenylcarbonyl, 3-heptenylcarbonyl, 2-methyl-4-heptenylcarbonyl, 2-methyl-5-heptenylcarbonyl, 4-methyl-2-heptenylcarbonyl, 3-methyl-1-heptenylcarbonyl, 1,3-heptadienylcarbonyl, 1,4-heptadienylcarbonyl, 1,5-heptadienylcarbonyl, 1,6-heptadienylcarbonyl, 2,4-heptadienyl-

carbonyl, 2-methyl-2,4-heptadienylcarbonyl, 2,6-dimethyl-2,4-heptadienylcarbonyl, 2,6-dimethyl-1,5-heptadienylcarbonyl, 2,5-dimethyl-1,3-heptadienylcarbonyl, 2,4,6-trimethyl-2,4-heptadienylcarbonyl, 2-octenylcarbonyl, 3-octenylcarbonyl, 4-octenylcarbonyl, 2-methyl-5-octenylcarbonyl, 2-methyl-6-octenylcarbonyl, 2-methyl-7-octenylcarbonyl, 1,3-octadienylcarbonyl, 1,4-octadienylcarbonyl, 1,5-octadienylcarbonyl, 1,6-octadienylcarbonyl, 1,7-octadienylcarbonyl, 2,4-octadienylcarbonyl, 3,7-octadienylcarbonyl, 4,8-dimethyl-3,7-octadienylcarbonyl, 2,4,6-trimethyl-3,7-octadienylcarbonyl, 3,4-dimethyl-2,5-octadienylcarbonyl, 4,8-dimethyl-2,6-octadienylcarbonyl, 2-nonenylcarbonyl, 3-nonenylcarbonyl, 4-nonenylcarbonyl, 2-methyl-5-nonenylcarbonyl, 2-methyl-6-nonenylcarbonyl, 2-methyl-7-nonenylcarbonyl, 2-methyl-8-nonenylcarbonyl, 1,3-nonadienylcarbonyl, 1,4-nonadienylcarbonyl, 1,5-nonadienylcarbonyl, 1,6-nonadienylcarbonyl, 1,7-nonadienylcarbonyl, 1,8-nonadienylcarbonyl, 2,4-nonadienylcarbonyl, 3,7-nonadienylcarbonyl, 4,8-dimethyl-3,7-nonadienylcarbonyl, 2,4,6-trimethyl-3,7-nonadienylcarbonyl, 3,4-dimethyl-2,5-nonadienylcarbonyl, 4,8-dimethyl-2,6-nonadienylcarbonyl, 2-decenylcarbonyl, 3-decenylcarbonyl, 4-decenylcarbonyl, 5-decenylcarbonyl, 2-methyl-6-decenylcarbonyl, 3-methyl-7-decenylcarbonyl, 4-methyl-8-decenylcarbonyl, 5-methyl-9-decenylcarbonyl, 1,3-decadienylcarbonyl, 1,4-decadienylcarbonyl, 1,5-decadienylcarbonyl, 1,6-decadienylcarbonyl, 1,7-decadienylcarbonyl, 1,8-decadienylcarbonyl, 1,9-decadienylcarbonyl, 2-methyl-2,4-decadienylcarbonyl, 3-

methyl-2,5-decadienylcarbonyl, 4,8-dimethyl-2,6-decadienylcarbonyl, 2,4,6-trimethyl-3,7-decadienylcarbonyl, 2,9-dimethyl-3,7-decadienylcarbonyl, 2-undecenylcarbonyl, 3-undecenylcarbonyl, 4-undecenylcarbonyl, 5-undecenylcarbonyl, 2-methyl-6-undecenylcarbonyl, 3-methyl-7-undecenylcarbonyl, 4-methyl-8-undecenylcarbonyl, 5-methyl-9-undecenylcarbonyl, 2-methyl-10-undecenylcarbonyl, 1,3-undecadienylcarbonyl, 1,4-undecadienylcarbonyl, 1,5-undecadienylcarbonyl, 1,6-undecadienylcarbonyl, 1,7-undecadienylcarbonyl, 1,8-undecadienylcarbonyl, 1,9-undecadienylcarbonyl, 1,10-undecadienylcarbonyl, 2-methyl-2,4-undecadienylcarbonyl, 3-methyl-2,5-undecadienylcarbonyl, 4,8-dimethyl-2,6-undecadienylcarbonyl, 2,4,6-trimethyl-3,8-undecadienylcarbonyl, 2,9-dimethyl-3,8-undecadienylcarbonyl, 2-dodecenylcarbonyl, 3-dodecenylcarbonyl, 4-dodecenylcarbonyl, 5-dodecenylcarbonyl, 6-dodecenylcarbonyl, 2-methyl-7-dodecenylcarbonyl, 3-methyl-8-dodecenylcarbonyl, 4-methyl-9-dodecenylcarbonyl, 5-methyl-10-dodecenylcarbonyl, 6-methyl-11-dodecenylcarbonyl, 2-methyl-2,4-dodecadienylcarbonyl, 3-methyl-2,5-dodecadienylcarbonyl, 4,8-dimethyl-2,6-dodecadienylcarbonyl, 2,4,6-trimethyl-2,7-dodecadienylcarbonyl, 2,10-dimethyl-2,8-dodecadienylcarbonyl, 2,5-dimethyl-3,7-dodecadienylcarbonyl, 4,8,12-trimethyl-3,7,11-dodecatrienylcarbonyl, 1,3,5-heptatrienylcarbonyl, 2,4,6-octatrienylcarbonyl, 1,3,6-nonatrienylcarbonyl, 2,6,8-dodecatrienylcarbonyl, 1,5,7-undecatrienylcarbonyl, and the like.

The "phenylsulfonyl wherein the phenyl ring may

optionally have a lower alkoxy substituent" includes a phenylsulfonyl group wherein the phenyl ring may optionally have one to three substituents of a straight chain or branched chain alkoxy group having 1 to 6 carbon atoms, for example, phenylsulfonyl, 2-methoxyphenylsulfonyl, 3-methoxyphenylsulfonyl, 4-methoxyphenylsulfonyl, 2-ethoxyphenylsulfonyl, 3-ethoxyphenylsulfonyl, 4-ethoxyphenylsulfonyl, 4-isopropoxyphenylsulfonyl, 4-pentyloxyphenylsulfonyl, 4-hexyloxyphenylsulfonyl, 3,4-dimethoxyphenylsulfonyl, 3-ethoxy-4-methoxyphenylsulfonyl, 2,3-dimethoxyphenylsulfonyl, 3,4-diethoxyphenylsulfonyl, 2,5-dimethoxyphenylsulfonyl, 2,6-dimethoxyphenylsulfonyl, 3,5-dimethoxyphenylsulfonyl, 3,4-dipentyloxyphenylsulfonyl, 3,4,5-trimethoxyphenylsulfonyl, and the like.

The "phenyl which may optionally have one to three substituents selected from a lower alkoxy, a lower alkyl, a halogen atom, an amino having optionally one or two substituents selected from a lower alkyl and a lower alkanoyl, and nitro" includes a phenyl group which may optionally have one to three substituents selected from a straight chain or branched chain alkoxy group having 1 to 6 carbon atoms, a straight chain or branched chain alkyl group having 1 to 6 carbon atoms, a halogen atom, an amino group having optionally one or two substituents selected from a straight chain or branched chain alkyl group having 1 to 6 carbon atoms and a straight chain or branched chain alkanoyl group having 1 to 6 carbon atoms, and a nitro group, for example, phenyl, 2-methoxyphenyl, 3-methoxyphenyl, 4-

methoxyphenyl, 2-ethoxyphenyl, 3-ethoxyphenyl, 4-ethoxyphenyl, 4-isopropoxyphenyl, 3-butoxyphenyl, 4-pentyloxyphenyl, 4-hexyloxyphenyl, 3,4-dimethoxyphenyl, 3-ethoxy-4-methoxyphenyl, 2,3-dimethoxyphenyl, 3,4-diethoxyphenyl, 2,4-diethoxyphenyl, 2,5-dimethoxyphenyl, 2,6-dimethoxyphenyl, 3,5-dimethoxyphenyl, 3,4-dipentyloxyphenyl, 3,4,5-trimethoxyphenyl, 2-methylphenyl, 3-methylphenyl, 4-methylphenyl, 2-ethylphenyl, 3-ethylphenyl, 4-ethylphenyl, 4-isopropylphenyl, 3-butylphenyl, 4-pentylphenyl, 4-hexylphenyl, 3,4-dimethylphenyl, 3,4-diethylphenyl, 2,5-dimethylphenyl, 2,6-dimethylphenyl, 3,4,5-trimethylphenyl, 2-chlorophenyl, 3-chlorophenyl, 4-chlorophenyl, 2-fluorophenyl, 3-fluorophenyl, 4-fluorophenyl, 2-bromophenyl, 3-bromophenyl, 4-bromophenyl, 2-iodophenyl, 3-iodophenyl, 4-iodophenyl, 3,4-dichlorophenyl, 3,5-dichlorophenyl, 2,6-dichlorophenyl, 2,3-dichlorophenyl, 2,4-dichlorophenyl, 3,4-difluorophenyl, 3,5-dibromophenyl, 3,4,5-trichlorophenyl, 2-nitrophenyl, 3-nitrophenyl, 4-nitrophenyl, 3,4-dinitrophenyl, 2,5-dinitrophenyl, 2,6-dinitrophenyl, 3,4,5-trinitrophenyl, 2-aminophenyl, 3-aminophenyl, 4-aminophenyl, 3-(N-acetylamino)phenyl, 4-(N-formylamino)phenyl, 4-(N-isobutyrylamino)phenyl, 2-(N-pentanoylamino)phenyl, 3,4-diaminophenyl, 3,4-di(N-acetylamino)phenyl, 3,4,5-triaminophenyl, 2,6-diaminophenyl, 2,5-diaminophenyl, 3,5-di-t-butyl-4-hydroxyphenyl, 3-hydroxy-4-pentyloxyphenyl, 2-hydroxy-5-t-butylphenyl, 3,5-dichloro-4-aminophenyl, 3-amino-4-hydroxyphenyl, 3-acetylamino-4-methoxyphenyl, 3-nitro-4-acetylaminophenyl, 3-nitro-4-chlorophenyl, 3-chloro-4-methylphenyl, 3-methoxy-4-methyl-

5-iodophenyl, 3,4-dimethoxy-5-bromophenyl, 3,5-diiodo-4-methoxyphenyl, 4-dimethylaminophenyl, 3-methylaminophenyl, 2-butylaminophenyl, 4-diethylaminophenyl, 3-dipropylaminophenyl, 2-(N-methyl-N-hexylamino)phenyl, 4-(N-methyl-N-acetylamino)phenyl, 2,4-dimethylaminophenyl, and the like.

The "heterocyclic group-substituted carbonyl" includes a carbonyl group which is substituted by a 5- to 10-membered, monocyclic or dicyclic heterocyclic groups containing one or two hetero atoms selected from nitrogen atom, oxygen atom and/or sulfur atom, for example, 2-pyrrolidinylcarbonyl, 3-pyrrolidinylcarbonyl, 1-piperidinylcarbonyl, 1-piperazinylcarbonyl, morpholinocarbonyl, thiomorpholinocarbonyl, 2-tetrahydrofurylcarbonyl, 2-thienylcarbonyl, 3-thienylcarbonyl, 2-pyrrolylcarbonyl, 3-pyrrolylcarbonyl, 2-furoyl, 3-furoyl, 2-pyridylcarbonyl, 3-pyridylcarbonyl, 4-pyridylcarbonyl, 3-pyridazylcarbonyl, 2-thiazolylcarbonyl, 2-oxazolylcarbonyl, 2-imidazolylcarbonyl, 4-pyridazylcarbonyl, 5-pyridazylcarbonyl, 6-pyridazylcarbonyl, 2-pyrimidylcarbonyl, 4-pyrimidylcarbonyl, 5-pyrimidylcarbonyl, 6-pyrimidylcarbonyl, 2-pyradylcarbonyl, 3-pyradylcarbonyl, 6-quinolylcarbonyl, 5-indolylcarbonyl, 6-isoquinolylcarbonyl, 4-cinnolylcarbonyl, 3-quinoxalylcarbonyl, 4-phthalazylcarbonyl, 5-quinazolylcarbonyl, 3-benzo[b]furanylcarbonyl, 5-benzo[b]thiophenylcarbonyl, 2-oxo-6-quinolylcarbonyl, 2-oxo-4-quinolylcarbonyl, and the like.

The above "heterocyclic group-substituted carbonyl" which has one to three substituents selected from a phenyl-

(lower)alkoxycarbonyl, a phenyl(lower)alkoxy, oxo, a lower alkyl and a lower alkylenedioxy" includes the above-mentioned heterocyclic group-substituted carbonyl groups which have one to three substituents selected from a phenyl-alkoxycarbonyl group wherein the alkoxycarbonyl moiety is a straight chain or branched chain alkoxycarbonyl group having 1 to 6 carbon atoms, a phenylalkoxy group wherein the alkoxy moiety is a straight chain or branched chain alkoxy group having 1 to 6 carbon atoms, an oxo group, a straight chain or branched chain alkyl group having 1 to 6 carbon atoms, and a straight chain or branched chain alkylenedioxy group having 1 to 4 carbon atoms, for example, 1-benzyloxy-carbonyl-2-pyrrolidinylcarbonyl, 3-benzyloxycarbonyl-4,5-(1,1-dimethylmethylene)-2-tetrahydrofurylcarbonyl, 4-(2-phenylethoxycarbonyl)-1-piperazinylcarbonyl, 3-methyl-2-thienylcarbonyl, 3-ethyl-2-pyrrolylcarbonyl, 3-propyl-2-furoyl, 4-butyl-2-oxo-6-quinolylcarbonyl, 6-pentyl-2-oxo-4-quinolylcarbonyl, 5-hexyl-2-pyrazylcarbonyl, 1,3-dioxo-2-methyl-6-quinazolylcarbonyl, 4,5-methylenedioxy-3-indolyl-carbonyl, 3-(3-phenylpropoxy)morpholinocarbonyl, and the like.

The "thienyl(lower)alkanoyl" includes a thienyl-alkanoyl wherein the alkanoyl moiety is a straight chain or branched chain alkanoyl group having 2 to 6 carbon atoms, for example, 2-(2-thienyl)acetyl, 3-(3-thienyl)propionyl, 2-(3-thienyl)propionyl, 4-(2-thienyl)butyryl, 2,2-dimethyl-3-(3-thienyl)propionyl, 5-(2-thienyl)pentanoyl, 6-(3-thienyl)-hexanoyl, 3-methyl-4-(2-thienyl)butyryl, and the like.



The "tricyclo[3.3.1.1]decanyl(lower)alkanoyl" includes a tricyclo[3.3.1.1]decanylalkanoyl wherein the alkanoyl moiety is a straight chain or branched chain alkanoyl group having 2 to 6 carbon atoms, for example, 2-tricyclo[3.3.1.1]decanylacetyl, 3-tricyclo[3.3.1.1]decanylpropionyl, 2-tricyclo[3.3.1.1]decanylpropionyl, 4-tricyclo[3.3.1.1]decanylbutyryl, 2,2-dimethyl-3-tricyclo[3.3.1.1]decanylpropionyl, 5-tricyclo[3.3.1.1]decanylpentanoyl, 6-tricyclo[3.3.1.1]decanylhexanoyl, 3-methyl-4-tricyclo[3.3.1.1]decanylbutyryl, and the like.

The "benzoyl wherein the phenyl ring may optionally have a lower alkoxy substituent" includes a benzoyl which may optionally have one to three substituents of a straight chain or branched chain alkoxy group having 1 to 6 carbon atoms on the phenyl ring, for example, benzoyl, 2-methoxybenzoyl, 3-methoxybenzoyl, 4-methoxybenzoyl, 2-ethoxybenzoyl, 3-ethoxybenzoyl, 4-butoxybenzoyl, 4-isopropoxybenzoyl, 4-pentyloxybenzoyl, 4-hexyloxybenzoyl, 3,4-dimethoxybenzoyl, 3-ethoxy-4-methoxybenzoyl, 2,3-dimethoxybenzoyl, 3,4-diethoxybenzoyl, 2,5-dimethoxybenzoyl, 2,6-dimethoxybenzoyl, 2,4-dimethoxybenzoyl, 2,4,6-trimethoxybenzoyl, 3,5-dimethoxybenzoyl, 3,4-dipentyloxybenzoyl, 3,4,5-trimethoxybenzoyl, and the like.

The "phenyl which may optionally have a lower alkoxy substituent" includes a phenyl group which may optionally have one to three substituents of a straight chain or branched chain alkoxy group having 1 to 6 carbon atoms, for example, phenyl, 2-methoxyphenyl, 3-methoxy-

phenyl, 4-methoxyphenyl, 2-ethoxyphenyl, 3-ethoxyphenyl, 4-ethoxyphenyl, 4-isopropoxyphenyl, 3-butoxyphenyl, 4-pentyloxyphenyl, 4-hexyloxyphenyl, 3,4-dimethoxyphenyl, 3-ethoxy-4-methoxyphenyl, 2,3-dimethoxyphenyl, 3,4-diethoxyphenyl, 2,5-dimethoxyphenyl, 2,6-dimethoxyphenyl, 3,5-dimethoxyphenyl, 3,4-dipentyloxyphenyl, 3,4,5-trimethoxyphenyl, and the like.

The "cycloalkyl" includes a cycloalkyl having 3 to 8 carbon atoms, for example, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl, cyclooctyl, and the like.

The "saturated or unsaturated heterocyclic group which is formed by binding the groups  $R^{11}$  and  $R^{12}$  together with the nitrogen atom to which they bond and may be intervened or not with nitrogen, oxygen or sulfur atom" includes, a 5- to 10-membered, saturated or unsaturated, monocyclic or dicyclic heterocyclic group, for example, pyrrolidinyl, piperidinyl, piperazinyl, morpholino, thiomorpholino, pyrrolyl, imidazolyl, pyrazolyl, imidazolidinyl, pyrazolinyl, pyrazolidinyl, oxazolinyl, oxazolidinyl, isoxazolinyl, isoxazolidinyl, thiazolinyl, thiazolidinyl, isothiazolinyl, isothiazolidinyl, 1,2,3,4-tetrahydroquinolyl, 1,2-dihydroquinolyl, indolyl, isoindolyl, 1,2-dihydroisoquinolyl, 1,2,3,4-tetrahydroisoquinolyl, 1H-indazolyl, 1,2-dihydroquinazolyl, 1,2-dihydrocinnolyl, 1,2-dihydroquinoxalyl, 1,2,3,4-tetrahydroquinazolyl, 1,2,3,4-tetrahydrocinnolyl, 1,2,3,4-tetrahydroquinoxalyl, and the like.

The "phenyl which may optionally have a substituent

selected from a lower alkoxy and a lower alkanoyl" includes a phenyl group which may optionally have one to three substituents selected from a straight chain or branched chain alkoxy group having 1 to 6 carbon atoms and a straight chain or branched chain alkanoyl group having 1 to 6 carbon atoms, for example, phenyl, 2-methoxyphenyl, 3-methoxyphenyl, 4-methoxyphenyl, 2-ethoxyphenyl, 3-ethoxyphenyl, 4-ethoxyphenyl, 4-isopropoxyphenyl, 3-butoxyphenyl, 4-pentyloxyphenyl, 4-hexyloxyphenyl, 3,4-dimethoxyphenyl, 3-ethoxy-4-methoxyphenyl, 2,3-dimethoxyphenyl, 3,4-diethoxyphenyl, 2,5-dimethoxyphenyl, 2,6-dimethoxyphenyl, 3,5-dimethoxyphenyl, 3,4-dipentyloxyphenyl, 3,4,5-trimethoxyphenyl, 3-methoxy-4-acetylphenyl, 2-acetylphenyl, 3-acetylphenyl, 4-acetylphenyl, 2-formylphenyl, 3-propionylphenyl, 4-isobutyrylphenyl, 2-pentanoylphenyl, 3-hexanoylphenyl, 3,4-diacetylphenyl, 2,5-diacetylphenyl, 3,4,5-triacetylphenyl, and the like.

The "heterocyclic group which is substituted by a member selected from benzoyl, a lower alkanoyl, a phenyl-(lower)alkyl, and a phenyl having optionally a substituent selected from a lower alkoxy and a lower alkanoyl" includes the above heterocyclic groups which have a substituent selected from benzoyl group, a straight chain or branched chain alkanoyl group having 1 to 6 carbon atoms, a phenyl-alkyl group wherein the alkyl moiety is a straight chain or branched chain alkyl group having 1 to 6 carbon atoms, and a phenyl group having optionally one to three substituents selected from a straight chain or branched chain alkoxy

group having 1 to 6 carbon atoms and a straight chain or branched chain alkanoyl group having 1 to 6 carbon atoms, for example, 3-benzoyl-1-pyrrolidinyl, 4-benzoyl-1-piperidinyl, 4-benzoyl-1-piperazinyl, 4-benzyl-1-piperazinyl, 3-(2-phenylethyl)morpholino, 3-(3-phenylpropyl)thiomorpholino, 3-(4-phenylbutyl)-1-pyrrolyl, 4-acetyl-1-piperidinyl, 4-acetyl-1-piperazinyl, 4-formyl-1-piperazinyl, 2-(5-phenylpentyl)-1-imidazolyl, 3-(6-phenylpentyl)-1-pyrazolyl, 4-(4-methoxyphenyl)-1-piperazinyl, 4-(4-acetylphenyl)-1-piperazinyl, 4-(3-ethoxyphenyl)-1-piperazinyl, 4-(3-propionylphenyl)-1-piperazinyl, 5-benzyl-1,2,3,4-tetrahydroquinolin-1-yl, 6-(4-butyrylphenyl)-1,2,3,4-tetrahydroisoquinolin-2-yl, 4-(2-propoxyphenyl)-1-indolyl, 5-(3-pentanoylphenyl)-1H-indazol-1-yl, 6-(3-butoxyphenyl)-1,2-dihydroquinazolin-1-yl, 7-(4-hexanoylphenyl)-1,2-dihydrocinnolin-2-yl, 6-(4-hexyloxyphenyl)-1,2,3,4-tetrahydroquinoxalin-1-yl, and the like.

The "alkylene" includes a straight chain or branched chain alkylene group having 1 to 12 carbon atoms, for example, in addition to the above-mentioned lower alkylene groups, heptamethylene, octamethylene, nonamethylene, decamethylene, undecamethylene, dodecamethylene, and the like.

The "lower alkoxy carbonyl(lower)alkyl wherein the alkyl moiety may optionally be substituted by hydroxy or an amino having optionally a phenyl(lower)alkoxy carbonyl substituent" includes a straight chain or branched chain alkoxy carbonylalkyl group having 1 to 6 carbon atoms in the

alkoxy moiety, wherein the alkyl moiety is straight chain or branched chain alkyl group having 1 to 6 carbon atoms and has optionally a substituent selected from a hydroxy group and an amino group having optionally a substituent of a phenylalkoxycarbonyl group wherein the alkoxycarbonyl moiety is a straight chain or branched chain alkoxycarbonyl group having 1 to 6 carbon atoms, for example, 1-hydroxy-1-methoxycarbonylmethyl, 1-methoxycarbonyl-2-hydroxyethyl, 3-hydroxy-3-methoxycarbonylpropyl, 2-hydroxy-4-ethoxycarbonylbutyl, 2-hydroxy-6-propoxycarbonylhexyl, 2-hydroxy-2-pentyloxycarbonylethyl, 1-hydroxy-1-hexyloxycarbonylmethyl, 5-benzyloxycarbonylamino-1-methoxycarbonylpentyl, 5-amino-1-methoxycarbonylpentyl, 3-(2-phenylethoxycarbonylamino)-1-ethoxycarbonylpropyl, 4-amino-1-butyloxycarbonylbutyl, and the like.

The "amino-substituted lower alkanoyl wherein the lower alkanoyl moiety may optionally be substituted by a member selected from a phenyl(lower)alkoxycarbonylamino, hydroxy, a phenyl having optionally a hydroxy substituent, carbamoyl, imidazolyl and a lower alkylthio, and the amino group may optionally have a substituent selected from a lower alkyl having optionally a hydroxy substituent, a lower alkenyl, a phenyl(lower)alkyl having optionally a lower alkoxy substituent on the phenyl ring, a lower alkylsulfonyl, a lower alkanoyl, and a phenyl(lower)alkoxycarbonyl" includes an amino-substituted straight chain or branched chain alkanoyl group having 2 to 6 carbon atoms, wherein the alkanoyl moiety may optionally be substituted by

a member selected from phenylalkoxycarbonylamino wherein the alkoxycarbonyl moiety is a straight chain or branched chain alkoxycarbonyl group having 1 to 6 carbon atoms, a hydroxy group, a phenyl group having optionally one to three hydroxy-substitutents, a carbamoyl group, an imidazolyl group and a straight chain or branched chain alkylthio group having 1 to 6 carbon atoms, and the amino group may optionally have a substituent selected from a straight chain or branched chain alkyl group having 1 to 6 carbon atoms and having optionally one to three hydroxy-substitutents, a straight chain or branched chain alkenyl group having 2 to 6 carbon atoms, a phenylalkyl group wherein the alkyl moiety is a straight chain or branched chain alkyl group having 1 to 6 carbon atoms and the phenyl ring has optionally one to three substituents of a straight chain or branched chain alkoxy group having 1 to 6 carbon atoms, a straight chain or branched chain alkylsulfonyl group having 1 to 6 carbon atoms, a straight chain or branched chain alkanoyl group having 1 to 6 carbon atoms, and a phenylalkoxycarbonyl wherein the alkoxycarbonyl moiety is a straight chain or branched chain alkoxycarbonyl group having 1 to 6 carbon atoms, for example, aminoacetyl, 3-formylaminopropionyl, acetylaminocetyl, 2-propionylaminopropionyl, 4-butyrylaminobutyryl, 2,2-dimethyl-3-isobutyrylaminopropionyl, 5-pentanoylaminopentanoyl, 6-tert-butylcarbonylaminohexanoyl, 3-methyl-4-hexanoylaminobutyryl, 4-methylthio-2-acetylaminobutyryl, 3-(imidazol-4-yl)-2-acetylaminopropionyl, 2-acetylaminopropionyl, 3-(4-hydroxyphenyl)-2-benzyloxy-

carbonylamino propionyl, 4-carbamoyl-2-acetylaminobutyryl, 2-acetylaminoisopentanoyl, 5-ethylthio-2-acetylaminopentanoyl, 4-(imidazol-2-yl)-2-propionylaminobutyryl, 6-(2-hydroxyphenyl)-2-butyrylamino hexanoyl, 3-carbamoyl-2-benzyloxy-carbonylamino propionyl, 5-carbamoyl-2-(2-phenylethoxy-carbonylamino)pentanoyl, 3-(2,4-dihydroxyphenyl)-2-(3-phenylpropoxycarbonylamino)propionyl, 2,5-dibenzyloxy-carbonylamino hexanoyl, 3-(4-hydroxyphenyl)-2-aminopropionyl, dimethylaminoacetyl, 3-hydroxy-2-benzyloxycarbonylamino-propionyl, 2-benzyloxycarbonylamino propionyl, 2-amino-propionyl, 2-aminoisopentanoyl, 2-aminobutyryl, 4-benzyloxy-carbonylamino butyryl, diethylaminoacetyl, 4-acetyl-amino-butyryl, 4-dimethylaminobutyryl, 2-hydroxyacetyl, ethyl-aminoacetyl, allylaminoacetyl, benzylaminoacetyl, isopropyl-aminoacetyl, (N-methyl-N-benzylamino)acetyl, [N-methyl-N-(2-hydroxyethyl)amino]acetyl, [N-methyl-N-(4-ethoxybenzyl)-amino]acetyl, 2-benzyloxycarbonylaminoacetyl, methyl-sulfonylaminoacetyl, (3-methoxybenzyl)aminoacetyl, (N-methyl-N-acetyl-amino)acetyl, 5-(N-methyl-N-allylamino)-pentanoyl, 6-[N-allyl-N-(3,4-dimethoxybenzyl)amino]hexanoyl, and the like.

The "amido-substituted lower alkyl wherein the lower alkyl moiety has optionally a substituent selected from a phenyl having optionally a hydroxy substituent, imidazolyl, carbamoyl and a lower alkylthio, and the amido group may optionally have a lower alkyl substituent" includes an amido-substituted straight chain or branched chain alkyl group having 1 to 6 carbon atoms wherein the

alkyl moiety have optionally a substituent selected from a phenyl having optionally one to three hydroxy-substituents, an imidazolyl group, a carbamoyl group and a straight chain or branched chain alkylthio group having 1 to 6 carbon atoms, and the amido group may optionally have one or two substituents of a straight chain or branched chain alkyl group having 1 to 6 carbon atoms, for example, carbamoylmethyl, 2-carbamoylethyl, 1-carbamoylethyl, 3-carbamoylpropyl, 4-carbamoylbutyl, 5-carbamoylpentyl, 6-carbamoylhexyl, 1,1-dimethyl-2-carbamoylethyl, 2-methyl-3-carbamoylpropyl, methylamidomethyl, 1-ethylamidoethyl, 2-propylamidoethyl, 3-isopropylamidopropyl, 4-butylamidobutyl, 5-pentylamidopentyl, 6-hexylamidohexyl, dimethylamidomethyl, (N-ethyl-N-propylamido)methyl, 2-(N-methyl-N-hexylamido)ethyl, 2-(4-hydroxyphenyl)carbamoylethyl, 1-carbamoylisobutyl, 2-(imidazol-4-yl)-1-carbamoylethyl, 1,3-dicarbamoylpropyl, 3-methylthio-1-carbamoylpropyl, 3-(2-hydroxyphenyl)-1-methylamidopropyl, 4-(2,6-dihydroxyphenyl)-1-(N-methyl-N-hexylamido)butyl, 3-(imidazol-2-yl)-1-propylamidopropyl, 1,4-dicarbamoylbutyl, 2-ethylthio-1-butylamidobutyl, 4-pentylthio-1-hexylamidobutyl, and the like.

The "carboxy(lower)alkyl" includes a carboxyalkyl group wherein the alkyl moiety is a straight chain or branched chain alkyl group having 1 to 6 carbon atoms, for example, carboxymethyl, 2-carboxyethyl, 1-carboxyethyl, 3-carboxypropyl, 4-carboxybutyl, 5-carboxypentyl, 6-carboxyhexyl, 1,1-dimethyl-2-carboxyethyl, 2-methyl-3-carboxypropyl, and the like.



The "lower alkoxy(lower)alkyl" includes a straight chain or branched chain alkoxyalkyl group having 1 to 6 carbon atoms in the alkoxy moiety wherein the alkyl moiety is a straight chain or branched chain alkyl group having 1 to 6 carbon atoms, for example, methoxymethyl, 2-ethoxyethyl, 1-methoxyethyl, 3-methoxypropyl, 4-ethoxybutyl, 6-propoxyhexyl, 5-isopropoxypentyl, 1,1-dimethyl-2-butoxyethyl, 2-methyl-3-tert-butoxypropyl, 2-pentyloxyethyl, hexyloxymethyl, and the like.

The "amino acid residue which is able to form an amido bond with the amino group to which  $R^4$  and  $R^5$  bind" includes, for example, alanine residue,  $N^2$ -arginine residue,  $N^5$ -arginine residue,  $N^6$ -arginine residue,  $N^4$ -asparagine residue, aspartic acid residue,  $N^5$ -glutamine residue, cysteine residue, glutamic acid residue, glycine residue, histidine residue, isoleucine residue, leucine residue,  $N^2$ -lysine residue,  $N^6$ -lysine residue, methionine residue, phenylalanine residue, proline residue, serine residue, threonine residue, tryptophane residue, tyrosine residue, valine residue, and the like.

The "hydroxyimino-substituted lower alkyl" includes a hydroxyimino-substituted straight chain or branched chain alkyl group having 1 to 6 carbon atoms, for example, hydroxyiminomethyl, 1-hydroxyiminoethyl, 2-hydroxyiminoethyl, 3-hydroxyiminopropyl, 4-hydroxyiminobutyl, 5-hydroxyiminopentyl, 6-hydroxyiminohexyl, 1,1-dimethyl-2-hydroxyiminoethyl, 2-methyl-3-hydroxyiminopropyl, and the like.

The "halogen-substituted lower alkoxy" includes a straight chain or branched chain alkoxy group having 1 to 6 carbon atoms which is substituted by one to three halogen atoms, for example, trifluoromethoxy, trichloromethoxy, chloromethoxy, bromomethoxy, fluoromethoxy, iodomethoxy, difluoromethoxy, dibromomethoxy, 2-chloroethoxy, 2,2,2-trifluoroethoxy, 2,2,2-trichloroethoxy, 3-chloropropoxy, 2,3-dichloropropoxy, 4,4,4-trichlorobutoxy, 4-fluorobutoxy, 5-chloropentyloxy, 3-chloro-2-methylpropoxy, 6-bromohexyloxy, 5,6-dichlorohexyloxy, and the like.

The "phenyl(lower)alkoxycarbonyl" includes a phenylalkoxycarbonyl wherein the alkoxycarbonyl moiety is a straight chain or branched chain alkoxycarbonyl group having 1 to 6 carbon atoms, for example, benzyloxycarbonyl, 2-phenylethoxycarbonyl, 1-phenylethoxycarbonyl, 3-phenylpropoxycarbonyl, 4-phenylbutoxycarbonyl, 5-phenylpentyloxy-carbonyl, 6-phenylhexyloxycarbonyl, 1,1-dimethyl-2-phenylethoxycarbonyl, 2-methyl-3-phenylpropoxycarbonyl, and the like.

The "lower alkoxy(lower)alkoxy which is substituted by one or two substituents selected from hydroxy and an amino being optionally substituted by a lower alkyl" includes an alkoxy-alkoxy group wherein both alkoxy moieties are each a straight chain or branched chain alkoxy group having 1 to 6 carbon atoms which is substituted by one or two substituents selected from hydroxy and an amino being optionally substituted by a straight chain or branched chain alkyl group having 1 to 6 carbon atoms, for example,

hydroxymethoxymethoxy, 3-(2-hydroxyethoxy)propoxy, 4-(1-hydroxyethoxy)butoxy, 6-(3-hydroxypropoxy)hexyloxy, 5-(2,3-dihydroxypropoxy)pentylloxy, 1,1-dimethyl-2-(4-hydroxybutoxy)ethoxy, 2-methyl-3-(3,4-dihydroxybutoxy)propoxy, 2-(1,1-dimethyl-2-hydroxyethoxy)ethoxy, (5-hydroxypentylloxy)-methoxy, (6-hydroxyhexyloxy)methoxy, (2-methyl-3-hydroxypropoxy)methoxy, aminomethoxymethoxy, 2-(1-aminoethoxy)-ethoxy, 1-(2-aminoethoxy)ethoxy, 3-(3-aminopropoxy)propoxy, 4-(4-aminobutoxy)butoxy, 5-(5-aminopentylloxy)pentylloxy, 6-(6-aminohexyloxy)hexyloxy, (1,1-dimethyl-2-aminoethoxy)-methoxy, (2-methyl-3-aminopropoxy)methoxy, 1,1-dimethyl-2-(methylaminomethoxy)ethoxy, 2-methyl-3-(ethylaminomethoxy)-propoxy, propylaminomethoxymethoxy, 1-(isopropylamino-methoxy)ethoxy, 2-(butylaminomethoxy)ethoxy, 3-(tert-butylaminomethoxy)propoxy, 4-(pentylaminomethoxy)butoxy, 5-(hexylaminomethoxy)pentylloxy, 6-(dimethylaminomethoxy)-hexyloxy, 1,1-dimethyl-2-(diethylaminomethoxy)ethoxy, 2-methyl-3-(dipropylaminomethoxy)propoxy, dibutylaminomethoxymethoxy, 1-(dipentylaminomethoxy)ethoxy, 2-(dihexylaminomethoxy)ethoxy, 3-(N-methyl-N-ethylaminomethoxy)propoxy, 4-(N-methyl-N-propylaminomethoxy)butoxy, 5-(N-methyl-N-butylaminomethoxy)pentylloxy, 6-(N-methyl-N-hexylaminomethoxy)-hexyloxy, (1-methylaminoethoxy)methoxy, 1-(2-ethylaminoethoxy)ethoxy, 2-(3-propylaminopropoxy)ethoxy, 3-(4-butylaminobutoxy)propoxy, 4-(1,1-dimethyl-2-pentylaminoethoxy)-butoxy, 5-(5-hexylaminopentylloxy)pentylloxy, 6-(6-dimethylaminohexyloxy)hexyloxy, 3-(2-diethylaminoethoxy)propoxy, 4-[1-(N-methyl-N-hexylamino)ethoxy]butoxy, 5-(3-dihexylamino-

propoxy)pentylloxy, 6-(4-dibutylaminobutoxy)hexylloxy, 3-[2-(N-methyl-N-pentylamino)ethoxy]propoxy, 5-(2-hydroxy-3-dimethylaminopropoxy)pentylloxy, 5-(2-hydroxy-3-diethylaminopropoxy)pentylloxy, 3-(2-hydroxy-3-diethylaminopropoxy)propoxy, 4-(3-hydroxy-4-methylaminobutoxy)butoxy, 5-(4-hydroxy-5-dimethylaminopentylloxy)pentylloxy, 6-(4-hydroxy-5-methylaminopentylloxy)hexylloxy, and the like.

The "morpholinyl-substituted lower alkoxy which may optionally have a substituent selected from a lower alkyl and oxo" includes a morpholinyl-substituted straight chain or branched chain alkoxy group having 1 to 6 carbon atoms which may optionally have one or two substituents selected from a straight chain or branched chain alkyl group having 1 to 6 carbon atoms, and an oxo group, for example, (2-morpholinyl)methoxy, 2-(3-morpholinyl)ethoxy, 1-(3-morpholinyl)ethoxy, 3-(2-morpholinyl)propoxy, 4-(3-morpholinyl)butoxy, 5-(2-morpholinyl)pentylloxy, 6-(3-morpholinyl)hexylloxy, 1,1-dimethyl-2-(3-morpholinyl)ethoxy, 2-methyl-3-(2-morpholinyl)propoxy, 6-(1-methyl-5-oxo-3-morpholinyl)hexylloxy, (1-ethyl-2-morpholinyl)methoxy, 2-(2-oxo-3-morpholinyl)ethoxy, 1-(2-propyl-3-morpholinyl)ethoxy, 3-(3-butyl-2-morpholinyl)propoxy, 4-(5-pentyl-3-morpholinyl)butoxy, 5-(6-hexyl-2-morpholinyl)pentylloxy, 3-(5-oxo-1-propyl-2-morpholinyl)propoxy, 4-(2-oxo-1-butyl-3-morpholinyl)butoxy, 5-(3-oxo-1-pentyl-6-morpholinyl)pentylloxy, 6-(2-oxo-1-hexyl-5-morpholinyl)hexylloxy, and the like.

The "benzimidazolylthio-substituted lower alkoxy"

includes a benzimidazolylthio-substituted straight chain or branched chain alkoxy group having 1 to 6 carbon atoms, for example, (benzimidazol-2-yl)thiomethoxy, 1-(benzimidazol-4-yl)thioethoxy, 2-(benzimidazol-5-yl)thioethoxy, 3-(benzimidazol-6-yl)thiopropoxy, 4-(benzimidazol-2-yl)thio-butoxy, 5-(benzimidazol-7-yl)thiopentyloxy, 6-(benzimidazol-2-yl)thiohexyloxy, 1,1-dimethyl-2-(benzimidazol-2-yl)thioethoxy, 2-methyl-3-(benzimidazol-2-yl)thiopropoxy, and the like.

The "benzimidazolylsulfinyl-substituted lower alkoxy" includes a benzimidazolylsulfinyl-substituted straight chain or branched chain alkoxy group having 1 to 6 carbon atoms, for example, (benzimidazol-2-yl)sulfinyl-methoxy, 1-(benzimidazol-4-yl)sulfinylethoxy, 3-(benzimidazol-6-yl)sulfinylpropoxy, 4-(benzimidazol-2-yl)-sulfinylbutoxy, 5-(benzimidazol-7-yl)sulfinylpentyloxy, 6-(benzimidazol-2-yl)sulfinylhexyloxy, 1,1-dimethyl-2-(benzimidazol-2-yl)sulfinylethoxy, 2-methyl-3-(benzimidazol-2-yl)sulfinylpropoxy, and the like.

The "tetrahydropyranyl-substituted lower alkyl" includes a tetrahydropyranyl-substituted straight chain or branched chain alkyl group having 1 to 6 carbon atoms, for example, (2-, 3- or 4-tetrahydropyranyl)methyl, 2-(2-, 3- or 4-tetrahydropyranyl)ethyl, 1-(2-, 3- or 4-tetrahydropyranyl)ethyl, 3-(2-, 3- or 4-tetrahydropyranyl)propyl, 4-(2-, 3- or 4-tetrahydropyranyl)butyl, 5-(2-, 3- or 4-tetrahydropyranyl)pentyl, 6-(2-, 3- or 4-tetrahydropyranyl)hexyl, 1,1-dimethyl-2-(2-, 3- or 4-tetrahydropyranyl)ethyl, 2-

methyl-3-(2-, 3- or 4-tetrahydropyranyl)propyl, and the like.

The "5- or 6-membered saturated heterocyclic group which is formed by binding R<sup>14</sup> and R<sup>15</sup> together with the nitrogen atom to which they bond with being intervened or not with nitrogen, oxygen or sulfur atom" includes, for example, pyrrolidinyl, piperidinyl, piperazinyl, morpholino, thiomorpholino, and the like.

The "heterocyclic group having a substituent selected from carbamoyl, a lower alkyl, a phenyl(lower)-alkyl, phenyl and a hydroxy-substituted lower alkyl" includes the above-mentioned heterocyclic groups which have one to three substituents selected from a carbamoyl group, a straight chain or branched chain alkyl group having 1 to 6 carbon atoms, a phenylalkyl group wherein the alkyl moiety is a straight chain or branched chain alkyl group having 1 to 6 carbon atoms, a phenyl group and a hydroxy-substituted straight chain or branched chain alkyl group having 1 to 6 carbon atoms, for example, 4-phenylpiperazinyl, 2-phenylpyrrolidinyl, 4-phenylpiperidinyl, 3-phenylmorpholino, 3-phenylthiomorpholino, 4-benzylpiperazinyl, 3-(2-phenylethyl)pyrrolidinyl, 2-(3-phenylpropyl)pyrrolidinyl, 4-(4-phenylbutyl)piperidinyl, 3-(5-phenylpentyl)morpholino, 2-(6-phenylhexyl)thiomorpholino, 4-methylpiperazinyl, 3,4-dimethylpiperazinyl, 3-ethylpyrrolidinyl, 2-propylpyrrolidinyl, 3,4,5-trimethylpiperidinyl, 4-butylpiperidinyl, 3-pentylmorpholino, 2-hexylthiomorpholino, 4-ethylpiperazinyl, 3-methyl-4-phenylpiperazinyl, 3-ethyl-4-

benzylpiperidinyl, 3-methyl-4-benzylpyrrolidinyl, 3-methyl-5-phenylmorpholino, 3-methyl-5-(2-hydroxyethyl)thiomorpholino, 4-(2-hydroxyethyl)piperazinyl, 2-(hydroxymethyl)pyrrolidinyl, 4-(4-hydroxybutyl)piperidinyl, 2-(5-hydroxypentyl)thiomorpholino, 3-(6-hydroxyhexyl)morpholino, 2-methyl-4-(2-hydroxyethyl)pyrrolidinyl, 2-carbamoylpyrrolidinyl, 3-carbamoylpyrrolidinyl, 4-carbamoylpiperazinyl, 3-carbamoylpiperazinyl, 2-carbamoylpiperazinyl, 4-carbamoylpiperidinyl, 3-carbamoylpiperidinyl, 2-carbamoylpiperidinyl, 3-carbamoylmorpholino, 2-carbamoylthiomorpholino, 2-methyl-3-carbamoylpyrrolidinyl, 3-methyl-4-carbamoylpiperidinyl, 2,6-dimethyl-4-carbamoylpiperazinyl, and the like.

The "amino having optionally a phenyl(lower)alkoxycarbonyl substituent" includes an amino group which may optionally have a substituent of a phenylalkoxycarbonyl group wherein the alkoxycarbonyl moiety is a straight chain or branched chain alkoxycarbonyl group having 1 to 6 carbon atoms, for example, amino, benzyloxycarbonylamino, (2-phenylethoxycarbonyl)amino, (1-phenylethoxycarbonyl)amino, (3-phenylpropoxycarbonyl)amino, (4-phenylbutoxycarbonyl)amino, (5-phenylpentoxycarbonyl)amino, (6-phenylhexyloxycarbonyl)amino, (1,1-dimethyl-2-phenylethoxycarbonyl)amino, (2-methyl-3-phenylpropoxycarbonyl)amino, and the like.

The "phenyl having optionally hydroxy substituent" includes a phenyl group having optionally one to three hydroxy-substituents, for example, phenyl, 4-hydroxyphenyl, 3-hydroxyphenyl, 2-hydroxyphenyl, 2,4-dihydroxyphenyl, 3,4-

dihydroxyphenyl, 2,3,4-trihydroxyphenyl, and the like.

The "phenylsulfonyl wherein the phenyl ring may optionally have a substituent selected from a lower alkyl, nitro, and an amino having optionally one or two substituents selected from a lower alkanoyl and lower alkyl" includes a phenylsulfonyl group wherein the phenyl ring may optionally have one to three substituents selected from a straight chain or branched chain alkyl group having 1 to 6 carbon atoms, a nitro group, and an amino group having optionally one or two substituents selected from a straight chain or branched chain alkanoyl group having 1 to 6 carbon atoms and a straight chain or branched chain alkyl group having 1 to 6 carbon atoms, for example, phenylsulfonyl, 2-methylphenylsulfonyl, 3-methylphenylsulfonyl, 4-methylphenylsulfonyl, 2-ethylphenylsulfonyl, 3-ethylphenylsulfonyl, 4-ethylphenylsulfonyl, 4-isopropylphenylsulfonyl, 4-butylphenylsulfonyl, 2-pentylphenylsulfonyl, 3-hexylphenylsulfonyl, 2,4-dimethylphenylsulfonyl, 3,4-diethylphenylsulfonyl, 3,4,5-trimethylphenylsulfonyl, 4-amino-phenylsulfonyl, 3-aminophenylsulfonyl, 2-aminophenylsulfonyl, 3,4-diaminophenylsulfonyl, 2,5-diaminophenylsulfonyl, 2,4,6-triaminophenylsulfonyl, 4-nitrophenylsulfonyl, 3-nitrophenylsulfonyl, 2-nitrophenylsulfonyl, 2,3-dinitrophenylsulfonyl, 2,6-dinitrophenylsulfonyl, 2,4,6-trinitrophenylsulfonyl, 4-acetylaminophenylsulfonyl, 4-dimethylaminophenylsulfonyl, 3-(N-methyl-N-acetyl-amino)-phenylsulfonyl, 2-methyl-4-aminophenylsulfonyl, 3-nitro-4-methylphenylsulfonyl, 2-ethylaminophenylsulfonyl, 2-methyl-



3-diethylaminophenylsulfonyl, and the like.

The "amino-substituted lower alkyl which may optionally have a substituent selected from a lower alkyl and a lower alkanoyl" includes a straight chain or branched chain alkyl group having 1 to 6 carbon atoms which is substituted by an amino group having optionally one or two substituents selected from a straight chain or branched chain alkyl group having 1 to 6 carbon atoms and a straight chain or branched chain alkanoyl group having 1 to 6 carbon atoms, for example, aminomethyl, 2-aminoethyl, 1-aminoethyl, 3-aminopropyl, 4-aminobutyl, 5-aminopentyl, 6-aminohexyl, 1,1-dimethyl-2-aminoethyl, 2-methyl-3-aminopropyl, methylaminomethyl, 1-ethylaminoethyl, 2-propylaminoethyl, 3-isopropylaminopropyl, 4-butylaminobutyl, 5-pentylaminopentyl, 6-hexylaminohexyl, dimethylaminomethyl, (N-ethyl-N-propylamino)methyl, 2-(N-methyl-N-hexylamino)ethyl, 2-(acetylamino)ethyl, 3-(acetylamino)propyl, formylaminomethyl, 1-(propionylamino)ethyl, 4-(butyrylamino)butyl, 5-(pentanoylamino)pentyl, 5-(hexanoylamino)hexyl, 2-(N-methyl-N-acetylamino)ethyl, 1-(N-ethyl-N-acetylamino)ethyl, and the like.

The "piperidinyl having optionally a phenyl(lower)-alkyl substituent" includes a piperidinyl which has optionally a substituent of a phenylalkyl group wherein the alkyl moiety is a straight chain or branched chain alkyl group having 1 to 6 carbon atoms, for example, 4-piperidinyl, 3-piperidinyl, 2-piperidinyl, 1-benzyl-4-piperidinyl, 1-(2-phenylethyl)-3-piperidinyl, 2-(3-phenylpropyl)-5-

piperidinyl, 3-(4-phenylbutyl)-6-piperidinyl, 4-(5-phenylpentyl)-3-piperidinyl, 5-(6-phenylhexyl)-4-piperidinyl, 2-benzyl-4-piperidinyl, 1-(3-phenylpropyl)-4-piperidinyl, and the like.

The "imidazo[4,5-c]pyridylcarbonyl(lower)alkoxy" includes an imidazo[4,5-c]pyridylcarbonylalkoxy group wherein the alkoxy moiety is a straight chain or branched chain alkoxy group having 1 to 6 carbon atoms, for example, (imidazo[4,5-c]pyridin-2-yl)carbonylmethoxy, 2-(imidazo[4,5-c]pyridin-2-yl)carbonylethoxy, 1-(imidazo[4,5-c]pyridin-4-yl)carbonylethoxy, 3-(imidazo[4,5-c]pyridin-5-yl)carbonylpropoxy, 4-(imidazo[4,5-c]pyridin-7-yl)carbonylbutoxy, 5-(imidazo[4,5-c]pyridin-2-yl)carbonylpentyloxy, 6-(imidazo[4,5-c]pyridin-2-yl)carbonylhexyloxy, 1,1-dimethyl-2-(imidazo[4,5-c]pyridin-2-yl)carbonylethoxy, 2-methyl-3-(imidazo[4,5-c]pyridin-2-yl)carbonylpropoxy, and the like.

The "tri(lower alkyl)ammonium" includes an ammonium group having three of a straight chain or branched chain alkyl group having 1 to 6 carbon atoms, for example, trimethylammonium, triethylammonium, tripropylammonium, triisopropylammonium, tributylammonium, tri(tert-butyl)ammonium, tripentylammonium, trihexylammonium, dimethylethylammonium, diethylpropylammonium, dimethylbutylammonium, diethylmethylammonium, dimethylhexylammonium, dipropylmethylammonium, dibutylethylammonium, methylethylpropylammonium, methylbutylpentylammonium, and the like.

The "pyridyl(lower)alkyl" include a pyridylalkyl group wherein the alkyl moiety is a straight chain or

branched chain alkyl group having 1 to 6 carbon atoms, for example, (2-pyridyl)methyl, (3-pyridyl)methyl, (4-pyridyl)methyl, 2-(2-pyridyl)ethyl, 1-(3-pyridyl)ethyl, 3-(4-pyridyl)propyl, 4-(2-pyridyl)butyl, 5-(3-pyridyl)pentyl, 6-(4-pyridyl)hexyl, 1,1-dimethyl-2-(2-pyridyl)ethyl, 2-methyl-3-(3-pyridyl)propyl, and the like.

The "lower alkyl which may optionally have a substituent selected from hydroxy and cyano" includes a straight chain or branched chain alkyl group having 1 to 6 carbon atoms which may optionally have one to three substituents selected from a hydroxy group and a cyano group, for example, hydroxymethyl, 2-hydroxyethyl, 1-hydroxyethyl, 3-hydroxypropyl, 2,3-dihydroxypropyl, 4-hydroxybutyl, 1,1-dimethyl-2-hydroxyethyl, 5,5,4-trihydroxypentyl, 5-hydroxypentyl, 6-hydroxyhexyl, 1-hydroxyisopropyl, 2-methyl-3-hydroxypropyl, 2,3-dihydroxyethyl, 3,4-dihydroxybutyl, 5,6-dihydroxyhexyl, cyanomethyl, 2-cyanoethyl, 1-cyanoethyl, 3-cyanopropyl, 4-cyanobutyl, 5-cyanopentyl, 6-cyanohexyl, 1,1-dimethyl-2-cyanoethyl, 2-methyl-3-cyanopropyl, and the like.

The "lower alkynyl" includes a straight chain or branched chain alkynyl group having 2 to 6 carbon atoms, for example, ethynyl, 2-propynyl, 2-butynyl, 3-butynyl, 1-methyl-2-propynyl, 2-pentynyl, 2-hexynyl, and the like.

The "pyrrolidinylcarbonyl which may optionally be substituted by a phenyl(lower)alkoxycarbonyl on the pyrrolidine ring" includes a pyrrolidinylcarbonyl which may optionally be substituted by a phenylalkoxycarbonyl group on the pyrrolidine ring wherein the alkoxy moiety is a straight

chain or branched chain alkoxy group having 1 to 6 carbon atoms, for example, 1-benzyloxycarbonyl-2-pyrrolidinyl-carbonyl, 2-pyrrolidinylcarbonyl, 1-pyrrolidinylcarbonyl, 3-pyrrolidinylcarbonyl, 1-(2-phenylethoxycarbonyl)-2-pyrrolidinylcarbonyl, 2-(1-phenylethoxycarbonyl)-1-pyrrolidinylcarbonyl, 3-(3-phenylpropoxycarbonyl)-2-pyrrolidinylcarbonyl, 1-(4-phenylbutoxycarbonyl)-2-pyrrolidinylcarbonyl, 2-(5-phenylpentyloxycarbonyl)-1-pyrrolidinylcarbonyl, 2-(6-phenylhexyloxycarbonyl)-3-pyrrolidinylcarbonyl, and the like.

The "phenyl(lower)alkoxycarbonylamino" includes a phenylalkoxycarbonylamino wherein the alkoxycarbonyl moiety is a straight chain or branched chain alkoxycarbonyl group having 1 to 6 carbon atoms, for example, N-benzyloxycarbonylamino, N-(2-phenylethoxycarbonyl)amino, N-(1-phenylethoxycarbonyl)amino, N-(3-phenylpropoxycarbonyl)amino, N-(4-phenylbutoxycarbonyl)amino, N-(5-phenylpentyloxycarbonyl)amino, N-(6-phenylhexyloxycarbonyl)amino, N-(1,1-dimethyl-2-phenylethoxycarbonyl)amino, N-(2-methyl-3-phenylpropoxycarbonyl)amino, and the like.

The "lower alkyl having optionally a hydroxy-substituent" includes a straight chain or branched chain alkyl group having 1 to 6 carbon atoms which has optionally one to three hydroxy-substituents, for example, in addition to the above-mentioned lower alkyl groups, hydroxymethyl, 2-hydroxyethyl, 1-hydroxyethyl, 3-hydroxypropyl, 2,3-dihydroxypropyl, 4-hydroxybutyl, 1,1-dimethyl-2-hydroxyethyl, 5,5,4-trihydroxypentyl, 5-hydroxypentyl, 6-hydroxy-

hexyl, 1-hydroxyisopropyl, 2-methyl-3-hydroxypropyl, 2,3-dihydroxybutyl, 3,4-dihydroxyhexyl, 5,6-dihydroxyhexyl, 2,3,4-trihydroxybutyl, and the like.

The "hydroxy-substituted lower alkanoyl" includes a hydroxy-substituted straight chain or branched chain alkanoyl group having 2 to 6 carbon atoms, for example, 2-hydroxyacetyl, 3-hydroxypropionyl, 2-hydroxypropionyl, 4-hydroxybutyryl, 2,2-dimethyl-3-hydroxypropionyl, 5-hydroxypentanoyl, 6-hydroxyhexanoyl, 3-methyl-4-hydroxybutyryl, and the like.

The "lower alkanoyloxy(lower)alkanoyl" includes an alkanoyloxy-substituted alkanoyl wherein both alkanoyl moieties are a straight chain or branched chain alkanoyl group having 2 to 6 carbon atoms, for example, 2-acetyloxyacetyl, 3-propionyloxypropionyl, 2-butyryloxypropionyl, 4-pentanoyloxybutyryl, 2,2-dimethyl-3-hexanoyloxypropionyl, 5-acetyloxypentanoyl, 6-propionyloxyhexanoyl, and the like.

The "phenyl(lower)alkyl wherein the phenyl ring may optionally has a lower alkoxy substituent" includes a phenylalkyl group wherein the alkyl moiety is a straight chain or branched chain alkyl group having 1 to 6 carbon atoms and the phenyl ring has optionally one to three substituents of a straight chain or branched chain alkoxy group having 1 to 6 carbon atoms, for example, in addition to the above-mentioned phenyl(lower)alkyl groups, 2-methoxybenzyl, 3-methoxybenzyl, 2-(4-methoxyphenyl)ethyl, 1-(2-ethoxyphenyl)ethyl, 3-(4-isopropoxyphenyl)propyl, 4-(3-pentyloxyphenyl)butyl, 5-(4-hexyloxyphenyl)pentyl, 6-(2-

butyloxyphenyl)hexyl, 3,4-dimethoxybenzyl, 3-ethoxy-4-methoxybenzyl, 2,3-dimethoxybenzyl, 2,6-dimethoxybenzyl, 3,4,5-trimethoxybenzyl, and the like.

The "cycloalkenylcarbonyl" includes a cycloalkenylcarbonyl group having 3 to 8 carbon atoms, for example, cyclopropenylcarbonyl, cyclobutenylcarbonyl, cyclopentenylcarbonyl, cyclohexenylcarbonyl, cycloheptenylcarbonyl, cyclooctenylcarbonyl, and the like.

The "pyrimidylthio-substituted lower alkoxy" includes a pyrimidylthio-substituted straight chain or branched chain alkoxy group having 1 to 6 carbon atoms, for example, (2-pyrimidyl)thiomethoxy, 2-(4-pyrimidyl)thioethoxy, 1-(5-pyrimidyl)thioethoxy, 3-(6-pyrimidyl)thiopropoxy, 4-(4-pyrimidyl)thiobutoxy, 5-(2-pyrimidyl)thiopentyloxy, 6-(5-pyrimidyl)thiohexyloxy, 1,1-dimethyl-2-(2-pyrimidyl)thioethoxy, 2-methyl-3-(2-pyrimidyl)thiopropoxy, and the like.

The "pyrimidylsulfinyl-substituted lower alkoxy" includes a pyrimidylsulfinyl-substituted straight chain or branched chain alkoxy group having 1 to 6 carbon atoms, for example, (2-pyrimidyl)sulfinylmethoxy, 2-(4-pyrimidyl)sulfinylethoxy, 1-(5-pyrimidyl)sulfinylethoxy, 3-(6-pyrimidyl)sulfinylpropoxy, 4-(4-pyrimidyl)sulfinylbutoxy, 5-(2-pyrimidyl)sulfinylpentyloxy, 6-(5-pyrimidyl)sulfinylhexyloxy, 1,1-dimethyl-2-(2-pyrimidyl)sulfinylethoxy, 2-methyl-3-(2-pyrimidyl)sulfinylpropoxy, and the like.

The "pyrimidylsulfonyl-substituted lower alkoxy" includes a pyrimidylsulfonyl-substituted straight chain or

branched chain alkoxy group having 1 to 6 carbon atoms, for example, (2-pyrimidyl)sulfonylmethoxy, 2-(4-pyrimidyl)sulfonylethoxy, 1-(5-pyrimidyl)sulfonylethoxy, 3-(6-pyrimidyl)sulfonylpropoxy, 4-(4-pyrimidyl)sulfonylbutoxy, 5-(2-pyrimidyl)sulfonylpentyloxy, 6-(5-pyrimidyl)sulfonylhexyloxy, 1,1-dimethyl-2-(2-pyrimidyl)sulfonylethoxy, 2-methyl-3-(2-pyrimidyl)sulfonylpropoxy, and the like.

The "imidazolylthio-substituted lower alkoxy which may optionally have a lower alkyl substituent" includes a imidazolylthio-substituted straight chain or branched chain alkoxy group having 1 to 6 carbon atoms which may optionally have a substituent of a straight chain or branched chain alkyl group having 1 to 6 carbon atoms on the imidazolyl group, for example, (2-imidazolyl)thiomethoxy, 2-(4-imidazolyl)thioethoxy, 1-(5-imidazolyl)thioethoxy, 3-(2-imidazolyl)thiopropoxy, 4-(4-imidazolyl)thiobutoxy, 5-(2-imidazolyl)thiopentyloxy, 6-(5-imidazolyl)thiohexyloxy, 1,1-dimethyl-2-(2-imidazolyl)thioethoxy, 2-methyl-3-(2-imidazolyl)thiopropoxy, (4-methyl-2-imidazolyl)thiomethoxy, 2-(5-ethyl-4-imidazolyl)thioethoxy, 1-(4-propyl-5-imidazolyl)thioethoxy, 3-(1-butyl-2-imidazolyl)thiopropoxy, 4-(2-pentyl-4-imidazolyl)thiobutoxy, 5-(1-methyl-2-imidazolyl)thiopentyloxy, 6-(1-hexyl-5-imidazolyl)thiohexyloxy, 1,1-dimethyl-2-(1-ethyl-2-imidazolyl)thioethoxy, 2-methyl-3-(1-propyl-2-imidazolyl)thiopropoxy, and the like.

The "imidazolylsulfonyl-substituted lower alkoxy which may optionally have a lower alkyl substituent" includes a imidazolylsulfonyl-substituted straight chain or

branched chain alkoxy group having 1 to 6 carbon atoms which may optionally have a substituent of a straight chain or branched chain alkyl group having 1 to 6 carbon atoms on the imidazolyl group, for example, (2-imidazolyl)sulfonyl-methoxy, 2-(4-imidazolyl)sulfonylethoxy, 1-(5-imidazolyl)-sulfonylethoxy, 3-(2-imidazolyl)sulfonylpropoxy, 4-(4-imidazolyl)sulfonylbutoxy, 5-(2-imidazolyl)sulfonylpentyl-oxy, 6-(5-imidazolyl)sulfonylhexyloxy, 1,1-dimethyl-2-(2-imidazolyl)sulfonylethoxy, 2-methyl-3-(2-imidazolyl)-sulfonylpropoxy, (4-methyl-2-imidazolyl)sulfonylmethoxy, 2-(5-ethyl-4-imidazolyl)sulfonylethoxy, 1-(4-propyl-5-imidazolyl)sulfonylethoxy, 3-(1-butyl-2-imidazolyl)sulfonyl-propoxy, 4-(2-pentyl-4-imidazolyl)sulfonylbutoxy, 5-(1-methyl-2-imidazolyl)sulfonylpentyloxy, 6-(1-hexyl-5-imidazolyl)sulfonylhexyloxy, 1,1-dimethyl-2-(1-ethyl-2-imidazolyl)sulfonylethoxy, 2-methyl-3-(1-propyl-2-imidazolyl)sulfonylpropoxy, and the like.

The "ammonium-substituted lower alkoxy having three substituents selected from a lower alkyl, a lower alkenyl and oxo" includes an ammonium-substituted straight chain or branched chain alkoxy group having 1 to 6 carbon atoms, which have three substituents selected from a straight chain or branched chain alkyl group having 1 to 6 carbon atoms, a straight chain or branched chain alkenyl group having 2 to 6 carbon atoms, and an oxo group, for example, trimethyl-ammoniummethoxy, 2-(triethylammonium)ethoxy, 1-(tripropyl-ammonium)ethoxy, 3-(tributylammonium)propoxy, 4-(tripentyl-ammonium)butoxy, 5-(triethylammonium)pentyloxy, 6-(trihexyl-



ammonium)hexyloxy, 1,1-dimethyl-2-(triallylammonium)ethoxy, 2-methyl-3-(tributenylammonium)propoxy, tri(1-methylallyl)-ammonium-methoxy, 2-[tri(2-pentenyl)ammonium]ethoxy, 1-[tri(2-hexenyl)ammonium]ethoxy, 3-(N-allyl-N,N-dimethyl-ammonium)propoxy, 4-(N,N-diallyl-N-methylammonium)butoxy, 5-(N-allyl-N-methylamino)pentyloxy N-oxide, 6-(N-allyl-N-ethylamino)hexyloxy N-oxide, 5-(N-allyl-N-methyl-N-ethyl-ammonium)pentyloxy, and the like.

The "phenylthio(lower)alkoxy wherein the phenyl ring may optionally have a substituent selected from nitro and an amino" includes a phenylthioalkoxy wherein the alkoxy moiety is a straight chain or branched chain alkoxy group having 1 to 6 carbon atoms, and the phenyl ring may optionally have one to three substituents selected from a nitro group and an amino group, for example, phenylthio-methoxy, 2-phenylthioethoxy, 1-phenylthioethoxy, 3-phenyl-thiopropoxy, 4-phenylthiobutoxy, 5-phenylthiopentyloxy, 6-phenylthiohexyloxy, 1,1-dimethyl-2-phenylthioethoxy, 2-methyl-3-phenylthiopropoxy, (2-nitrophenyl)thiomethoxy, 2-(3-nitrophenyl)thioethoxy, 1-(4-nitrophenyl)thioethoxy, 3-(2,3-dinitrophenyl)thiopropoxy, 4-(3,4-dinitrophenyl)thio-butoxy, 5-(4-nitrophenyl)thiopentyloxy, 6-(2,6-dinitro-phenyl)thiohexyloxy, 1,1-dimethyl-2-(2,4,6-trinitrophenyl)-thioethoxy, 2-methyl-3-(4-nitrophenyl)thiopropoxy, (2-aminophenyl)thiomethoxy, 2-(3-aminophenyl)thioethoxy, 1-(4-aminophenyl)thioethoxy, 3-(2,3-diaminophenyl)thiopropoxy, 4-(3,4-diaminophenyl)thiobutoxy, 5-(4-aminophenyl)thiopentyl-oxy, 6-(2,6-diaminophenyl)thiohexyloxy, 1,1-dimethyl-2-

(2,4,6-triaminophenyl)thioethoxy, 2-methyl-3-(4-amino-phenyl)thiopropoxy, and the like.

The "phenylsulfonyl(lower)alkoxy wherein the phenyl ring may optionally have a substituent selected from nitro and an amino having optionally one or two substituents selected from a lower alkanoyl and a lower alkyl" includes a phenylsulfonylalkoxy wherein the alkoxy moiety is a straight chain or branched chain alkoxy group having 1 to 6 carbon atoms, and the phenyl ring may optionally have one to three substituents selected from a nitro group and an amino group having optionally one or two substituents selected from a straight chain or branched chain alkyl group having 1 to 6 carbon atoms and a straight chain or branched chain alkanoyl group having 1 to 6 carbon atoms, for example, phenylsulfonylmethoxy, 2-phenylsulfonylethoxy, 1-phenylsulfonylethoxy, 3-phenylsulfonylpropoxy, 4-phenylsulfonylbutoxy, 5-phenylsulfonylpentyloxy, 6-phenylsulfonylhexyloxy, 1,1-dimethyl-2-phenylsulfonylethoxy, 2-methyl-3-phenylsulfonylpropoxy, (2-aminophenyl)sulfonylmethoxy, 5-(4-aminophenyl)sulfonylpentyloxy, 2-(4-methylaminophenyl)sulfonylethoxy, 1-(3-ethylaminophenyl)sulfonylethoxy, 3-[2-(N-methyl-N-ethylamino)phenyl]sulfonylpropoxy, 4-[3-(N-methyl-N-hexylamino)phenyl]sulfonylbutoxy, 5-(4-dimethylaminophenyl)sulfonylpentyloxy, 4-dipentylaminophenylsulfonylmethoxy, 2-(2-isopropylaminophenyl)sulfonylethoxy, 1-(3-butylaminophenyl)sulfonylethoxy, 5-(2,4-diaminophenyl)sulfonylpentyloxy, 3-[2,3-bis(dimethylamino)phenyl]sulfonylpropoxy, 4-[3,4-bis(methylamino)phenyl]sulfonylbutoxy, 5-(2,4,6-triamino-

phenyl)sulfonylpentyloxy, 6-[3,4,5-tri(methylamino)phenyl]-sulfonylhexyloxy, 5-(4-acetylaminophenyl)sulfonylpentyloxy, 3-[4-(N-methyl-N-acetyl-amino)phenyl)sulfonylpropoxy, 5-(4-nitrophenyl)sulfonylpentyloxy, 2-(4-nitro-3-methylamino-phenyl)sulfonylethoxy, 3-(2,4-dinitrophenyl)sulfonylpropoxy, 4-(2,4,6-trinitrophenyl)sulfonylbutoxy, and the like.

The "pyridylthio-substituted lower alkoxy" includes a pyridylthio-substituted straight chain or branched chain alkoxy group having 1 to 6 carbon atoms, for example, (2-pyridyl)thiomethoxy, 2-(3-pyridyl)thioethoxy, 1-(4-pyridyl)-thioethoxy, 3-(3-pyridyl)thiopropoxy, 4-(4-pyridyl)thio-butoxy, 5-(2-pyridyl)thiopentyloxy, 5-(4-pyridyl)thiopentyl-oxy, 6-(3-pyridyl)thiohexyloxy, 1,1-dimethyl-2-(2-pyridyl)-thioethoxy, 2-methyl-3-(4-pyridyl)thiopropoxy, and the like.

The "pyridylsulfonyl-substituted lower alkoxy which may optionally have an oxo substituent on the pyridine ring" includes a pyridylsulfonyl-substituted straight chain or branched chain alkoxy group having 1 to 6 carbon atoms which may optionally have an oxo substituent on the pyridine ring, for example, (2-pyridyl)sulfonylmethoxy, 2-(3-pyridyl)-sulfonylethoxy, 1-(4-pyridyl)sulfonylethoxy, 3-(3-pyridyl)-sulfonylpropoxy, 4-(4-pyridyl)sulfonylbutoxy, 5-(2-pyridyl)-sulfonylpentyloxy, 5-(4-pyridyl)sulfonylpentyloxy, 6-(3-pyridyl)sulfonylhexyloxy, 1,1-dimethyl-2-(2-pyridyl)-sulfonylethoxy, 2-methyl-3-(4-pyridyl)sulfonylpropoxy, 5-(1-oxido-4-pyridyl)sulfonylpentyloxy, (4-oxo-2-pyridyl)-sulfonylmethoxy, 2-(1-oxo-3-pyridyl)sulfonylethoxy, 1-(2-oxo-4-pyridyl)sulfonylethoxy, 3-(2-oxo-3-pyridyl)sulfonyl-

propoxy, 4-(3-oxo-4-pyridyl)sulfonylbutoxy, 5-(1-oxido-2-pyridyl)sulfonylpentyloxy, 6-(1-oxido-3-pyridyl)sulfonylhexyloxy, and the like.

The "cycloalkylcarbonyl having optionally one to three substituents selected from hydroxy and a lower alkanoyloxy" includes a cycloalkylcarbonyl having 3 to 8 carbon atoms which has optionally one to three substituents selected from a hydroxy group and a straight chain or branched chain alkanoyloxy group having 2 to 6 carbon atoms, for example, cyclopropylcarbonyl, cyclobutylcarbonyl, cyclopentylcarbonyl, cyclohexylcarbonyl, cycloheptylcarbonyl, cyclooctylcarbonyl, 2-hydroxycyclopropylcarbonyl, 3-hydroxycyclobutylcarbonyl, 2-hydroxycyclopentylcarbonyl, 3-hydroxycyclopentylcarbonyl, 2,4-dihydroxycyclopentylcarbonyl, 2-hydroxycyclohexylcarbonyl, 3-hydroxycyclohexylcarbonyl, 4-hydroxycyclohexylcarbonyl, 3,4-dihydroxycyclohexylcarbonyl, 2,4-dihydroxycyclohexylcarbonyl, 2,5-dihydroxycyclohexylcarbonyl, 3,4,5-trihydroxycyclohexylcarbonyl, 3-hydroxycycloheptylcarbonyl, 3,4-dihydroxycycloheptylcarbonyl, 2,3,4-trihydroxycycloheptylcarbonyl, 4-hydroxycyclooctylcarbonyl, 4,5-dihydroxycyclooctylcarbonyl, 4,5,6-trihydroxycyclooctylcarbonyl, 2-acetyloxycyclopropylcarbonyl, 3-propionyloxycyclobutylcarbonyl, 2-butyryloxy-cyclopentylcarbonyl, 3-pentanoyloxycyclopentylcarbonyl, 2,4-dihexanoyloxycyclopentylcarbonyl, 2-acetyloxycyclohexylcarbonyl, 3-propionyloxycyclohexylcarbonyl, 4-butyryloxy-cyclohexylcarbonyl, 3,4-diacetyloxycyclohexylcarbonyl, 2,4-diacetyloxycyclohexylcarbonyl, 2,5-diacetyloxycyclohexyl-

carbonyl, 3,4,5-triacetyloxycyclohexylcarbonyl, 3,4-diacetyloxy-5-hydroxycyclohexylcarbonyl, 3-pentanoyloxycycloheptylcarbonyl, 3,4-diacetyloxycycloheptylcarbonyl, 2,3,4-tripropionyloxycycloheptylcarbonyl, 4-hexanoyloxycyclooctylcarbonyl, 4,5-dibutyryloxycyclooctylcarbonyl, 4,5,6-triacetyloxycyclooctylcarbonyl, and the like.

The "tetrahydropyranyl(lower)alkyl wherein the tetrahydroxypranyl ring may optionally have one to four substituents selected from hydroxy and a lower alkoxy" includes a tetrahydropyranylalkyl wherein the alkyl moiety is a straight chain or branched chain alkyl group having 1 to 6 carbon atoms, and the tetrahydropyranyl ring may optionally have one to four substituents selected from a hydroxy group and a straight chain or branched chain alkoxy group having 1 to 6 carbon atoms, for example, (2-tetrahydropyranyl)methyl, 2-(3-tetrahydropyranyl)ethyl, 1-(4-tetrahydropyranyl)ethyl, 3-(2-tetrahydropyranyl)propyl, 4-(3-tetrahydropyranyl)butyl, 5-(4-tetrahydropyranyl)pentyl, 6-(2-tetrahydropyranyl)hexyl, 1,1-dimethyl-2-(3-tetrahydropyranyl)ethyl, 2-methyl-3-(4-tetrahydropyranyl)propyl, (3-hydroxy-2-tetrahydropyranyl)methyl, 2-(2,4-dihydroxy-3-tetrahydropyranyl)ethyl, 1-(2,3,5-trihydroxy-4-tetrahydropyranyl)ethyl, 3-(6-methoxy-2-tetrahydropyranyl)propyl, 4-(4-ethoxy-3-tetrahydropyranyl)butyl, 5-(4,6-dimethoxy-4-tetrahydropyranyl)pentyl, 6-(4,5,6-trimethoxy-2-tetrahydropyranyl)hexyl, 1,1-dimethyl-2-(2-propoxy-3-tetrahydropyranyl)ethyl, 2-methyl-3-(6-butoxy-4-tetrahydropyranyl)propyl, (6-pentyloxy-2-tetrahydropyranyl)methyl, 2-(4-

hexyloxy-3-tetrahydropyranyl)ethyl, 2-(3,4,5-trihydroxy-6-methoxy-2-tetrahydropyranyl)methyl, 1-(3,4,5,6-tetrahydroxy-2-tetrahydropyranyl)ethyl, 3-(3,4,5,6-tetramethoxy-2-tetrahydropyranyl)propyl, and the like.

The "lower alkanoyl substituted by a 5- or 6-membered saturated heterocyclic group selected from pyrrolidinyl, piperazinyl, piperidinyl, and morpholinyl" includes a straight chain or branched chain alkanoyl group having 2 to 6 carbon atoms which is substituted by a 5- or 6-membered saturated heterocyclic group selected from pyrrolidinyl, piperazinyl, piperidinyl, and morpholinyl, for example, 2-(1-pyrrolidinyl)acetyl, 3-(2-pyrrolidinyl)propionyl, 2-(3-pyrrolidinyl)propionyl, 4-(1-pyrrolidinyl)butyryl, 2,2-dimethyl-3-(2-pyrrolidinyl)propionyl, 5-(3-pyrrolidinyl)pentanoyl, 6-(1-pyrrolidinyl)hexanoyl, 2-(1-piperazinyl)acetyl, 3-(2-piperazinyl)propionyl, 2-(3-piperazinyl)propionyl, 4-(1-piperazinyl)butyryl, 2,2-dimethyl-3-(2-piperazinyl)propionyl, 5-(3-piperazinyl)pentanoyl, 6-(1-piperazinyl)hexanoyl, 2-(1-piperidinyl)acetyl, 3-(2-piperidinyl)propionyl, 2-(3-piperidinyl)propionyl, 4-(4-piperidinyl)butyryl, 2,2-dimethyl-3-(1-piperidinyl)propionyl, 5-(2-piperidinyl)pentanoyl, 6-(3-piperidinyl)hexanoyl, 2-(4-morpholinyl)acetyl, 3-(2-morpholinyl)propionyl, 2-(3-morpholinyl)propionyl, 4-(4-morpholinyl)butyryl, 2,2-dimethyl-3-(2-morpholinyl)propionyl, 5-(3-morpholinyl)pentanoyl, 6-(4-morpholinyl)hexanoyl, and the like.

The above "heterocyclic group-substituted lower

alkanoyl which has a substituent selected from a lower alkyl and phenyl" includes the above heterocyclic group-substituted straight chain or branched chain alkanoyl group having 2 to 6 carbon atoms, which has one to three substituents selected from a straight chain or branched chain alkyl group having 1 to 6 carbon atoms, and a phenyl group, for example, 2-(2-methyl-1-pyrrolidinyl)acetyl, 3-(1-ethyl-2-pyrrolidinyl)propionyl, 2-(1-propyl-3-pyrrolidinyl)propionyl, 4-(3-butyl-1-pyrrolidinyl)butyryl, 2,2-dimethyl-3-(4-pentyl-2-pyrrolidinyl)propionyl, 5-(1-hexyl-3-pyrrolidinyl)pentanoyl, 6-(2,3-dimethyl-1-pyrrolidinyl)hexanoyl, 2-(2,3,4-trimethyl-1-pyrrolidinyl)acetyl, 3-(1-phenyl-2-pyrrolidinyl)propionyl, 2-(1-methyl-2-phenyl-3-pyrrolidinyl)propionyl, 2-(4-methyl-1-piperazinyl)acetyl, 2-(4-phenyl-1-piperazinyl)acetyl, 3-(4-ethyl-2-piperazinyl)propionyl, 2-(4-propyl-3-piperazinyl)propionyl, 4-(4-butyl-1-piperazinyl)butyryl, 2,2-dimethyl-3-(4-pentyl-2-piperazinyl)propionyl, 5-(4-hexyl-3-piperazinyl)pentanoyl, 6-(2,4-dimethyl-1-piperazinyl)-hexanoyl, 2-(3,4,5-trimethyl-1-piperazinyl)acetyl, 2-(4-phenyl-3-methyl-1-piperazinyl)acetyl, 2-(4-methyl-1-piperidinyl)acetyl, 3-(1-ethyl-2-piperidinyl)propionyl, 2-(1-propyl-3-piperidinyl)propionyl, 4-(1-butyl-4-piperidinyl)-butyryl, 2,2-dimethyl-3-(4-pentyl-1-piperidinyl)propionyl, 5-(1-hexyl-2-piperidinyl)pentanoyl, 6-(1-phenyl-3-piperidinyl)hexanoyl, 2-(2,5-dimethyl-4-phenyl-1-piperidinyl)-acetyl, 2-(2,3,4-trimethyl-1-piperidinyl)acetyl, 2-(1,2-dimethyl-4-piperidinyl)acetyl, 2-(3-methyl-1-morpholinyl)-acetyl, 3-(1-ethyl-2-morpholinyl)propionyl, 2-(1-propyl-3-

morpholinyl)propionyl, 4-(2-butyl-4-morpholinyl)butyryl, 2,2-dimethyl-3-(1-pentyl-2-morpholinyl)propionyl, 5-(2-hexyl-3-morpholinyl)pentanoyl, 6-(3,5-dimethyl-4-morpholinyl)hexanoyl, 2-(2,3,5-trimethyl-4-morpholinyl)-acetyl, 2-(3-phenyl-4-morpholinyl)acetyl, 2-(2-methyl-3-phenyl-4-morpholinyl)acetyl, and the like.

The "piperidinylcarbonyl which may optionally have a lower alkanoyl substituent" includes a piperidinylcarbonyl which may optionally have a substituent of a straight chain or branched chain alkanoyl group having 1 to 6 carbon atoms, for example, (1-piperidinyl)carbonyl, (2-piperidinyl)-carbonyl, (3-piperidinyl)carbonyl, (4-piperidinyl)carbonyl, (1-acetyl-4-piperidinyl)carbonyl, (4-formyl-1-piperidinyl)-carbonyl, (3-propionyl-2-piperidinyl)carbonyl, (1-butyryl-4-piperidinyl)carbonyl, (1-pentanoyl-4-piperidinyl)carbonyl, (1-hexanoyl-4-piperidinyl)carbonyl, and the like.

The "lower alkoxy" includes a straight chain or branched chain alkoxy group having 1 to 6 carbon atoms, for example, methoxy, ethoxy, propoxy, isopropoxy, butoxy, tert-butoxy, pentyloxy, hexyloxy, and the like.

The "amino having optionally a lower alkyl substituent" includes an amino optionally having one to two straight chain or branched chain alkyl group having 1 to 6 carbon atoms, for example, amino, methylamino, ethylamino, propyl amino, isopropylamino, butylamino, tert-butylamino, pentylamino, hexylamino, dimethylamino, diethylamino, dipropylamino, dibutylamino, dipentylamino, dihexylamino, N-methyl-N-ethylamino, N-ethyl-N-propylamino, N-methyl-N-



butylamino, N-methyl-N-hexylamino, and the like.

The "lower alkyl which has optionally a substituent selected from a halogen atom and hydroxy" includes a straight chain or branched chain alkyl group having 1 to 6 carbon atoms which may optionally have 1 to 3 substituents selected from a halogen atom and hydroxy, for example, in addition to the above-mentioned lower alkyl groups, hydroxymethyl, 2-hydroxyethyl, 1-hydroxyethyl, 3-hydroxypropyl, 2,3-dihydroxypropyl, 4-hydroxybutyl, 1,1-dimethyl-2-hydroxyethyl, 5,5,4-trihydroxypentyl, 5-hydroxypentyl, 6-hydroxyhexyl, 1-hydroxyisopropyl, 2-methyl-3-hydroxypropyl, trifluoromethyl, trichloromethyl, chloromethyl, bromomethyl, fluoromethyl, iodomethyl, difluoromethyl, dibromomethyl, 2-chloroethyl, 2,2,2-trifluoroethyl, 2,2,2-trichloroethyl, 3-chloropropyl, 2,3-dichloropropyl, 4,4,4-trichlorobutyl, 4-fluorobutyl, 5-chloropentyl, 3-chloro-2-methylpropyl, 5-bromohexyl, 5,6-dichlorohexyl, and the like.

The "amino having optionally a substituent selected from a lower alkyl and a lower alkanoyl" includes an amino having optionally one or two substituents selected from a straight chain or branched chain alkyl group having 1 to 6 carbon atoms and a straight chain or branched chain alkanoyl group having 1 to 6 carbon atoms, for example, amino, methylamino, ethylamino, propylamino, isopropylamino, butylamino, tert-butylamino, pentylamino, hexylamino, dimethylamino, diethylamino, dipropylamino, dibutylamino, dipentylamino, dihexylamino, N-methyl-N-ethylamino, N-ethyl-N-propylamino, N-methyl-N-butylamino, N-methyl-N-hexylamino,

N-methyl-N-acetylamino, N-acetylamino, N-formylamino, N-propionylamino, N-butyrylamino, N-isobutyrylamino, N-pentanoylamino, N-tert-butylcarbonylamino, N-hexanoylamino, N-ethyl-N-acetylamino, and the like.

The "carbamoyl-substituted lower alkoxy" includes a carbamoyl-substituted straight chain or branched chain alkoxy group having 1 to 6 carbon atoms, for example, carbamoylmethoxy, 2-carbamoylethoxy, 1-carbamoylethoxy, 3-carbamoylpropoxy, 4-carbamoylbutoxy, 5-carbamoylpentyloxy, 6-carbamoylhexyloxy, 1,1-dimethyl-2-carbamoylethoxy, 2-methyl-3-carbamoylpropoxy, and the like.

The "hydroxy-substituted lower alkoxy" includes a straight chain or branched chain alkoxy group having 1 to 6 carbon atoms and having 1 to 3 hydroxy-substitutents, for example, hydroxymethoxy, 2-hydroxyethoxy, 1-hydroxyethoxy, 3-hydroxypropoxy, 2,3-dihydroxypropoxy, 4-hydroxybutoxy, 3,4-dihydroxybutoxy, 1,1-dimethyl-2-hydroxyethoxy, 5-hydroxypentyloxy, 6-hydroxyhexyloxy, 2-metnyl-3-hydroxypropoxy, 2,3,4-trihydroxybutoxy, and the like.

The "lower alkoxycarbonyl-substituted lower alkoxy" includes an alkoxycarbonyl-substituted straight chain or branched chain alkoxy group having 1 to 6 carbon atoms wherein the alkoxycarbonyl moiety is a straight chain or branched chain alkoxycarbonyl group having 1 to 6 carbon atoms, for example, methoxycarbonylmethoxy, 3-methoxycarbonylpropoxy, ethoxycarboxymethoxy, 3-ethoxycarbonylpropoxy, 4-ethoxycarbonylbutoxy, 5-isopropoxycarbonylpentyloxy, 6-propoxycarbonylhexyloxy, 1,1-dimethyl-2-butoxy-

carbonylethoxy, 2-methyl-3-tert-butoxycarbonylpropoxy, 2-pentyloxycarbonylethoxy, hexyloxycarbonylmethoxy, and the like.

The "carboxy-substituted lower alkoxy" includes a carboxy-substituted straight chain or branched chain alkoxy group having 1 to 6 carbon atoms, for example, carboxymethoxy, 2-carboxyethoxy, 1-carboxyethoxy, 3-carboxypropoxy, 4-carboxybutoxy, 5-carboxypentyloxy, 6-carboxyhexyloxy, 1,1-dimethyl-2-carboxyethoxy, 2-methyl-3-carboxypropoxy, and the like.

The "phthalimido-substituted lower alkoxy" includes a straight chain or branched chain alkoxy group having 1 to 6 carbon atoms which is substituted by phthalimido group, for example, phthalimidomethoxy, 2-phthalimidoethoxy, 1-phthalimidoethoxy, 3-phthalimidopropoxy, 4-phthalimidobutoxy, 5-phthalimidopentyloxy, 6-phthalimidohexyloxy, 1,1-dimethyl-2-phthalimidoethoxy, 2-methyl-3-phthalimidopropoxy, and the like.

The "5- or 6-membered saturated heterocyclic group which is formed by binding the groups  $R^{21}$  and  $R^{22}$  together with the nitrogen atom to which they bond with or without being intervened with nitrogen or oxygen atom" includes, for example, pyrrolidinyl, piperidinyl, piperazinyl, morpholino, and the like.

The above-mentioned "heterocyclic group having a substituent selected from piperidinyl and a lower alkyl" includes the above-mentioned heterocyclic groups having 1 to 3 substituents selected from piperidinyl and a straight

chain or branched chain alkyl group having 1 to 6 carbon atoms, for example, 4-methylpiperazinyl, 3,4-dimethylpiperazinyl, 3-ethylpyrrolidinyl, 2-propylpyrrolidinyl, 3,4,5-trimethylpiperidinyl, 4-butylpiperidinyl, 3-pentylmorpholino, 4-hexylpiperazinyl, 4-(1-piperidinyl)-piperidinyl, 3-(1-piperidinyl)pyrrolidinyl, 3-(1-piperidinyl)-4-methylpiperazinyl, 3-(1-piperidinyl)-morpholino, and the like.

The "phenyl(lower)alkanoyl" includes a phenylalkanoyl wherein the alkanoyl moiety is a straight chain or branched chain alkanoyl group having 2 to 6 carbon atoms, for example, phenylacetyl, 3-phenylpropionyl, 2-phenylpropionyl, 4-phenylbutyryl, 2,2-dimethyl-3-phenylpropionyl, 5-phenylpentanoyl, 6-phenylhexanoyl, and the like.

The "cycloalkyl-lower alkanoyl" includes C<sub>3</sub>-C<sub>8</sub> cycloalkyl-alkanoyl group wherein the alkanoyl moiety is a straight chain or branched chain alkanoyl having 2 to 6 carbon atoms, for example, cyclohexylacetyl, 3-cyclopropylpropionyl, 2-cyclopentylpropionyl, 4-cyclohexylbutyryl, 2,2-dimethyl-3-cycloheptylpropionyl, 5-cyclooctylpentanoyl, 6-cyclohexylhexanoyl, and the like.

The "cycloalkylcarbonyl" includes a cycloalkylcarbonyl having 3 to 8 carbon atoms, for example, cyclopropylcarbonyl, cyclobutylcarbonyl, cyclopentylcarbonyl, cyclohexylcarbonyl, cycloheptylcarbonyl, cyclooctylcarbonyl, and the like.

The "phenoxy-lower alkanoyl wherein the phenyl ring has optionally 1 to 3 substituents selected from a lower

alkyl, a lower alkoxy and an amino having optionally a lower alkanoyl substituent" includes a phenoxyalkanoyl group wherein the alkanoyl moiety is a straight chain or branched chain alkanoyl having 2 to 6 carbon atoms and the phenyl ring has optionally 1 to 3 substituents selected from a straight chain or branched chain alkyl having 1 to 6 carbon atoms, a straight chain or branched chain alkoxy having 1 to 6 carbon atoms and an amino having optionally a straight chain or branched chain alkanoyl having 1 to 6 carbon atoms, for example, phenoxyacetyl, 3-phenoxypropionyl, 2-phenoxypropionyl, 4-phenoxybutyryl, 2,2-dimethyl-3-phenoxypropionyl, 5-phenoxyentanoyl, 6-phenoxyhexanoyl, (2-amino-phenoxy)acetyl, 3-(4-aminophenoxy)propionyl, (2-methylphenoxy)acetyl, (4-methylphenoxy)acetyl, (3-methylphenoxy)acetyl, (3-methoxyphenoxy)acetyl, (3-acetylaminophenoxy)acetyl, 4-(2-propionylaminophenoxy)butyryl, 2,2-dimethyl-3-(4-butyrylamino-phenoxy)propionyl, 5-(2-pentanoylamino-phenoxy)pentanoyl, 6-(4-hexanoylamino-phenoxy)hexanoyl, 3-(2-ethylphenoxy)propionyl, 2-(4-propylphenoxy)propionyl, 4-(4-butylphenoxy)butyryl, 5-(3-pentylphenoxy)pentanoyl, 6-(4-hexylphenoxy)hexanoyl, (2,3-dimethylphenoxy)acetyl, (2,5-dimethylphenoxy)acetyl, (3,4-dimethylphenoxy)acetyl, (3,4,5-trimethylphenoxy)acetyl, 3-(4-ethoxyphenoxy)propionyl, 2-(2-propoxyphenoxy)propionyl, 4-(3-butoxyphenoxy)butyryl, 5-(4-pentyloxyphenoxy)pentanoyl, 6-(4-hexyloxyphenoxy)hexanoyl, (3,4-dimethoxyphenoxy)acetyl, (3,5-dimethoxyphenoxy)acetyl, (2,4-dimethoxyphenoxy)acetyl, (3,4,5-trimethoxyphenoxy)acetyl, (2-acetyl-amino-4-methylphenoxy)acetyl, (4-acetyl-

amino-3-methoxyphenoxy)acetyl, and the like.

The "phthalimido-substituted lower alkanoyl" includes a straight chain or branched chain alkanoyl group having 2 to 6 carbon atoms which is substituted by phthalimido group, for example, 2-phthalimidoacetyl, 3-phthalimidopropionyl, 2-phthalimidopropionyl, 4-phthalimido-butyryl, 2,2-dimethyl-3-phthalimidopropionyl, 5-phthalimido-pentanoyl, 6-phthalimidohexanoyl, 3-methyl-4-phthalimido-butyryl, and the like.

The "lower alkoxycarbonyl-lower alkanoyl" includes an alkoxycarbonyl-alkanoyl group wherein the alkoxy moiety is a straight chain or branched chain alkoxy having 1 to 6 carbon atoms and the alkanoyl moiety is a straight chain or branched chain alkanoyl having 2 to 6 carbon atoms, for example, methoxycarbonylacetyl, 3-methoxycarbonylpropionyl, ethoxycarbonylacetyl, 3-ethoxycarbonylpropionyl, 4-ethoxy-carbonylbutyryl, 3-propoxycarbonylpropionyl, 2-methoxy-carbonylpropionyl, 6-propoxycarbonylhexanoyl, 5-isopropoxy-carbonylpentanoyl, 2,2-dimethyl-3-butoxycarbonylpropionyl, 2-methyl-3-tert-butoxycarbonylpropionyl, pentyloxycarbonyl-acetyl, hexyloxycarbonylacetyl, and the like.

The "carboxy-lower alkanoyl" includes a carboxy-alkanoyl group wherein the alkanoyl moiety is a straight chain or branched chain alkanoyl having 2 to 6 carbon atoms, for example, carboxyacetyl, 3-carboxypropionyl, 2-carboxy-propionyl, 4-carboxybutyryl, 2,2-dimethyl-3-carboxy-propionyl, 5-carboxypentanoyl, 6-carboxyhexanoyl, and the like.

The "naphthyloxy-lower alkanoyl" includes a naphthyloxy-alkanoyl group wherein the alkanoyl moiety is a straight chain or branched chain alkanoyl having 2 to 6 carbon atoms, for example, naphthyloxyacetyl, 3-naphthyloxypropionyl, 2-naphthyloxypropionyl, 4-naphthyloxybutyryl, 2,2-dimethyl-3-naphthyloxypropionyl, 5-naphthyloxypentanoyl, 6-naphthyloxyhexanoyl, and the like.

The "phenyl-lower alkoxycarbonyl" includes a phenylalkoxycarbonyl wherein the alkoxycarbonyl moiety is a straight chain or branched chain alkoxycarbonyl group having 1 to 6 carbon atoms, for example, benzyloxycarbonyl, 2-phenylethoxycarbonyl, 1-phenylethoxycarbonyl, 3-phenylpropoxycarbonyl, 4-phenylbutoxycarbonyl, 5-phenylpentoxycarbonyl, 6-phenylhexoxycarbonyl, 1,1-dimethyl-2-phenylethoxycarbonyl, 2-methyl-3-phenylpropoxycarbonyl, and the like.

The "phenoxy-lower alkyl" includes a phenoxyalkyl group wherein the alkyl moiety is a straight chain or branched chain alkyl group having 1 to 6 carbon atoms, for example, phenoxymethyl, 1-phenoxyethyl, 2-phenoxyethyl, 3-phenoxypropyl, 4-phenoxybutyl, 5-phenoxypentyl, 6-phenoxyhexyl, 1,1-dimethyl-2-phenoxyethyl, 2-methyl-3-phenoxypropyl, and the like.

The "phenyl which has optionally 1 to 3 substituents selected from a lower alkyl, a lower alkoxy and a halogen atom" includes a phenyl group which has optionally 1 to 3 substituents selected from a straight chain or branched chain alkyl group having 1 to 6 carbon atoms, a

straight chain or branched chain alkoxy group having 1 to 6 carbon atoms and a halogen atom, for example, phenyl, 2-methoxyphenyl, 3-methoxyphenyl, 4-methoxyphenyl, 2-ethoxyphenyl, 3-ethoxyphenyl, 4-ethoxyphenyl, 4-isopropoxyphenyl, 4-pentyloxyphenyl, 2,4-dimethoxyphenyl, 4-hexyloxyphenyl, 3,4-dimethoxyphenyl, 3-ethoxy-4-methoxyphenyl, 2,3-dimethoxyphenyl, 3,4-diethoxyphenyl, 2,5-dimethoxyphenyl, 2,6-dimethoxyphenyl, 3,5-dimethoxyphenyl, 3,4-dipentyloxyphenyl, 3,4,5-trimethoxyphenyl, 2-chlorophenyl, 3-chlorophenyl, 4-chlorophenyl, 2-fluorophenyl, 3-fluorophenyl, 4-fluorophenyl, 2-bromophenyl, 3-bromophenyl, 4-bromophenyl, 2-iodophenyl, 3-iodophenyl, 4-iodophenyl, 3,4-dichlorophenyl, 3,5-dichlorophenyl, 2,6-dichlorophenyl, 2,3-dichlorophenyl, 2,4-dichlorophenyl, 3,4-difluorophenyl, 3,5-dibromophenyl, 3,4,5-trichlorophenyl, 2-methoxy-3-chlorophenyl, 2-methylphenyl, 3-methylphenyl, 4-methylphenyl, 2-ethylphenyl, 3-ethylphenyl, 4-ethylphenyl, 4-isopropylphenyl, 3-butylphenyl, 4-pentylphenyl, 4-hexylphenyl, 3,4-dimethylphenyl, 3,4-diethylphenyl, 2,4-dimethylphenyl, 2,5-dimethylphenyl, 2,6-dimethylphenyl, 3,4,5-trimethylphenyl, 3-chloro-4-methylphenyl, 3-methoxy-4-methyl-5-iodophenyl, 3,4-dimethoxy-5-bromophenyl, 3,5-diiodo-4-methoxyphenyl, and the like.

The "amino-lower alkyl having optionally a lower alkyl substituent" includes a straight chain or branched chain alkyl group having 1 to 6 carbon atoms which is substituted by an amino group having optionally 1 to 2 substituents of a straight chain or branched chain alkyl



group having 1 to 6 carbon atoms, for example, aminomethyl, 2-aminoethyl, 1-aminoethyl, 3-aminopropyl, 4-aminobutyl, 5-aminopentyl, 6-aminohexyl, 1,1-dimethyl-2-aminoethyl, 2-methyl-3-aminopropyl, methylaminomethyl, 1-ethylaminoethyl, 2-propylaminoethyl, 3-isopropylaminopropyl, 4-butylaminobutyl, 5-pentylaminopentyl, 6-hexylaminohexyl, dimethylaminomethyl, (N-ethyl-N-propylamino)methyl, 2-(N-methyl-N-hexylamino)ethyl, and the like.

The "5- or 6-membered saturated heterocyclic group which is formed by binding the groups R<sup>24</sup> and R<sup>25</sup> together with the nitrogen atom to which they bond with or without being intervened with nitrogen or oxygen atom" includes, for example, pyrrolidinyl, piperidinyl, piperazinyl, morpholino, and the like.

The above-mentioned "heterocyclic group having a substituent selected from a lower alkyl, a lower alkoxy-carbonyl and piperidinyl" includes the above-mentioned heterocyclic groups having 1 to 3 substituents selected from a straight chain or branched chain alkyl group having 1 to 6 carbon atoms, a straight chain or branched chain alkoxy-carbonyl having 1 to 6 carbon atoms and piperidinyl, for example, in addition to the above-mentioned heterocyclic groups having a substituent selected from a lower alkyl and piperidinyl, 4-methoxycarbonylpiperazinyl, 4-ethoxycarbonylpiperidinyl, 3-propoxycarbonylpyrrolidinyl, 2-pentyloxy-carbonylmorpholino, 4-hexyloxy-carbonylpiperidinyl, 4-ethoxy-carbonyl-3-methylpiperidinyl, 3-methyl-4-ethoxycarbonylpiperazinyl, and the like.

The "5- or 6-membered saturated heterocyclic group which is formed by binding the groups  $R^{29}$  and  $R^{30}$  together with the nitrogen atom to which they bond with or without being intervened with nitrogen or oxygen atom" includes, for example, pyrrolidinyl, piperidinyl, piperazinyl, morpholino, and the like.

The above-mentioned "heterocyclic group having a lower alkyl substituent" includes the above-mentioned heterocyclic groups having 1 to 3 substituents of a straight chain or branched chain alkyl group having 1 to 6 carbon atoms, for example, 4-methylpiperazinyl, 3,4-dimethylpiperazinyl, 2-ethylpyrrolidinyl, 2-propylpyrrolidinyl, 3,4,5-trimethylpiperidinyl, 4-butylpiperidinyl, 3-pentylmorpholino, 4-hexylpiperazinyl, and the like.

The heterocyclic ring in the formula (2) includes tetrahydroquinolyl, 2,3,4,5-tetrahydro-1H-benzazepinyl, 1,2,3,4,5,6-hexahydrobenzazocinyl, 1,2-dihydroquinolyl, 2,3-dihydro-1H-benzazepinyl, 1,2,3,4-tetrahydrobenzazocinyl, and the like.

The heterocyclic ring in the formula (2) wherein the carbon atom in the group of the formula:  $-(CH_2)_t-$  or  $-CH=CH-(CH_2)_v-$  for W is replaced by oxygen atom, sulfur atom, sulfinyl group, sulfonyl group, or a group of the formula:  $-NR^{28}-$  ( $R^{28}$  is hydrogen atom or a lower alkyl) includes a heterocyclic group wherein the carbon atom in the group of the formula:  $-(CH_2)_t-$  or  $-CH=CH-(CH_2)_v-$  for W is replaced by oxygen atom, sulfur atom, sulfinyl group, sulfonyl group, or a group of the formula:  $-NR^{28}-$  ( $R^{28}$  is

hydrogen atom or a straight chain or branched chain alkyl having 1 to 6 carbon atoms), for example, 3,4-dihydro-2H-1,4-benzoxazinyl, 1,2,3,5-tetrahydro-4,1-benzoxazepinyl, 1,2,3,4-tetrahydroquinoxalinyl, 1,2,3,4,5,6-hexahydro-1,5-benzodiazocinyl, 5-methyl-1,2,3,4,5,6-hexahydro-1,5-benzodiazocinyl, 4-methyl-1,2,3,4-tetrahydroquinoxalinyl, 1,2,3,4-tetrahydro-5,1-benzoxazepinyl, 3,4-dihydro-2H-1,4-benzothiazinyl, 2,3,4,5-tetrahydro-1,5-benzothiazepinyl, 1,2,3,5-tetrahydro-4,1-benzothiazepinyl, 4-ethyl-1,2,3,4-tetrahydroquinoxalinyl, 4-propyl-1,2,3,4-tetrahydroquinoxalinyl, 4-butyl-1,2,3,4-tetrahydroquinoxalinyl, 4-pentyl-1,2,3,4-tetrahydroquinoxalinyl, 4-hexyl-1,2,3,4-tetrahydroquinoxalinyl, 2,3,4,5-tetrahydro-1H-1,4-benzodiazepinyl, 4-methyl-2,3,4,5-tetrahydro-1H-1,4-benzodiazepinyl, 4-ethyl-2,3,4,5-tetrahydro-1H-1,4-benzodiazepinyl, 4-propyl-2,3,4,5-tetrahydro-1H-1,4-benzodiazepinyl, 4-butyl-2,3,4,5-tetrahydro-1H-1,4-benzodiazepinyl, 4-pentyl-2,3,4,5-tetrahydro-1H-1,4-benzodiazepinyl, 4-hexyl-2,3,4,5-tetrahydro-1H-1,4-benzodiazepinyl, 2,3,4,5-tetrahydro-1H-1,5-benzodiazepinyl, 5-methyl-2,3,4,5-tetrahydro-1H-1,5-benzodiazepinyl, 5-ethyl-2,3,4,5-tetrahydro-1H-1,5-benzodiazepinyl, 5-propyl-2,3,4,5-tetrahydro-1H-1,5-benzodiazepinyl, 5-butyl-2,3,4,5-tetrahydro-1H-1,5-benzodiazepinyl, 5-pentyl-2,3,4,5-tetrahydro-1H-1,5-benzodiazepinyl, 5-hexyl-2,3,4,5-tetrahydro-1H-1,5-benzodiazepinyl, 3,4-dihydro-1-oxo-2H-1,4-benzothiazepinyl, 3,4-dihydro-1,1-dioxo-2H-1,4-benzothiazepinyl, 1-oxo-2,3,4,5-tetrahydro-1,5-benzothiazepinyl,

1,1-dioxo-2,3,4,5-tetrahydro-1,5-benzothiazepinyl, 4-oxo-1,2,3,5-tetrahydro-4,1-benzothiazepinyl, 4,4-dioxo-1,2,3,5-tetrahydro-4,1-benzothiazepinyl, and the like.

The "halogen-substituted lower alkanoyl" includes a straight chain or branched chain alkanoyl group having 1 to 6 carbon atoms which has 1 to 3 substituents of a halogen atom, for example, 2,2,2-trifluoroacetyl, 2,2,2-trichloroacetyl, 2-chloroacetyl, 2-bromoacetyl, 2-fluoroacetyl, 2-iodoacetyl, 2,2-difluoroacetyl, 2,2-dibromoacetyl, 3,3,3-trifluoropropionyl, 3,3,3-trichloropropionyl, 3-chloropropionyl, 2,3-dichloropropionyl, 4,4,4-trichlorobutyryl, 4-fluorobutyryl, 5-chloropentanoyl, 3-chloro-2-methylpropionyl, 6-bromohexanoyl, 5,6-dibromohexanoyl, and the like.

The "aminocarbonyl-lower alkoxy having a lower alkyl substituent" includes a straight chain or branched chain alkoxy group having 1 to 6 carbon atoms which is substituted by an aminocarbonyl group having 1 to 2 substituents of a straight chain or branched chain alkyl group having 1 to 6 carbon atoms, for example, methylaminocarbonylmethoxy, 1-ethylaminocarbonylethoxy, 2-propylaminocarbonylethoxy, 3-isopropylaminocarbonylpropoxy, 4-butylaminocarbonylbutoxy, 5-pentylaminocarbonylpentyloxy, 6-hexylaminocarbonylhexyloxy, dimethylaminocarbonylmethoxy, 3-diethylaminocarbonylpropoxy, diethylaminocarbonylmethoxy, (N-ethyl-N-propylamino)carbonylmethoxy, 2-(N-methyl-N-hexylamino)carbonylethoxy, and the like.

The "carbamoyle-lower alkyl" includes a carbamoyle-

substituted straight chain or branched chain alkyl group having 1 to 6 carbon atoms, for example, carbamoylmethyl, 2-carbamoylethyl, 1-carbamoylethyl, 3-carbamoylpropyl, 4-carbamoylbutyl, 5-carbamoylpentyl, 6-carbamoylhexyl, 1,1-dimethyl-2-carbamoylethyl, 2-methyl-3-carbamoylpropyl, and the like.

The "amino-lower alkanoyl having optionally a lower alkyl substituent" includes a straight chain or branched chain alkanoyl having 2 to 6 carbon atoms which is substituted by an amino group having optionally 1 to 2 substituents of a straight chain or branched chain alkyl group having 1 to 6 carbon atoms, for example, 2-aminoacetyl, 3-aminopropionyl, 2-aminopropionyl, 4-aminobutyryl, 5-aminopentanoyl, 6-aminohexanoyl, 2,2-dimethyl-3-aminopropionyl, 2-methyl-3-aminopropionyl, 2-methylaminoacetyl, 2-ethylaminopropionyl, 3-propylaminopropionyl, 3-isopropylaminopropionyl, 4-butylaminobutyryl, 5-pentylaminopentanoyl, 6-hexylaminohexanoyl, 2-dimethylaminoacetyl, 2-diethylaminoacetyl, 2-(N-ethyl-N-propylamino)acetyl, 3-(N-methyl-N-hexylamino)propionyl, and the like.

The "amino-lower alkyl having optionally a lower alkanoyl substituent" includes a straight chain or branched chain alkyl having 1 to 6 carbon atoms which is substituted by an amino group having optionally a substituent of a straight chain or branched chain alkanoyl group having 1 to 6 carbon atoms, for example, aminomethyl, 2-aminoethyl, 1-aminoethyl, 3-aminopropyl, 4-aminobutyl, 5-aminopentyl, 6-aminohexyl, 1,1-dimethyl-2-aminoethyl, 2-methyl-3-amino-

propyl, acetylaminomethyl, 1-acetylaminoethyl, 2-propionylaminoethyl, 3-isopropionylaminopropyl, 4-butyrylaminoethyl, 5-pentanoylaminoethyl, 6-hexanoylaminoethyl, formylaminomethyl, and the like.

The "anilinocarbonyl having optionally a lower alkyl substituent on the phenyl ring" includes an anilinocarbonyl group having optionally 1 to 3 substituents of a straight chain or branched chain alkyl group having 1 to 6 carbon atoms on the phenyl ring, for example, anilino-carbonyl, 2-methylanilinocarbonyl, 3-methylanilinocarbonyl, 4-methylanilinocarbonyl, 2-ethylanilinocarbonyl, 3-ethylanilinocarbonyl, 4-ethylanilinocarbonyl, 4-isopropylanilinocarbonyl, 3-butylianilinocarbonyl, 4-pentylianilinocarbonyl, 4-hexylanilinocarbonyl, 3,4-dimethylanilinocarbonyl, 3,4-diethylanilinocarbonyl, 2,4-dimethylanilinocarbonyl, 2,5-dimethylanilinocarbonyl, 2,6-dimethylanilinocarbonyl, 3,4,5-trimethylanilinocarbonyl, and the like.

The "phenylsulfonyl which has optionally a substituent selected from a halogen and a lower alkyl on the phenyl ring" includes a phenylsulfonyl group which has optionally 1 to 3 substituents selected from a straight chain or branched chain alkyl group having 1 to 6 carbon atoms and a halogen atom, for example, phenylsulfonyl, 2-chlorophenylsulfonyl, 3-chlorophenylsulfonyl, 4-chlorophenylsulfonyl, 2-fluorophenylsulfonyl, 3-fluorophenylsulfonyl, 4-fluorophenylsulfonyl, 2-bromophenylsulfonyl, 3-bromophenylsulfonyl, 4-bromophenylsulfonyl, 2-iodophenylsulfonyl, 3-iodophenylsulfonyl, 4-iodophenylsulfonyl, 3,4-

dichlorophenylsulfonyl, 3,5-dichlorophenylsulfonyl, 2,6-dichlorophenylsulfonyl, 2,3-dichlorophenylsulfonyl, 2,4-dichlorophenylsulfonyl, 3,4-difluorophenylsulfonyl, 3,5-dibromophenylsulfonyl, 3,4,5-trichlorophenylsulfonyl, 2-ethyl-3-chlorophenylsulfonyl, 2-methylphenylsulfonyl, 3-methylphenylsulfonyl, 4-methylphenylsulfonyl, 2-ethylphenylsulfonyl, 3-ethylphenylsulfonyl, 4-ethylphenylsulfonyl, 4-isopropylphenylsulfonyl, 3-butylphenylsulfonyl, 4-pentylphenylsulfonyl, 4-hexylphenylsulfonyl, 3,4-dimethylphenylsulfonyl, 3,4-diethylphenylsulfonyl, 2,4-dimethylphenylsulfonyl, 2,5-dimethylphenylsulfonyl, 2,6-dimethylphenylsulfonyl, 2,4,6-trimethylphenylsulfonyl, 3,4,5-trimethylphenylsulfonyl, 3-chloro-4-methylphenylsulfonyl, 4-methyl-5-iodophenylsulfonyl, 3,4-dimethyl-5-bromophenylsulfonyl, 3,5-diiodo-4-methylphenylsulfonyl, and the like.

The "phthalimido-substituted lower alkyl" includes a straight chain or branched chain alkyl group having 1 to 6 carbon atoms which is substituted by phthalimido group, for example, phthalimidomethyl, 2-phthalimidoethyl, 1-phthalimidoethyl, 3-phthalimidopropyl, 4-phthalimidobutyl, 5-phthalimidopentyl, 6-phthalimidoethyl, 1,1-dimethyl-2-phthalimidoethyl, 2-methyl-3-phthalimidopropyl, and the like.

The "lower alkynyl" includes a straight chain or branched chain alkynyl having 2 to 6 carbon atoms, for example, ethynyl, 2-propynyl, 2-butyne, 3-butyne, 1-methyl-2-propynyl, 2-pentyne, 2-hexyne, and the like.

The "benzoyl which has optionally a halogen

substituent on the phenyl ring" includes a benzoyl group which has optionally 1 to 3 substituents of a halogen atom on the phenyl ring, for example, benzoyl, 2-chlorobenzoyl, 3-chlorobenzoyl, 4-chlorobenzoyl, 2-fluorobenzoyl, 3-fluorobenzoyl, 4-fluorobenzoyl, 2-bromobenzoyl, 3-bromobenzoyl, 4-bromobenzoyl, 2-iodobenzoyl, 3-iodobenzoyl, 4-iodobenzoyl, 3,4-dichlorobenzoyl, 3,5-dichlorobenzoyl, 2,6-dichlorobenzoyl, 2,3-dichlorobenzoyl, 2,4-dichlorobenzoyl, 3,4-difluorobenzoyl, 3,5-dibromobenzoyl, 3,4,5-trichlorobenzoyl, and the like.

The "amino-lower alkoxy having optionally a substituent selected from a lower alkyl and a lower alkanoyl" include a straight chain or branched chain alkoxy group having 1 to 6 carbon atoms which is substituted by an amino group having optionally 1 to 2 substituents selected from a straight chain or branched chain alkyl group having 1 to 6 carbon atoms and a straight chain or branched chain alkanoyl group having 1 to 6 carbon atoms, for example, aminomethoxy, 2-aminoethoxy, 1-aminoethoxy, 3-aminopropoxy, 4-aminobutoxy, 5-aminopentyloxy, 6-aminohexyloxy, 1,1-dimethyl-2-aminoethoxy, 2-methyl-3-aminopropoxy, acetylaminomethoxy, 1-acetylaminomethoxy, 2-propionylaminomethoxy, 3-isopropionylaminopropoxy, 4-butyrylaminobutoxy, 5-pentanoylaminopentyloxy, 6-hexanoylaminohexyloxy, formylaminomethoxy, methylaminomethoxy, 1-ethylaminomethoxy, 2-propylaminomethoxy, 3-isopropylaminopropoxy, 4-butylaminobutoxy, 5-pentylaminopentyloxy, 6-hexylaminohexyloxy, dimethylaminomethoxy, (N-ethyl-N-propylamino)methoxy, 2-(N-



methyl-N-hexylamino)ethoxy, and the like.

The "benzoyloxy which has optionally a halogen substituent on the phenyl ring" includes a benzoyloxy group which has optionally 1 to 3 substituents of a halogen atom on the phenyl ring, for example, benzoyloxy, 2-chlorobenzoyloxy, 3-chlorobenzoyloxy, 4-chlorobenzoyloxy, 2-fluorobenzoyloxy, 3-fluorobenzoyloxy, 4-fluorobenzoyloxy, 2-bromobenzoyloxy, 3-bromobenzoyloxy, 4-bromobenzoyloxy, 2-iodobenzoyloxy, 3-iodobenzoyloxy, 4-iodobenzoyloxy, 3,4-dichlorobenzoyloxy, 3,5-dichlorobenzoyloxy, 2,6-dichlorobenzoyloxy, 2,3-dichlorobenzoyloxy, 2,4-dichlorobenzoyloxy, 3,4-difluorobenzoyloxy, 3,5-dibromobenzoyloxy, 3,4,5-trichlorobenzoyloxy, and the like.

The "lower alkanoyloxy-substituted lower alkyl" includes a straight chain or branched chain alkyl group having 1 to 6 carbon atoms which is substituted by a straight chain or branched chain alkanoyloxy group having 2 to 6 carbon atoms, for example, acetyloxymethyl, 2-propionyloxyethyl, 1-butyryloxyethyl, 3-acetyloxypropyl, 4-acetyloxybutyl, 4-isobutyryloxybutyl, 5-pentanoyloxypropyl, 6-acetyloxyhexyl, 6-tert-butylcarbonyloxyhexyl, 1,1-dimethyl-2-hexanoyloxyethyl, 2-methyl-3-acetyloxypropyl, and the like.

The "lower alkylsulfonyloxy-lower alkyl" includes a straight chain or branched chain alkyl group having 1 to 6 carbon atoms which is substituted by a straight chain or branched chain alkylsulfonyloxy group having 1 to 6 carbon atoms, for example, methylsulfonyloxymethyl, 1-ethyl-

sulfonyloxyethyl, 2-propylsulfonyloxyethyl, 3-isopropylsulfonyloxypropyl, 4-butylsulfonyloxybutyl, 5-pentylsulfonyloxypropyl, 6-hexylsulfonyloxyhexyl, 1,1-dimethyl-2-methylsulfonyloxyethyl, 2-methyl-3-ethylsulfonyloxypropyl, and the like.

The "azido-lower alkyl" includes a straight chain or branched chain alkyl group having 1 to 6 carbon atoms which is substituted by an azido group, for example, azidomethyl, 1-azidoethyl, 2-azidoethyl, 3-azidopropyl, 4-azidobutyl, 5-azidopentyl, 6-azidohexyl, 1,1-dimethyl-2-azidoethyl, 2-methyl-3-azidopropyl, and the like.

The "lower alkanoyloxyimino" includes a straight chain or branched chain alkanoyloxyimino group having 1 to 6 carbon atoms, for example, formyloxyimino, acetyloxyimino, propionyloxyimino, butyryloxyimino, isobutyryloxyimino, pentanoyloxyimino, tert-butylcarbonyloxyimino, hexanoyloxyimino, and the like.

The "lower alkylidene" includes a straight chain or branched chain alkylidene group having 1 to 6 carbon atoms, for example, methylenidene, ethylenidene, propylenidene, isopropylenidene, butylenidene, pentylenidene, hexylenidene, and the like.

The "oxiranyl-substituted lower alkyl" includes a straight chain or branched chain alkyl group having 1 to 6 carbon atoms which is substituted by oxiranyl group, for example, oxiranylmethyl, 1-oxiranylethyl, 2-oxiranylethyl, 3-oxiranylpropyl, 4-oxiranylbutyl, 5-oxiranylpentyl, 6-oxiranylhhexyl, 1,1-dimethyl-2-oxiranylethyl, 2-methyl-3-

oxiranylpropyl, and the like.

The "lower alkyl having 1 to 2 substituents selected from a lower alkoxy, hydroxy and an amino having optionally a lower alkyl substituent" includes a straight chain or branched chain alkyl group having 1 to 6 carbon atoms and having 1 to 2 substituents selected from a straight chain or branched chain alkoxy group having 1 to 6 carbon atoms, hydroxy and an amino having optionally a straight chain or branched chain alkyl group having 1 to 6 carbon atoms, for example, methoxymethyl, 1-ethoxyethyl, 2-propoxyethyl, 3-isopropoxypropyl, 4-butoxybutyl, 5-pentyl-oxy-pentyl, 6-hexyloxyhexyl, 1,1-dimethyl-2-methoxyethyl, 2-methyl-3-ethoxypropyl, 3-methoxy-2-hydroxypropyl, hydroxy-methyl, 2-hydroxyethyl, 1-hydroxyethyl, 3-hydroxypropyl, 2,3-dihydroxyethyl, 4-hydroxybutyl, 3,4-dihydroxybutyl, 1,1-dimethyl-2-hydroxyethyl, 5,6-dihydroxyhexyl, 5-hydroxy-pentyl, 6-hydroxyhexyl, 6-(N-ethyl-N-methylamino)-5-methoxy-hexyl, 2-methyl-3-hydroxypropyl, aminomethyl, 1-aminoethyl, 2-aminoethyl, 3-aminopropyl, 4-aminobutyl, 5-aminopentyl, 6-amino-hexyl, 1,1-dimethyl-2-aminoethyl, 2-methyl-3-amino-propyl, methylaminomethyl, ethylaminomethyl, propylamino-methyl, isopropylaminomethyl, butylaminomethyl, tert-butylaminomethyl, pentylaminomethyl, hexylaminomethyl, dimethylaminomethyl, diethylaminomethyl, dipropylamino-methyl, dibutylaminomethyl, dipentylaminomethyl, dihexyl-aminomethyl, N-methyl-N-ethylaminomethyl, N-methyl-N-propylaminomethyl, N-methyl-N-butylaminomethyl, N-methyl-N-hexylaminomethyl, 1-methylaminoethyl, 2-ethylaminoethyl, 3-

propylaminopropyl, 4-butylaminobutyl, 1,1-dimethyl-2-pentyl-aminoethyl, 5-hexylaminopentyl, 6-dimethylaminoethyl, 4-dimethylaminobutyl, 2-diethylaminoethyl, 1-(N-methyl-N-hexylamino)ethyl, 3-dihexylaminopropyl, 6-diethylaminoethyl, 4-dibutylaminobutyl, 2-(N-methyl-N-pentylamino)ethyl, 2-hydroxy-3-diethylaminopropyl, 3-hydroxy-4-methylaminobutyl, 5-hydroxy-6-diethylaminoethyl, 4-hydroxy-5-dimethylaminopentyl, 4-hydroxy-5-methylaminopentyl, 4-hydroxy-5-diethylaminopentyl, 5-hydroxy-6-ethylaminoethyl, 5-hydroxy-6-isopropylaminoethyl, 5-hydroxy-6-aminoethyl, and the like.

The "aminocarbonyloxy having optionally a lower alkyl substituent" includes an aminocarbonyloxy group having optionally 1 to 2 substituents of a straight chain or branched chain alkyl group having 1 to 6 carbon atoms, for example, aminocarbonyloxy, methylaminocarbonyloxy, ethylaminocarbonyloxy, propylaminocarbonyloxy, isopropylaminocarbonyloxy, butylaminocarbonyloxy, tert-butylaminocarbonyloxy, pentylaminocarbonyloxy, hexylaminocarbonyloxy, dimethylaminocarbonyloxy, diethylaminocarbonyloxy, dipropylaminocarbonyloxy, dibutylaminocarbonyloxy, dipentylaminocarbonyloxy, dihexylaminocarbonyloxy, N-methyl-N-ethylaminocarbonyloxy, N-ethyl-N-propylaminocarbonyloxy, N-methyl-N-butylaminocarbonyloxy, N-methyl-N-hexylaminocarbonyloxy, and the like.

The "lower alkanoyloxy having optionally a halogen substituent" includes a straight chain or branched chain alkanoyloxy group having 1 to 6 carbon atoms which has optionally 1 to 3 substituents of a halogen atom, for

example, in addition to the above-mentioned lower alkanoyloxy groups, 2,2,2-trifluoroacetyloxy, 2,2,2-trichloroacetyloxy, 2-chloroacetyloxy, 2-bromoacetyloxy, 2-fluoroacetyloxy, 2-iodoacetyloxy, 2,2-difluoroacetyloxy, 2,2-dibromoacetyloxy, 3,3,3-trifluoropropionyloxy, 3,3,3-trichloropropionyloxy, 3-chloropropionyloxy, 2,3-dichloropropionyloxy, 4,4,4-trichlorobutyryloxy, 4-fluorobutyryloxy, 5-chloropentanoyloxy, 3-chloro-2-methylpropionyloxy, 6-bromohexanoyloxy, 5,6-dibromohexanoyloxy, and the like.

The "amino-lower alkyl having optionally a substituent selected from a lower alkyl and a lower alkanoyl" includes a straight chain or branched chain alkyl group having 1 to 6 carbon atoms which is substituted by an amino group having optionally 1 to 2 substituents selected from a straight chain or branched chain alkyl group having 1 to 6 carbon atoms and a straight chain or branched chain alkanoyl group having 1 to 6 carbon atoms, for example, aminomethyl, 2-aminoethyl, 1-aminoethyl, 3-aminopropyl, 4-aminobutyl, 5-aminopentyl, 6-aminohexyl, 1,1-dimethyl-2-aminoethyl, 2-methyl-3-aminopropyl, acetylaminomethyl, 1-acetylaminomethyl, 2-propionylaminomethyl, 3-isopropionylaminopropyl, 4-butyrylaminobutyl, 5-pentanoylaminopentyl, 6-hexanoylaminohexyl, formylaminomethyl, methylaminomethyl, 1-ethylaminomethyl, 2-propylaminomethyl, 3-isopropylaminopropyl, 4-butylaminobutyl, 5-pentylaminopentyl, 6-hexylaminohexyl, dimethylaminomethyl, (N-ethyl-N-propylamino)methyl, 2-(N-methyl-N-hexylamino)ethyl, and the like.

The "amino-lower alkanoyloxy having optionally a

lower alkyl substituent" includes a straight chain or branched chain alkanoyloxy having 2 to 6 carbon atoms which is substituted by an amino group having optionally 1 to 2 substituents of a straight chain or branched chain alkyl group having 1 to 6 carbon atoms, for example, 2-aminoacetyloxy, 3-aminopropionyloxy, 2-aminopropionyloxy, 4-aminobutyryloxy, 5-aminopentanoyloxy, 6-aminohexanoyloxy, 2,2-dimethyl-3-aminopropionyloxy, 2-methyl-3-aminopropionyloxy, 2-methylaminoacetyloxy, 2-ethylaminopropionyloxy, 3-propylaminopropionyloxy, 3-isopropylaminopropionyloxy, 4-butylaminobutyryloxy, 5-pentylaminopentanoyloxy, 6-hexylaminohexanoyloxy, 2-dimethylaminoacetyloxy, 2-diethylaminoacetyloxy, 2-(N-ethyl-N-propylamino)acetyloxy, 3-(N-methyl-N-hexylamino)propionyloxy, and the like.

The "pyridyl-lower alkyl" includes a pyridylalkyl group wherein the alkyl moiety is a straight chain or branched chain alkyl group having 1 to 6 carbon atoms, for example, (4-pyridyl)methyl, 1-(3-pyridyl)ethyl, 2-(2-pyridyl)ethyl, 3-(2-pyridyl)propyl, 4-(3-pyridyl)butyl, 5-(4-pyridyl)pentyl, 6-(2-pyridyl)hexyl, 1,1-dimethyl-2-(3-pyridyl)ethyl, 2-methyl-3-(4-pyridyl)propyl, and the like.

The "5- or 6-membered saturated heterocyclic group which is formed by binding the groups  $R^{33}$  and  $R^{34}$  together with the nitrogen atom to which they bond with or without being intervened with nitrogen, oxygen or sulfur atom" includes, for example, pyrrolidinyl, piperidinyl, piperazinyl, morpholino, thiomorpholino, and the like.

The above "heterocyclic group which has a

substituent selected from oxo, a lower alkyl, a lower alkanoyl and carbamoyl" includes the above heterocyclic groups which have 1 to 3 substituents selected from oxo, a straight chain or branched chain alkyl group having 1 to 6 carbon atoms, a straight chain or branched chain alkanoyl group having 1 to 6 carbon atoms, and carbamoyl group, for example, 4-methylpiperazinyl, 3,4-dimethylpiperazinyl, 3-ethylpyrrolidinyl, 2-propylpyrrolidinyl, 3,4,5-trimethylpiperidinyl, 4-butylpiperidinyl, 3-pentylmorpholino, 4-hexylpiperazinyl, 2-methylthiomorpholino, 4-acetyl-piperazinyl, 2-propanoylmorpholino, 3-butyrylthiomorpholino, 3-pentanoylpyrrolidinyl, 4-hexanoylpiperidinyl, 3-methyl-4-acetylpiperazinyl, 2-carbamoylpyrrolidinyl, 4-carbamoylpiperazinyl, 3-carbamoylthiomorpholino, 2-carbamoylmorpholino, 3-carbamoylpiperidinyl, 1-oxothiomorpholino, 1,1-dioxothiomorpholino, and the like.

The "aminocarbonyl having optionally a lower alkyl substituent" includes an aminocarbonyl group having optionally 1 to 2 substituents of a straight chain or branched chain alkyl group having 1 to 6 carbon atoms, for example, aminocarbonyl, methylaminocarbonyl, ethylaminocarbonyl, propylaminocarbonyl, isopropylaminocarbonyl, butylaminocarbonyl, tert-butylaminocarbonyl, pentylaminocarbonyl, hexylaminocarbonyl, dimethylaminocarbonyl, diethylaminocarbonyl, dipropylaminocarbonyl, dibutylaminocarbonyl, dipentylaminocarbonyl, dihexylaminocarbonyl, N-methyl-N-ethylaminocarbonyl, N-ethyl-N-propylaminocarbonyl, N-methyl-N-butylaminocarbonyl, N-methyl-N-hexylamino-

carbonyl, and the like.

The "cyano-substituted lower alkyl" includes a straight chain or branched chain alkyl group having 1 to 6 carbon atoms which is substituted by cyano group, for example, cyanomethyl, 2-cyanoethyl, 1-cyanoethyl, 3-cyanopropyl, 4-cyanobutyl, 5-cyanopentyl, 6-cyanoethyl, 1,1-dimethyl-2-cyanoethyl, 2-methyl-3-cyanopropyl, and the like.

The "lower alkoxy-carbonyl-substituted lower alkyl" includes an alkoxy-carbonyl-substituted straight chain or branched chain alkyl group having 1 to 6 carbon atoms wherein the alkoxy-carbonyl moiety is a straight chain or branched chain alkoxy-carbonyl group having 1 to 6 carbon atoms, for example, methoxycarbonylmethyl, 3-methoxycarbonylpropyl, ethoxycarbonylmethyl, 3-ethoxycarbonylpropyl, 4-ethoxycarbonylbutyl, 5-isopropoxycarbonylpentyl, 6-propoxycarbonylhexyl, 1,1-dimethyl-2-butoxycarbonylethyl, 2-methyl-3-tert-butoxycarbonylpropyl, 2-pentyloxycarbonylethyl, hexyloxycarbonylmethyl, and the like.

The "carboxy-substituted lower alkyl" includes a carboxy-substituted alkyl group wherein the alkyl moiety is a straight chain or branched chain alkyl group having 1 to 6 carbon atoms, for example, carboxymethyl, 2-carboxyethyl, 1-carboxyethyl, 3-carboxypropyl, 4-carboxybutyl, 5-carboxypentyl, 6-carboxyhexyl, 1,1-dimethyl-2-carboxyethyl, 2-methyl-3-carboxypropyl, and the like.

The "tetrahydropyranyloxy-substituted lower alkyl" includes a tetrahydropyranyloxy-substituted straight chain or branched chain alkyl group having 1 to 6 carbon atoms,



for example, (2-tetrahydropyranyl)oxymethyl, 2-(3-tetrahydropyranyl)oxyethyl, 1-(4-tetrahydropyranyl)oxyethyl, 3-(2-tetrahydropyranyl)oxypropyl, 4-(3-tetrahydropyranyl)oxybutyl, 5-(4-tetrahydropyranyl)oxypentyl, 6-(2-tetrahydropyranyl)oxyhexyl, 1,1-dimethyl-2-(3-tetrahydropyranyl)oxyethyl, 2-methyl-3-(4-tetrahydropyranyl)oxypropyl, and the like.

The "piperidinyl having optionally a phenyl-lower alkyl substituent" includes a piperidinyl which has optionally a substituent of a phenylalkyl group wherein the alkyl moiety is a straight chain or branched chain alkyl group having 1 to 6 carbon atoms, for example, piperidinyl, 1-benzyl-4-piperidinyl, 1-(2-phenylethyl)-3-piperidinyl, 1-(1-phenylethyl)-2-piperidinyl, 1-(3-phenylpropyl)-4-piperidinyl, 1-(4-phenylbutyl)-4-piperidinyl, 1-(5-phenylpentyl)-4-piperidinyl, 1-(6-phenylhexyl)-4-piperidinyl, 1-(1,1-dimethyl-2-phenylethyl)-3-piperidinyl, 1-(2-methyl-3-phenylpropyl)-2-piperidinyl, and the like.

The "imidazolyl-substituted lower alkanoyl" includes an imidazolyl-substituted alkanoyl group wherein the alkanoyl moiety is a straight chain or branched chain alkanoyl group having 2 to 6 carbon atoms, for example, (1-imidazolyl)acetyl, 3-(2-imidazolyl)propionyl, 2-(4-imidazolyl)propionyl, 4-(1-imidazolyl)butyryl, 2,2-dimethyl-3-(2-imidazolyl)propionyl, 5-(4-imidazolyl)pentanoyl, 6-(1-imidazolyl)hexanoyl, and the like.

The "amino-lower alkanoyl having optionally a substituent selected from a lower alkyl and a lower alkoxy-

carbonyl" includes a straight chain or branched chain alkanoyl having 2 to 6 carbon atoms which is substituted by an amino group having optionally 1 to 2 substituents selected from a straight chain or branched chain alkyl group having 1 to 6 carbon atoms and a straight chain or branched chain alkoxy carbonyl group having 1 to 6 carbon atoms, for example, 2-aminoacetyl, 3-aminopropionyl, 2-aminopropionyl, 4-aminobutyryl, 5-aminopentanoyl, 6-aminohexanoyl, 2,2-dimethyl-3-aminopropionyl, 2-methyl-3-aminopropionyl, 2-methylaminoacetyl, 2-ethylaminopropionyl, 3-propylaminopropionyl, 3-isopropylaminopropionyl, 4-butylaminobutyryl, 5-pentylaminopentanoyl, 6-hexylaminohexanoyl, 2-dimethylaminoacetyl, 2-diethylaminoacetyl, 2-(N-ethyl-N-propylamino)acetyl, 3-(N-methyl-N-hexylamino)propionyl, 2-methoxycarbonylaminoacetyl, 2-ethoxycarbonylaminoacetyl, 3-propoxycarbonylaminoacetyl, 4-butoxycarbonylaminoacetyl, 2-tert-butoxycarbonylaminoacetyl, 5-pentyloxycarbonylaminoacetyl, 6-hexyloxycarbonylaminoacetyl, 2-(N-methyl-N-tert-butoxycarbonylamino)acetyl, and the like.

The "aminocarbonyl-lower alkyl having a lower alkyl substituent" includes a straight chain or branched chain alkyl group having 1 to 6 carbon atoms which is substituted by an aminocarbonyl group having 1 to 2 substituents of a straight chain or branched chain alkyl group having 1 to 6 carbon atoms, for example, methylaminocarbonylmethyl, 1-ethylaminocarbonylethyl, 2-propylaminocarbonylethyl, 3-isopropylaminocarbonylpropyl, 4-butylaminocarbonylbutyl, 5-pentylaminocarbonylpentyl, 6-hexylaminocarbonylhexyl,

dimethylaminocarbonylmethyl, 3-diethylaminocarbonylpropyl, diethylaminocarbonylmethyl, (N-ethyl-N-propylamino)carbonylmethyl, 2-(N-methyl-N-hexylamino)carbonylethyl, and the like.

The "amino-substituted lower alkoxy having optionally a lower alkyl substituent" includes an amino-substituted straight chain or branched chain alkoxy having 1 to 6 carbon atoms wherein the amino group has optionally 1 to 2 substituents of a straight chain or branched chain alkyl having 1 to 6 carbon atoms, for example, aminomethoxy, 2-aminoethoxy, 1-aminoethoxy, 3-aminopropoxy, 4-aminobutoxy, 5-aminopentyloxy, 6-aminohexyloxy, 1,1-dimethyl-2-aminoethoxy, 2-methyl-3-aminopropoxy, methylaminomethoxy, 1-ethylaminoethoxy, 2-propylaminoethoxy, 3-isopropylaminopropoxy, 4-butylaminobutoxy, 5-pentylaminopentyloxy, 6-hexylaminohexyloxy, dimethylaminomethoxy, (N-methyl-N-propylamino)methoxy, 2-(N-methyl-N-hexylamino)ethoxy, and the like.

The active ingredients of the present oxytocin antagonists of the present invention can be easily prepared by a conventional method, for example, the carbostyryl derivatives of the formula (1) can be prepared, for example, by the method disclosed in Japanese Patent First Publication (Kokai) No. 173870/1991, and the benzoheterocyclic compounds of the formula (2) can be prepared, for example, by the method disclosed in European Patent No. 450097.

Among the active compounds (1) and (2) of this invention, the compounds having an acidic group can easily

be converted into salts by treating with a pharmaceutically acceptable basic compound. The basic compound includes, for example, metal hydroxides such as sodium hydroxide, potassium hydroxide, lithium hydroxide, calcium hydroxide, etc., alkali metal carbonates or hydrogen carbonates such as sodium carbonate, sodium hydrogen carbonate, etc., alkali metal alcoholates such as sodium methylate, potassium ethylate, etc. Besides, among the active compounds (1) and (2) of this invention, the compounds having a basic group can easily be converted into acid addition salts thereof by treating with a pharmaceutically acceptable acid. The acid includes, for example, inorganic acids such as sulfuric acid, nitric acid, hydrochloric acid, hydrobromic acid, etc., and organic acids such as acetic acid, p-toluene-sulfonic acid, ethanesulfonic acid, oxalic acid, maleic acid, citric acid, succinic acid, benzoic acid, etc. Among the active compounds (1) and (2) of the invention, the compounds having an ammonium group can be converted into a salt thereof with a pharmaceutically acceptable halogen anion (e.g. chlorine anion, bromine anion, fluorine anion, or iodine anion). These salts are useful as an active ingredient of the present oxytocin antagonist as like as the compounds (1) and (2) in the free form.

In addition, the active compounds (1) and (2) of this invention include stereoisomers and optical isomers, and these isomers are also useful as the active ingredient in this invention.

The active compounds (2) of the present oxytocin

antagonist obtained by the method disclosed in European Patent No. 450097 can easily be isolated and purified by a conventional isolation method. The isolation methods are, for example, distillation method, recrystallization method, column chromatography, ion exchange chromatography, gel chromatography, affinity chromatography, preparative thin layer chromatography, extraction with a solvent, and the like.

The compounds of the formulae (1), (2) and their salts are useful as an oxytocin antagonist and are used in the form of a conventional pharmaceutical preparation. The preparation is prepared by using conventional diluents or carriers such as fillers, thickening agents, binders, wetting agents, disintegrators, surfactants, lubricants, and the like. The pharmaceutical preparations may be selected from various forms in accordance with the desired utilities, and the representative forms are tablets, pills, powders, solutions, suspensions, emulsions, granules, capsules, suppositories, injections (solutions, suspensions, etc.), and the like. In order to form in tablets, there are used conventional carriers such as vehicles (e.g. lactose, white sugar, sodium chloride, glucose, urea, starches, calcium carbonate, kaolin, crystalline cellulose, silicic acid, etc.), binders (e.g. water, ethanol, propanol, simple syrup, glucose solution, starch solution, gelatin solution, carboxymethyl cellulose, shellac, methyl cellulose, potassium phosphate, polyvinylpyrrolidone, etc.), disintegrators (e.g. dry starch, sodium arginate, agar

powder, laminaran powder, sodium hydrogen carbonate, calcium carbonate, polyoxyethylene sorbitan fatty acid esters, sodium laurylsulfate, stearic monoglyceride, starches, lactose, etc.), disintegration inhibitors (e.g. white sugar, stearin, cacao butter, hydrogenated oils, etc.), absorption promoters (e.g. quaternary ammonium base, sodium laurylsulfate, etc.), wetting agents (e.g. glycerin, starches, etc.), adsorbents (e.g. starches, lactose, kaolin, bentonite, colloidal silicates, etc.), lubricants (e.g. purified talc, stearates, boric acid powder, polyethylene glycol, etc.), and the like. Moreover, the tablets may also be in the form of a conventional coated tablet, such as sugar-coated tablets, gelatin-coated tablets, enteric coated tablets, film coating tablets, or double or multiple layer tablets. In the preparation of pills, the carriers include conventional vehicles (e.g. glucose, lactose, starches, cacao butter, hydrogenated vegetable oils, kaolin, talc, etc.), binders (e.g. gum arabic powder, tragacanth powder, gelatin, ethanol, etc.), disintegrators (e.g. laminaran, agar, etc.), and the like. In the preparation of suppositories, the carriers include conventional vehicles, for example, polyethylene glycol, cacao oils, higher alcohols, higher alcohol esters, gelatin, semi-synthetic glycerides, and the like. Capsules can be prepared by charging a mixture of the active compound of this invention with the above carriers into hard gelatin capsules or soft capsules in a usual manner. In the preparation of injections, the solutions, emulsions or suspensions are steri-

lized and are preferably made isotonic with the blood. In the preparation of these solutions, emulsions and suspensions, there are used conventional diluents, such as water, ethyl alcohol, macrogol, propylene glycol, ethoxylated isostearyl alcohol, polyoxylated isostearyl alcohol, polyoxyethylene sorbitan fatty acid esters, and the like. In this case, the pharmaceutical preparations may also be incorporated with sodium chloride, glucose, or glycerin in an amount sufficient to make them isotonic, and may also be incorporated with conventional solubilizers, buffers, anesthetizing agents. Besides, the pharmaceutical preparations may optionally be incorporated with coloring agents, preservatives, perfumes, flavors, sweetening agents, and other medicaments, if required.

The amount of the active compounds of the formulae (1) and (2) of this invention (active ingredient) to be incorporated into the oxytocin antagonist of the present invention is not specified but may be selected from a broad range, but usually, it is preferably in the range of about 1 to 70 % by weight, more preferably about 5 to 50 % by weight.

The oxytocin antagonist of the present invention may be administered in any method, and suitable method for administration may be determined in accordance with types of the preparations, ages, sexes and other conditions of the patients, the degree of severity of diseases, and the like. For instance, tablets, pills, solutions, suspensions, emulsions, granules and capsules are administered orally.

The injections are intravenously administered alone or together with a conventional auxiliary liquid (e.g. glucose, amino acid solutions), and further are optionally administered alone in intramuscular, intracutaneous, subcutaneous, or intraperitoneal route, if required. Suppositories are administered in intrarectal route.

The dosage of the oxytocin antagonist of the present invention may be selected in accordance with the usage, ages, sexes and other conditions of the patients, the degree of severity of the diseases, and the like, but is usually in the range of about about 0.6 to 50 mg of the active compound (1) or (2) per 1 kg of body weight of the patient per day. The active compound is preferably contained in an amount of about 10 to 1000 mg per the dosage unit.

#### Best Mode for Carrying Out the Invention

The present invention is illustrated by the following Preparations of oxytocin antagonist, and Experiments of the activities of the active compounds (1) and (2) of the present invention.

#### Preparation 1

Film coated tablets are prepared from the following components.

<u>Components</u>	<u>Amount</u>
1-[1-(4-Dimethylaminobenzoyl)-4-piperidinyl]-3,4-dihydrocarbostyril	150 g
Avicel (tradename of microcrystalline cellulose, manufactured by Asahi Chemical Industry Co., Ltd., Japan)	40 g



Corn starch	30 g
Magnesium stearate	2 g
Hydroxypropyl methylcellulose	10 g
Polyethylene glycol-6000	3 g
Castor oil	40 g
Ethanol	40 g

The active component of the present invention, Avicel, corn starch and magnesium stearate are mixed and kneaded and the mixture is tabletted using a conventional pounder (R 10 mm) for sugar coating. The tablets thus obtained are coated with a film coating agent consisting of hydroxypropyl methylcellulose, polyethylene glycol-6000, castor oil and ethanol to give film coated tablets.

#### Preparation 2

Tablets are prepared from the following components.

<u>Components</u>	<u>Amount</u>
1-[1-(2-Methoxy-4-ethoxybenzoyl)-4-piperidinyl]-3,4-dihydrocarbostyrl	150 g
Citric acid	1.0 g
Lactose	33.5 g
Dicalcium phosphate	70.0 g
Pullonic F-68	30.0 g
Sodium laurylsulfate	15.0 g
Polyvinylpyrrolidone	15.0 g
Polyethylene glycol (Carbowax 1500)	4.5 g
Polyethylene glycol (Carbowax 6000)	45.0 g
Corn starch	30.0 g
Dry sodium stearate	3.0 g

Dry magnesium stearate	3.0 g
Ethanol	q.s.

The active compound of the present invention, citric acid, lactose, dicalcium phosphate, Pullonic F-68 and sodium laurylstearate are mixed. The mixture is screened with No. 60 screen and is granulated with an alcohol solution containing polyvinylpyrrolidone, carbowax 1500 and 6000. If required, an alcohol is added thereto so that the powder mixture is made a paste-like mass. Corn starch is added to the mixture and the mixture is continuously mixed to form uniform particles. The resulting particles are passed through No. 10 screen and entered into a tray and then dried in an oven at 100°C for 12 to 14 hours. The dried particles are screened with No. 16 screen and thereto are added dry sodium laurylsulfate and dry magnesium stearate, and the mixture is tabletted to form the desired shape.

The core tablets thus prepared are vanished and dusted with talc in order to guard from wetting. Undercoating is applied to the core tablets. In order to administer the tablets orally, the core tablets are vanished several times. In order to give round shape and smooth surface to the tablets, further undercoating and coating with lubricant are applied thereto. The tablets are further coated with a coloring coating material until the desired colored tablets are obtained. After drying, the coated tablets are polished to obtain the desired tablets having uniform gloss.

Preparation 3

An injection preparation is prepared from the following components.

<u>Components</u>	<u>Amount</u>
7-Fluoro-1-[1-(2,4-dimethoxybenzoyl)-4-piperidiny]-3,4-dihydrocarbostyrl	5 g
Polyethylene glycol (molecular weight: 4000)	0.3 g
Sodium chloride	0.9 g
Polyoxyethylene sorbitan monooleate	0.4 g
Sodium metabisulfite	0.1 g
Methyl-paraben	0.18 g
Propyl-paraben	0.02 g
Distilled water for injection	10.0 ml

The above parabens, sodium metabisulfite and sodium chloride are dissolved in distilled water of half volume of the above with stirring at 80°C. The solution thus obtained is cooled to 40°C, and the active compound of this invention and further polyethylene glycol and polyoxyethylene sorbitan monooleate are dissolved in the above solution. To the solution is added distilled water for injection to adjust to the desired volume, and the solution is sterilized by filtering with an appropriate filter paper to give an injection preparation.

Preparation 4

Film coated tablets are prepared from the following components.

<u>Components</u>	<u>Amount</u>
4-Methylamino-1-[4-(3,5-dichlorobenzoyl-amino)benzoyl]-1,2,3,4-tetrahydroquinoline	150 g

Avicel (tradename of microcrystalline cellulose, manufactured by Asahi Chemical Industry Co., Ltd., Japan)	40 g
Corn starch	30 g
Magnesium stearate	2 g
Hydroxypropyl methylcellulose	10 g
Polyethylene glycol-6000	3 g
Castor oil	40 g
Ethanol	40 g

The active component of the present invention, Avicel, corn starch and magnesium stearate are mixed and kneaded and the mixture is tabletted using a conventional pounder (R 10 mm) for sugar coating. The tablets thus obtained are coated with a film coating agent consisting of hydroxypropyl methylcellulose, polyethylene glycol-6000, castor oil and ethanol to give film coated tablets.

#### Preparation 5

Tablets are prepared from the following components.

<u>Components</u>	<u>Amount</u>
1-[4-(N-Butylanilinoacetyl-amino)benzoyl]- 2,3,4,5-tetrahydro-1H-benzazepine	150 g
Citric acid	1.0 g
Lactose	33.5 g
Dicalcium phosphate	70.0 g
Pullonic F-68	30.0 g
Sodium laurylsulfate	15.0 g
Polyvinylpyrrolidone	15.0 g
Polyethylene glycol (Carbowax 1500)	4.5 g
Polyethylene glycol (Carbowax 6000)	45.0 g

Corn starch	30.0 g
Dry sodium stearate	3.0 g
Dry magnesium stearate	3.0 g
Ethanol	q.s.

The active compound of the present invention, citric acid, lactose, dicalcium phosphate, Pullonic F-68 and sodium laurylstearate are mixed. The mixture is screened with No. 60 screen and is granulated with an alcohol solution containing polyvinylpyrrolidone, carbowax 1500 and 6000. If required, an alcohol is added thereto so that the powder mixture is made a paste-like mass. Corn starch is added to the mixture and the mixture is continuously mixed to form uniform particles. The resulting particles are passed through No. 10 screen and entered into a tray and then dried in an oven at 100°C for 12 to 14 hours. The dried particles are screened with No. 16 screen and thereto are added dry sodium laurylsulfate and dry magnesium stearate, and the mixture is tabletted to form the desired shape.

The core tablets thus prepared are vanished and dusted with talc in order to guard from wetting. Undercoating is applied to the core tablets. In order to administer the tablets orally, the core tablets are vanished several times. In order to give round shape and smooth surface to the tablets, further undercoating and coating with lubricant are applied thereto. The tablets are further coated with a coloring coating material until the desired

colored tablets are obtained. After drying, the coated tablets are polished to obtain the desired tablets having uniform gloss.

#### Preparation 6

An injection preparation is prepared from the following components.

<u>Components</u>	<u>Amount</u>
4-Methyl-1-[4-(2,3-dimethylbenzoyl-amino)benzoyl]-2,3,4,5-tetrahydro-1H-1,4-benzodiazepine	5 g
Polyethylene glycol (molecular weight: 4000)	0.3 g
Sodium chloride	0.9 g
Polyoxyethylene sorbitan monooleate	0.4 g
Sodium metabisulfite	0.1 g
Methyl-paraben	0.18 g
Propyl-paraben	0.02 g
Distilled water for injection	10.0 ml

The above parabens, sodium metabisulfite and sodium chloride are dissolved in distilled water of half volume of the above with stirring at 80°C. The solution thus obtained is cooled to 40°C, and the active compound of this invention and further polyethylene glycol and polyoxyethylene sorbitan monooleate are dissolved in the above solution. To the solution is added distilled water for injection to adjust to the desired volume, and the solution is sterilized by filtering with an appropriate filter paper to give an injection preparation.

#### Pharmacological Test

##### Oxytocin Receptor Binding Assay

Uterin muscle is taken out from a rat which has previously been injected subcutaneously with diethylstilbestrol the day before, and homogenized, which is used as a membrane preparation. The membrane preparation (0.2 mg), [ $^3\text{H}$ ]-oxytocin (100000 dpm,  $10^{-9}\text{M}$ ), a test compound ( $10^{-9} - 10^{-5}\text{M}$ ) are incubated at  $25^\circ\text{C}$  for one hour in 100 mM Tris-HCl buffer (pH=8.0, 250  $\mu\text{l}$ ) containing 5 mM  $\text{MgCl}_2$ , 1 mM MEDTA and 0.1 % BSA. The mixture is filtered through the glass filter (GF/F) so as to separate the membrane preparation combining with [ $^3\text{H}$ ]-oxytocin, and then, washed twice with the buffer (5 ml). This glass filter is put into a vial and mixed with Aquasole (liquid scintillation cocktail). The amount of [ $^3\text{H}$ ]-oxytocin combining with the membrane is measured by liquid scintillation counter. The rate of the inhibitory effect of the test compound is estimated according to the following equation.

$$\text{Inhibitory Rate (\%)} = 100 - [(C_1 - B)/(C_0 - B)] \times 100$$

- $C_1$ : The amount of [ $^3\text{H}$ ]-oxytocin combining with the membrane in the presence of a test compound (known amount).
- $C_0$ : The amount of [ $^3\text{H}$ ]-oxytocin combining with the membrane in the absence of a test compound.
- $B$ : The amount of [ $^3\text{H}$ ]-oxytocin combining with the membrane in the presence of the excess amount of oxytocin ( $5 \times 10^{-6}\text{M}$ ).

The results are expressed as  $\text{IC}_{50}$  values, which is

the concentration of the test compound required to achieve the inhibitory effect in the rate of 50 %.

The results are shown in the following Tables 1 and 2.

Test compounds

The compounds of the formula (1);

- 1-1: 1-{1-[4-(6-Aminohexyloxy)benzoyl]-4-piperidinyl}-3,4-dihydrocarbostyryl
- 1-2: 1-{1-[4-(6-Acetylaminohexyloxy)benzoyl]-4-piperidinyl}-3,4-dihydrocarbostyryl
- 1-3: 1-{1-[4-(6-Methylsulfonylaminoxyloxy)benzoyl]-4-piperidinyl}-3,4-dihydrocarbostyryl
- 1-4: 1-{1-[4-(7-Hydroxy-8-diethylaminoxyloxy)benzoyl]-4-piperidinyl}-3,4-dihydrocarbostyryl
- 1-5: 1-{1-[4-(6-(4-Methyl-1-piperazinyl)hexyloxy)benzoyl]-4-piperidinyl}-3,4-dihydrocarbostyryl
- 1-6: 1-{1-[4-(6-[N-Methyl-N-(2-(2-pyridyl)ethyl)amino]hexyloxy)benzoyl]-4-piperidinyl}-3,4-dihydrocarbostyryl
- 1-7: 1-{1-[4-(6-Dimethylaminohexyloxy)benzoyl]-4-piperidinyl}-3,4-dihydrocarbostyryl
- 1-8: 1-{1-[4-(6-(1-Pyrrolidinyl)hexyloxy)benzoyl]-4-piperidinyl}-3,4-dihydrocarbostyryl
- 1-9: 1-{1-[4-(7-(1-Pyrrolidinyl)oxyloxy)benzoyl]-4-piperidinyl}-3,4-dihydrocarbostyryl
- 1-10: 1-{1-[4-(7-(4-Methyl-1-piperazinyl)oxyloxy)benzoyl]-4-piperidinyl}-3,4-dihydrocarbostyryl
- 1-11: 1-{1-[4-(4-Acetylaminobutyloxy)benzoyl]-4-



- piperidinyl}-3,4-dihydrocarbostyryl
- 1-12: 1-{1-[4-(6-Diethylamino-5-hydroxyhexyloxy)benzoyl]-4-piperidinyl}-3,4-dihydrocarbostyryl
- 1-13: 1-{1-[4-(6-Dimethylamino-5-hydroxyhexyloxy)benzoyl]-4-piperidinyl}-3,4-dihydrocarbostyryl
- 1-14: 1-{1-[4-(4-(4-Benzyl-1-piperazinyl)butoxy)benzoyl]-4-piperidinyl}-3,4-dihydrocarbostyryl
- 1-15: 1-{1-[4-(4-(1-Piperazinyl)butoxy)benzoyl]-4-piperidinyl}-3,4-dihydrocarbostyryl
- 1-16: 1-{1-[4-[4-(1-Piperazinyl)carbonyloxybutoxy]benzoyl]-4-piperidinyl}-3,4-dihydrocarbostyryl
- 1-17: 1-{1-[4-[5-Hydroxy-6-(4-benzyl-1-piperazinyl)hexyloxy]benzoyl]-4-piperidinyl}-3,4-dihydrocarbostyryl
- 1-18: 1-{1-[4-(5-Hydroxy-6-[N-methyl-N-(2-hydroxy-2-phenylethyl)amino]hexyloxy)benzoyl]-4-piperidinyl}-3,4-dihydrocarbostyryl
- 1-19: 1-{1-[4-(6[2(S)-Hydroxymethyl-1-pyrrolidinyl]-5-hydroxy)hexyloxy]benzoyl]-4-piperidinyl}-3,4-dihydrocarbostyryl
- 1-20: 1-{1-[4-(5-Hydroxy-6-(3,4-dimethoxybenzylamino)hexyloxy)benzoyl]-4-piperidinyl}-3,4-dihydrocarbostyryl
- 1-21: 1-{1-[4-(5-Hydroxy-6-(4-benzyl-1-piperidino)hexyloxy)benzoyl]-4-piperidinyl}-3,4-dihydrocarbostyryl
- 1-22: 1-{1-[4-(4-(4-Piperidinylcarbonylamino)butoxy)benzoyl]-4-piperidinyl}-3,4-dihydrocarbostyryl
- 1-23: 1-{1-[4-(5-Methoxy-6-diethylmethyllummoniumhexyloxy)benzoyl]-4-piperidinyl}-3,4-dihydrocarbostyryl

iodide

- 1-24: 1-{1-[4-(Allylaminobutoxy)benzoyl]-4-piperidinyl}-  
3,4-dihydrocarbostyryl
- 1-25: 1-{1-[4-(5-Hydroxy-6-(allylaminohexyloxy)benzoyl]-  
4-piperidinyl}-3,4-dihydrocarbostyryl
- 1-26: 1-{1-[4-[3-(4-Benzoyl-1-piperazinyl)propoxy]-  
benzoyl]-4-piperidinyl}-3,4-dihydrocarbostyryl
- 1-27: 1-{1-[4-[3-(4-Anilinocarbonyl-1-piperazinyl)-  
propoxy]benzoyl]-4-piperidinyl}-3,4-dihydro-  
carbostyryl
- 1-28: 1-{1-[4-(3-Phenylsulfonylaminopropoxy)benzoyl]-4-  
piperidinyl}-3,4-dihydrocarbostyryl
- 1-29: 1-{1-[4-(4-Hydroxy-5-(1-pyrrolidinyl)pentyloxy)-  
benzoyl]-4-piperidinyl}-3,4-dihydrocarbostyryl
- 1-30: 1-{1-[4-(4-Hydroxy-5-(1-piperidinyl)pentyloxy)-  
benzoyl]-4-piperidinyl}-3,4-dihydrocarbostyryl
- 1-31: 1-{1-[4-(4-Hydroxy-5-(4-morpholino)pentyloxy)-  
benzoyl]-4-piperidinyl}-3,4-dihydrocarbostyryl
- 1-32: 1-{1-[4-(3-Diethylaminopropoxy)benzoyl]-4-  
piperidinyl}-3,4-dihydrocarbostyryl
- 1-33: 1-{1-[4-(3-Hydroxy-4-diethylaminobutoxy)benzoyl]-4-  
piperidinyl}-3,4-dihydrocarbostyryl
- 1-34: 1-{1-[4-Anilinooxycarbonyl]-4-piperidinyl}-3,4-  
dihydrocarbostyryl
- 1-35: 1-{1-[4-Benzoylaminophenoxy carbonyl]-piperidinyl}-  
3,4-dihydrocarbostyryl
- 1-36: 1-{1-[4-(5-Hydroxypentyloxy)benzoyl]-4-  
piperidinyl}-3,4-dihydrocarbostyryl

- 1-37: 1-{1-[4-(4-Carbamoylmethylaminocarbonylbutoxy)-benzoyl]-4-piperidinyl}-3,4-dihydrocarbostyryl
- 1-38: 1-{1-[4-(5-Carbamoyloxypentyloxy)benzoyl]-4-piperidinyl}-3,4-dihydrocarbostyryl
- 1-39: 5,7-Difluoro-1-[1-(2-methoxy-4-ethoxybenzoyl)-4-piperidinyl]-3,4-dihydrocarbostyryl
- 1-40: 1-{1-[4-(5-[(1S)-1,3-Dicarbamoyl]propylamino-carbonylpentyloxy)benzoyl]-4-piperidinyl}-3,4-dihydrocarbostyryl
- 1-41: 1-[1-(2-Hydroxy-4-ethoxybenzoyl)-4-piperidinyl]-7-fluoro-3,4-dihydrocarbostyryl
- 1-42: 5-Methyl-1-[1-(2-methoxy-4-ethoxybenzoyl)-4-piperidinyl]-3,4-dihydrocarbostyryl
- 1-43: 1-{1-[4-[5-(1-Imidazolyl)pentyloxy]benzoyl]-4-piperidinyl}-3,4-dihydrocarbostyryl
- 1-44: 5-Methyl-1-{1-[4-(3-acetylaminopropoxy)benzoyl]-4-piperidinyl}-3,4-dihydrocarbostyryl
- 1-45: 1-{1-[4-(2-Propionyloxy)benzoyl]-4-piperidinyl}-3,4-dihydrocarbostyryl
- 1-46: 1-{1-[4-(4-Carboxybutoxy)benzoyl]-4-piperidinyl}-3,4-dihydrocarbostyryl
- 1-47: 1-{1-[4-(5-Carbamoylpentyloxy)benzoyl]-4-piperidinyl}-3,4-dihydrocarbostyryl
- 1-48: 1-{1-[4-(3-Carbamoylpropylamino)benzoyl]-4-piperidinyl}-3,4-dihydrocarbostyryl
- 1-49: 1-{1-[4-(5-Hydroxypentyloxy)benzoyl]-4-piperidinyl}-3,4-dihydrocarbostyryl
- 1-50: 1-{1-[4-(5-Acetylaminopentyloxy)benzoyl]-4-

- piperidinyl)-3,4-dihydrocarbostyryl
- 1-51: 1-[1-(4-Methoxyanilinocarbonyl)-4-piperidinyl]-3,4-dihydrocarbostyryl
- 1-52: 1-[1-(4-Ethoxybenzoyl)-4-piperidinyl]carbostyryl
- 1-53: 6,7-Difluoro-1-[1-(2-methoxy-4-ethoxybenzoyl)-4-piperidinyl]-3,4-dihydrocarbostyryl
- 1-54: 1-[1-{4-[4-(1-Pyrrolyl)butoxy]benzoyl}-4-piperidinyl]-3,4-dihydrocarbostyryl
- 1-55: 1-[1-{4-[3-(4-Methyl-1-piperazinyl)propoxy]benzoyl}-4-piperidinyl]-3,4-dihydrocarbostyryl dioxalate
- 1-56: 1-{1-[4-{5-[(1S)-1-Carbamoyl-2-(4-hydroxyphenyl)]-ethylaminocarbonylpentyloxy}benzoyl]-4-piperidinyl}-3,4-dihydrocarbostyryl
- 1-57: 7-Fluoro-1-(1-benzoyl-4-piperidinyl)carbostyryl
- 1-58: 7-Fluoro-1-[1-(2,4-dimethoxybenzoyl)-4-piperidinyl]carbostyryl
- 1-59: 1-{1-[4-{5-[(1S)-1-Carbamoyl-3-(methylthio)]propylaminocarbonylpentyloxy}benzoyl]-4-piperidinyl}-3,4-dihydrocarbostyryl
- 1-60: 1-{1-[4-(5-(2-Hydroxy-3-diethylaminopropoxy)-pentyloxy)benzoyl]-4-piperidinyl}-3,4-dihydrocarbostyryl
- 1-61: 1-{1-[4-(5-(3-Dimethylaminopropyl)aminocarbonylpentyloxy)benzoyl]-4-piperidinyl}-3,4-dihydrocarbostyryl
- 1-62: 1-{1-[4-(5-(1-Benzyl-4-piperidinyl)aminocarbonylpentyloxy)benzoyl]-4-piperidinyl}-3,4-

- dihydrocarbostyryl
- 1-63: 1-{1-[4-(5-Hydroxy-6-(1-pyrrolidinyl)hexyloxy)-benzoyl]-4-piperidinyl}-3,4-dihydrocarbostyryl
- 1-64: 1-{1-[4-(5-(4-Piperidinyl)aminocarbonylpentyloxy)-benzoyl]-4-piperidinyl}-3,4-dihydrocarbostyryl
- 1-65: 1-{1-[4-(5-(1-Pyrrolidinyl)pentyloxy)benzoyl]-4-piperidinyl}-3,4-dihydrocarbostyryl
- 1-66: 1-{1-[4-(5,6-Dihydroxyhexyloxy)benzoyl]-4-piperidinyl}-3,4-dihydrocarbostyryl
- 1-67: 1-{1-(4-[5-Hydroxy-6-(3-methoxybenzylamino)hexyloxy]benzoyl)-4-piperidinyl}-3,4-dihydrocarbostyryl
- 1-68: 1-{1-(4-[3-((1S)-1-Aminoethylcarbonylamino)propoxy]benzoyl)-4-piperidinyl}-3,4-dihydrocarbostyryl
- 1-69: 5,7-Dimethyl-1-{1-(2-methoxy-4-ethoxybenzoyl)-4-piperidinyl}-3,4-dihydrocarbostyryl
- 1-70: 1-{1-(4-[5-(2-Imidazolylsulfonyl)pentyloxy]benzoyl)-4-piperidinyl}-3,4-dihydrocarbostyryl
- 1-71: 1-{1-(4-[5-Hydroxy-6-[N-methyl-N-(2-(2-pyridyl)ethyl)amino]hexyloxy]benzoyl)-4-piperidinyl}-3,4-dihydrocarbostyryl
- 1-72: 1-{1-(4-[5-(2-(4-Methyl-1-piperazinyl)acetylamino)pentyloxy]benzoyl)-4-piperidinyl}-3,4-dihydrocarbostyryl
- 1-73: 1-{1-(4-[5-(1-Oxo-4-pyridylsulfonyl)pentyloxy]benzoyl)-4-piperidinyl}-3,4-dihydrocarbostyryl
- 1-74: 1-{1-(4-[5-(3-Hydroxy-1-piperidino)pentyloxy]benzoyl)-4-piperidinyl}-3,4-dihydrocarbostyryl

- 1-75: 1-{1-(4-[5-(4-Dimethylaminophenylsulfonyl)pentyl-oxy]benzoyl)-4-piperidinyl}-3,4-dihydrocarbostyryl
- 1-76: 1-{1-[4-(5-(4-Dimethylaminobenzoylamino)pentyl-oxy)benzoyl]-4-piperidinyl}-3,4-dihydrocarbostyryl
- 1-77: 1-{1-(4-[5-(2-(2-Hydroxyethyl)-1-piperazinyl)-pentyloxy]benzoyl)-4-piperidinyl}-3,4-dihydrocarbostyryl
- 1-78: 1-{1-(4-[5-(N,N-(2-Hydroxyethyl)amino)pentyloxy]-benzoyl)-4-piperidinyl}-3,4-dihydrocarbostyryl
- 1-79: 1-{1-[4-(5-Hydroxy-6-(1-piperidinyl)hexyloxy)-benzoyl]-4-piperidinyl}-3,4-dihydrocarbostyryl
- 1-80: 5-Hydroxy-1-{1-[4-(3-acetylaminopropoxy)benzoyl]-4-piperidinyl}-3,4-dihydrocarbostyryl
- 1-81: 1-{1-[2-Methoxy-4-(4-carbamoylbutoxy)benzoyl]-4-piperidinyl}-3,4-dihydrocarbostyryl
- 1-82: 1-{1-[4-(5-(2-Methyl-1-piperidinyl)pentyloxy)-benzoyl]-4-piperidinyl}-3,4-dihydrocarbostyryl
- 1-83: 5-Acetoxy-1-[1-(2-methoxy-4-ethoxybenzoyl)-4-piperidinyl]-3,4-dihydrocarbostyryl
- 1-84: 1-{1-[4-[(5-Isopropylamino)pentyloxy]benzoyl]-4-piperidinyl}-3,4-dihydrocarbostyryl
- 1-85: 1-{1-(4-[2,4-Di-(5-(1-pyrrolidinyl)pentyloxy)]-benzoyl)-4-piperidinyl}-3,4-dihydrocarbostyryl
- 1-86: 1-{1-[4-(5-Dimethylaminopentyloxy)benzoyl]-4-piperidinyl}-3,4-dihydrocarbostyryl
- 1-87: 1-{1-[2-Methoxy-4-(4-thiomorpholinocarbonylbutyloxy)benzoyl]-4-piperidinyl}-3,4-dihydrocarbostyryl
- 1-88: 1-{1-(2-Methoxy-4-[4-(1-oxo-4-thiomorpholino)-

- carbonylbutyloxybenzoyl]-4-piperidinyl}-3,4-dihydrocarbostyryl
- 1-89: 1-{1-(2-Methoxy-4-[1,1-dioxo-4-thiomorpholino)-carbonylbutyloxybenzoyl]-4-piperidinyl}-3,4-dihydrocarbostyryl
- 1-90: 1-{1-[4-(5-tert-Butylaminopentyloxy)benzoyl]-4-piperidinyl}-3,4-dihydrocarbostyryl
- 1-91: 1-{1-[4-(5-(2-Propynylamino)pentyloxy)benzoyl]-4-piperidinyl}-3,4-dihydrocarbostyryl
- 1-92: 1-{1-[4-(6-Hydroxy-7-diethylamino)heptyloxy)-benzoyl]-4-piperidinyl}-3,4-dihydrocarbostyryl
- 1-93: 1-{1-[4-(5-Cyclopropylaminopentyloxy)benzoyl]-4-piperidinyl}-3,4-dihydrocarbostyryl
- 1-94: 1-{1-[4-(5-Tricyclo[3.3.1.1]decanylmethylaminopentyloxy)benzoyl]-4-piperidinyl}-3,4-dihydrocarbostyryl
- 1-95: 1-{1-[5-[3-(3-Azaspiro(5,5)undecanyl)]-(5-phenylspiro-4-(1-piperidinyl)pentyloxy)benzoyl]-4-piperidinyl}-3,4-dihydrocarbostyryl
- 1-96: 1-{1-[4-(5-Butylaminopentyloxy)benzoyl]-4-piperidinyl}-3,4-dihydrocarbostyryl
- 1-97: 1-{1-[4-(5-Cyclohexylaminopentyloxy)benzoyl]-4-piperidinyl}-3,4-dihydrocarbostyryl
- 1-98: 1-{1-[4-(5-Tricyclo[3.3.1.1]decanylaminopentyl-oxy)benzoyl]-4-piperidinyl}-3,4-dihydrocarbostyryl
- 1-99 1-{1-[4-(3-Acetylaminopropoxy)benzoyl]-4-piperidinyl}-3,4-dihydrocarbostyryl

The compounds of the formula (2);

- 2-1: 3-Methyl-1-[4-(2-methylbenzoylamino)benzoyl]-  
1,2,3,4-tetrahydroquinoline
- 2-2: 5-Methyl-1-[4-(2-methylbenzoylamino)benzoyl]-  
2,3,4,5-tetrahydro-1H-benzazepine
- 2-3: 5-Hydroxymethyl-7-chloro-1-[4-(2-methylbenzoyl-  
amino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-4: 4-Hydroxy-1-[4-(2-methylbenzoylamino)benzoyl]-  
1,2,3,4-tetrahydroquinoline
- 2-5: 4-Hydroxy-1-[4-(2-methylbenzoylamino)benzoyl]-  
2,3,4,5-tetrahydro-1H-benzazepine
- 2-6: 5-Hydroxy-1-[2-methoxy-4-(2-methylbenzoylamino)-  
benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-7: 5-Hydroxy-6-methyl-1-[2-chloro-4-(2-methylbenzoyl-  
amino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-8: 4-Methyl-5-hydroxy-1-[4-(2-methylbenzoylamino)-  
benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-9: 5-Hydroxy-7-chloro-1-[2-methoxy-4-(2-methylbenzoyl-  
amino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-10: 5-Hydroxy-7-chloro-1-[2-methyl-4-(2-methylbenzoyl-  
amino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-11: 5-Hydroxy-7-chloro-1-[3-methoxy-4-(2-methylbenzoyl-  
amino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-12: 5-Hydroxy-7-chloro-1-[4-[2-(2-methylphenyl)acetyl-  
amino]benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-13: 5-Hydroxy-7-chloro-1-[3-methoxy-4-(2-chlorobenzoyl-  
amino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-14: 5-Hydroxy-1-[2-chloro-4-(2,4-dichlorobenzoylamino)-



- benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-15: 5-Oxo-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-16: 4-Oxo-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-17: 5-Oxo-1-[2-methoxy-4-(2-methylbenzoylamino)-benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-18: 5-Oxo-1-[2-methyl-4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-19: 5-Hydroxy-6-methyl-1-[2-chloro-4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-20: 5-Acetyloxy-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-21: 4-Dimethylaminomethyl-1-[4-(2-methylbenzoylamino)benzoyl]-1,2,3,4-tetrahydroquinoline
- 2-22: 5-Aminomethyl-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-23: 5-Formylaminomethyl-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-24: 5-Acetyloxymethyl-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-25: 5-Methylsulfonyloxymethyl-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-26: 1-[2-Chloro-4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine-5-spiro-2'-oxirane
- 2-27: 5-Methylaminocarbonyloxy-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine

- 2-28: 5-Carbamoyloxy-1-[4-(2-methylbenzoylamino)benzoyl]-  
2,3,4,5-tetrahydro-1H-benzazepine
- 2-29: 5-Dimethylaminocarbonyloxy-1-[4-(2-methylbenzoyl-  
amino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-30: 5-Carboxymethoxy-1-[4-(2-methylbenzoylamino)-  
benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-31: 5-(4-Dimethylaminobutoxy)-1-[4-(2-methylbenzoyl-  
amino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-32: 5-(3-Aminopropoxy)-1-[4-(2-methylbenzoylamino)-  
benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-33: 5-(2-Dimethylaminoethoxy)-7-fluoro-1-[3-methoxy-4-  
(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-  
1H-benzazepine hydrochloride
- 2-34: 5-(3-Phthalimidopropoxy)-1-[4-(2-methylbenzoyl-  
amino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-35: 5-Hydroxyimino-1-[4-(2-methylbenzoylamino)benzoyl]-  
2,3,4,5-tetrahydro-1H-benzazepine
- 2-36: 5-Acetyloxyimino-1-[4-(2-methylbenzoylamino)-  
benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-37: 5-Methylidene-1-[2-chloro-4-(2-methylbenzoylamino)-  
benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-38: 5-Methylidene-1-[4-(2-methylbenzoylamino)benzoyl]-  
2,3,4,5-tetrahydro-1H-benzazepine
- 2-39: Potassium {1-[2-chloro-4-(2-methylbenzoylamino)-  
benzoyl]-2,3,4,5-tetrahydro-1H-benzazepin-5-yl}-  
imino-O-sulfonate
- 2-40: 5-(2-Dimethylaminoacetyloxy)-1-[4-(2-methylbenzoyl-  
amino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine

- 2-41: 5-(2-Dimethylaminoacetyloxy)-7-fluoro-1-[2-methoxy-4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-42: 5-(2-Dimethylaminoacetyloxy)-7-chloro-1-[4-(2-chlorobenzoylamino)-2-methoxybenzoyl]-2,3,4,5-tetrahydro-1H-benzazepine hydrochloride
- 2-43: 5-(2-Dimethylaminoacetyloxy)-7-chloro-1-[3-methoxy-4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine hydrochloride
- 2-44: 5-(2-Dimethylaminoacetyloxy)-7-chloro-1-[3-chloro-4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-45: 5-(2-Dimethylaminoacetyloxy)-7-chloro-1-[2-methoxy-4-[(2-methylphenyl)acetylaminol]benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine hydrochloride
- 2-46: 5-(4-Dimethylaminobutyryloxy)-7-chloro-1-[2-methoxy-4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine hydrochloride
- 2-47: 5-(2-Dimethylaminoacetyloxy)-7-fluoro-1-[2-chloro-4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-48: 5-(2-Dimethylaminoacetyloxy)-7-chloro-1-[2-methyl-4-(2-chlorobenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine hydrochloride
- 2-49: 5-(2-Aminoacetyloxy)-7-chloro-1-[3-methoxy-4-(2-chlorobenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine hydrochloride
- 2-50: 5-(2-Aminoacetyloxy)-7-chloro-1-[3-chloro-4-(2-

- methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine hydrochloride
- 2-51: 5-(2-Aminoacetyloxy)-7-fluoro-1-[2-chloro-4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine hydrochloride
- 2-52: 5-(2-Aminoacetyloxy)-7-chloro-1-[2-methyl-4-(2-chlorobenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine hydrochloride
- 2-53: 5-Carbamoylmethoxy-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-54: 5-Dimethylaminocarbonylmethoxy-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-55: 5-Carbamoylmethylaminocarbonylmethoxy-7-chloro-1-[4-(2-chlorobenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-56: 5-(4-Methyl-1-piperazinylcarbonylmethoxy)-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-57: 5-Amino-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-58: 3-Dimethylamino-1-[4-(3,5-dichlorobenzoylamino)benzoyl]-1,2,3,4-tetrahydroquinoline
- 2-59: 4-Methylamino-1-[4-(2-methylbenzoylamino)benzoyl]-1,2,3,4-tetrahydroquinoline
- 2-60: 4-Dimethylamino-1-[3-methoxy-4-(2-methylbenzoylamino)benzoyl]-1,2,3,4-tetrahydroquinoline
- 2-61: 3-Dimethylamino-1-[3-methoxy-4-(2-methylbenzoyl-

- amino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-62: 5-(N-Methyl-N-ethylamino)-1-[4-(2-methylbenzoyl-amino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-63: 5-Dimethylamino-1-[4-(2-methylbenzoylamino)-benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-64: 5-Dimethylamino-1-[2-chloro-4-(2-methylbenzoyl-amino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-65: 5-Dimethylamino-1-[3-ethoxy-4-(2-methylbenzoyl-amino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-66: 5-Dimethylamino-1-[3-hydroxy-4-(2-methylbenzoyl-amino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-67: 5-Dimethylamino-1-[3-benzyloxy-4-(2-methylbenzoyl-amino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-68: 5-Dimethylamino-1-[2-ethoxy-4-(2-methylbenzoyl-amino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-69: 5-Dimethylamino-1-[3-methyl-4-(2-methylbenzoyl-amino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-70: 5-Dimethylamino-1-[2-fluoro-4-(2-methylbenzoyl-amino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-71: 5-Dimethylamino-1-[3-fluoro-4-(2-methylbenzoyl-amino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-72: 5-Dimethylamino-1-[3-methoxy-4-(2,3-dimethylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-73: 5-Dimethylamino-1-[4-(2-methylanilinocarbonyl)-benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-74: 5-Dimethylamino-1-[3-hydroxy-4-(2-chlorobenzoyl-amino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine

- 2-75: 3-Hydroxy-4-dimethylamino-1-[4-(2-methylbenzoyl-amino)benzoyl]-1,2,3,4-tetrahydroquinoline
- 2-76: 4-Methyl-5-dimethylamino-1-[4-(2-methylbenzoyl-amino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-77: 5-Methylamino-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-78: 5-Methylamino-1-[2-methyl-4-(2-methylbenzoylamino)-benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-79: 5-Methylamino-1-[2-chloro-4-(2-methylbenzoylamino)-benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-80: 5-Propylamino-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-81: 5-Ethylamino-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-82: 5-Allylamino-1-[2-chloro-4-(2-methylbenzoylamino)-benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-83: 5-Acetylamino-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-84: 5-(N-Acetyl-N-methylamino)-1-[4-(2-methylbenzoyl-amino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-85: 5-Cyclopropylamino-1-[2-chloro-4-(2-methylbenzoyl-amino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-86: 5-(2-Dimethylaminoethylamino)-1-[2-chloro-4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-87: 5-(3-Dimethyl-2-hydroxypropylamino)-1-[4-(2-chloro-benzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine

- 2-88: 5-(2,3-Dihydroxypropylamino)-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-89: 5-[N-(3-Hydroxypropyl)-N-methylamino]-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-90: 5-Benzoylamino-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-91: 5-(N-Cyanomethyl-N-methylamino)-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-92: 5-(N-Carbamoylmethyl-N-methylamino)-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-93: 5-[N-(3-[1-Tetrahydropyranyloxy]propyl)-N-methylamino]-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-94: 5-[N-(3-Acetyloxypropyl)-N-methylamino]-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-95: 5-(1-Benzyl-4-piperidinyl)amino-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-96: 3-(4-Methyl-1-piperazinyl)-1-[4-(2,3-dimethylbenzoylamino)benzoyl]-1,2,3,4-tetrahydroquinoline
- 2-97: 3-(1-Pyrrolidinyl)-1-[4-(2,3-dimethylbenzoylamino)benzoyl]-1,2,3,4-tetrahydroquinoline
- 2-98: 5-Hydroxy-5-hydroxymethyl-1-[2-chloro-4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-

benzazepine

- 2-99: 5-Hydroxy-5-hydroxymethyl-1-[4-(2-methylbenzoyl-amino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-100: 5-Hydroxymethyl-5-N-methylamino-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-101: 5-Hydroxy-5-(N-methylamino)methyl-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-102: 5-Hydroxy-5-methyl-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-103: 5-(N-Ethoxycarbonylmethyl-N-methylamino)-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-104: 1-[4-(2-methylbenzoylamino)benzoyl]-1,2,3,5-tetrahydro-4,1-benzoxazepine
- 2-105: 1-[4-(2-Methylbenzoylamino)benzoyl]-1,2,3,4-tetrahydro-5,1-benzoxazepine
- 2-106: 4-Methyl-1-[4-(2-methylbenzoylamino)benzoyl]-1,2,3,4-tetrahydroquinoxaline
- 2-107: 4-Methyl-1-[4-(3,5-dichlorobenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-1,4-benzodiazepine
- 2-108: 5-Methyl-1-(4-benzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-1,5-benzodiazepine
- 2-109: 5-Isopropyl-1-[4-(2,3-dimethylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-1,4-benzodiazepine
- 2-110: 1-[4-(2-methylbenzoylamino)benzoyl]-1,2-dihydroquinoline



- 2-111: 5-[2-(4-Morpholino)acetyloxy]-7-chloro-1-[2-methoxy-4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine hydrochloride
- 2-112: 5-(2-Isopropylaminoacetyloxy)-7-chloro-1-[2-methoxy-4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine hydrochloride
- 2-113: 5-(1,5-Diaminopentylcarbonyloxy)-7-chloro-1-[2-methoxy-4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine hydrochloride
- 2-114: 5-(3-Aminopropionyloxy)-7-chloro-1-[2-methoxy-4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine hydrochloride
- 2-115: 5-(4-Dimethylaminobutyryloxy)-7-chloro-1-[3-methoxy-4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine hydrochloride
- 2-116: 5-(1,5-Diaminopentylcarbonyloxy)-7-chloro-1-[3-methoxy-4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine hydrochloride
- 2-117: 5-(3-Aminopropionyloxy)-7-fluoro-1-[2-chloro-4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine hydrochloride
- 2-118: 5-Formyloxy-7-chloro-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-119: 5-Dimethylaminocarbonylmethyl-7-chloro-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-120: 1-[4-[3-Methylphenylsulfonylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine

- 2-121: 1-[4-(2-Methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-122: 1-[4-(4-Methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-123: 1-[4-(2,3-Dimethylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-124: 1-[4-(2-Chlorobenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-125: 1-[4-(2-Bromobenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-126: 1-[4-(2-Methoxybenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-127: 1-(4-Cyclohexylcarbonylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-128: 1-[4-(2-Carbamoylmethoxybenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-129: 1-[4-{2-(6-Isopropylamino)hexyloxy}benzoylamino]benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-130: 1-[4-(2-(N-Phenyl-N-ethynylamino)acetylaminobenzoyl)-2,3,4,5-tetrahydro-1H-benzazepine
- 2-131: 1-[4-(2-(N-Phenyl-N-methylamino)acetylaminobenzoyl)-2,3,4,5-tetrahydro-1H-benzazepine
- 2-132: 1-[(2-(4-Phenylamino)acetylaminobenzoyl)-2,3,4,5-tetrahydro-1H-benzazepine
- 2-133: 1-[(4-(2-[N-Phenyl-N-(2-acetyloxy)ethoxy]amino)acetylaminobenzoyl)-2,3,4,5-tetrahydro-1H-benzazepine
- 2-134: 1-[(4-(2-(2-Acetylaminophenoxy)acetylaminobenzoyl)-2,3,4,5-tetrahydro-1H-benzazepine

- benzoyl}-2,3,4,5-tetrahydro-1H-benzazepine
- 2-135: 1-[4-(3-Fluorobenzoylamino)benzoyl]-1,2,3,4-tetrahydroquinoline
- 2-136: 1-[4-Tricyclo[3.3.1.1]decanylcarbonylaminobenzoyl]-1,2,3,4-tetrahydroquinoline
- 2-137: 1-(4-Benzylcarbonylaminobenzoyl)-1,2,3,4-tetrahydroquinoline
- 2-138: 1-[4-(2-Furoylamino)benzoyl]-1,2,3,4-tetrahydroquinoline
- 2-139: 1-[4-(3-Thenoylamino)benzoyl]-1,2,3,4-tetrahydroquinoline
- 2-140: 1-[4-(3-Ethoxybenzoylamino)benzoyl]-1,2,3,4-tetrahydroquinoline
- 2-141: 7-Chloro-1-[4-(3-hydroxybenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-142: 7-Chloro-1-[4-(3-acetyloxybenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-143: 7-Chloro-1-[4-(2-carbamoylmethoxybenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-144: 7-Chloro-1-{3-[2-(2-dimethylaminoethoxy)benzoylamino]benzoyl}-2,3,4,5-tetrahydro-1H-benzazepine hydrochloride
- 2-145: 7-Chloro-1-{4-[2-[4-isopropylaminobutoxy)benzoylamino]benzoyl}-2,3,4,5-tetrahydro-1H-benzazepine
- 2-146: 1-[4-(2-Methylbenzoylamino)benzoyl]-1,2,3,4,5,6-hexahydrobenzazocine
- 2-147: 1-[4-(2-Methoxybenzoylamino)benzoyl]-1,2,3,4,5,6-hexahydrobenzoazocine

- 2-148: 6-Methyl-1-[4-(3,4-dichlorobenzoylamino)benzoyl]-  
1,2,3,4-tetrahydroquinoline
- 2-149: 1-[4-(3-Methylbenzoylamino)benzoyl]perhydro-  
quinoline
- 2-150: 1-[4-(2-Methylbenzoylamino)benzoyl]-1,2,3,4-  
tetrahydroquinoline
- 2-151: 1-[4-(4-Methoxycarbonylbenzoylamino)benzoyl]-  
1,2,3,4-tetrahydroquinoline
- 2-152: 1-[4-(2-Nitrobenzoylamino)benzoyl]-1,2,3,4-  
tetrahydroquinoline
- 2-153: 1-(4-Isobutyrylamino)benzoyl-1,2,3,4-tetrahydro-  
quinoline
- 2-154: 1-[4-(2,3-Dimethylbenzoylamino)benzoyl]-2,3,4,5-  
tetrahydro-1H-benzazepine
- 2-155: 4-Methyl-1-[4-cyclohexylcarbonylamino)benzoyl]-  
2,3,4,5-tetrahydro-1H-benzazepine
- 2-156: 3-Methyl-1-[4-(2-methylbenzoylamino)benzoyl]-  
1,2,3,4-tetrahydroquinoline
- 2-157: 2-Methyl-1-(4-benzoylamino)benzoyl-1,2,3,4-  
tetrahydroquinoline
- 2-158: 1-{4-[4-(6-(1-Piperidyl)hexyloxy)benzoylamino]-  
benzoyl}-2,3,4,5-tetrahydro-1H-benzazepine
- 2-159: 1-[4-(2-Chloro-4-aminobenzoylamino)benzoyl]-  
2,3,4,5-tetrahydro-1H-benzazepine
- 2-160: 3-Dimethylaminocarbonyl-1-[4-(3,5-dichlorobenzoyl-  
amino)benzoyl]-1,2,3,4-tetrahydroquinoline
- 2-161: 1-{4-[2-(4-Methoxyanilino)acetylamino]benzoyl}-  
2,3,4,5-tetrahydro-1H-benzazepine

- 2-162: 1-{4-[2-(2-(4-Morpholino)ethoxy)benzoylamino]-benzoyl}-2,3,4,5-tetrahydro-1H-benzazepine
- 2-163: 1-{4-[2-(4-Hydroxybutoxy)benzoylamino]benzoyl}-2,3,4,5-tetrahydro-1H-benzazepine
- 2-164: 1-{4-(2-Diethylaminocarbonylmethoxybenzoylamino)-benzoyl}-2,3,4,5-tetrahydro-1H-benzazepine
- 2-165: 1-{4-(2-{N-(1-(2-Propyl)), N-(phenyl)amino}acetyl-amino)benzoyl}-2,3,4,5-tetrahydro-1H-benzazepine
- 2-166: 4-Isopropyl-1-{4-(2,3-dimethylbenzoylamino)-benzoyl}-2,3,4,5-tetrahydro-1H-1,4-benzodiazepine
- 2-167: 1-{4-[4-(2-Diethylaminoacetyl-1-piperidinyl)-carbonylamino]benzoyl}-2,3,4,5-tetrahydro-1H-benzazepine hydrochloride
- 2-168: 5-Methoxy-1-{4-(2-methylbenzoylamino)benzoyl}-2,3,4,5-tetrahydro-1H-benzazepine
- 2-169: 5-Ethoxycarbonylmethoxy-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-170: 5-Hydroxymethyl-1-[4-(2-methylbenzoylamino)-benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-171: 1-[4-(2-Methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine-5-spiro-2'-oxirane
- 2-172: 5-[N-Methyl,N-(2-hydroxy-3-diethylaminopropyl)]-amino-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-173: 5-[N-ethyl,N-n-propyl)amino-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-174: 5-(4-Morpholinocarbonylmethoxy)-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-

benzazepine

- 2-175: 5-(4-Thiomorpholinocarbonylmethoxy)-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-176: 5-(Anilinocarbonylamino)-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-177: 5-Isobutylamino-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-178: 5-Formylamino-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-179: 5-(1-Oxothiomorpholinocarbonylmethoxy)-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-180: 5-Methylaminocarbonylamino-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-181: 5-(1-Pyrrol)-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-182: 5-[(2S)-2-Carbamoyl-(1-pyrrolidinyl)]carbonylmethoxy-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-183: 5-Carbamoylmethylaminocarbonylmethoxy-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-184: 5-[(1,1-Dioxothiomorpholino)carbonylmethoxy]-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-185: 5-[(4-Acetyl-1-piperazinyl)carbonylmethoxy]-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-

1H-benzazepine

- 2-186: 7-Chloro-5-dimethylamino-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-187: 5-Ethoxycarbonylamino-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-188: 5-(2-Methylamino)acetylamino-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-189: 5-[N-Methyl,N-(2-hydroxyethyl)aminocarbonylmethoxy]-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-190: 5-Dimethylamino-1-[4-(2-methylbenzoyloxy)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-191: 2-[N-Methyl-N-{1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepin-5-yl}amino]acetic acid potassium salt
- 2-192: 5-(2-[N-Methyl,N-(1-imidazol)]acetylamino)-1-[4-(2-methylaminobenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-193: 5-Dimethylamino-1-[4-(2-dimethylaminobenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-194: 5-(2-Aminoacetylamino)-1-[4-(2-methylaminobenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-195: 5-[2-(1-Imidazol)acetylamino]-1-[4-(2-methylaminobenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-196: 8-Chloro-5-dimethylamino-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-197: 8-Chloro-5-methylamino-1-[4-(2-methylbenzoylamino)-

- benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-198: 5-(2-tert-Butoxycarbonylamino)acetylamino)-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-199: 6-Chloro-5-hydroxy-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-200: 6-Chloro-5-dimethylamino-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-201: 7-Chloro-5-cyclopropylamino-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-202: 9-Chloro-5-methylamino-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-203: 9-Chloro-5-dimethylamino-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-204: 5-Dimethylamino-1-[4-(2-methylbenzylcarbonylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-205: 6-Methylamino-1-[4-(2-methylbenzoylamino)benzoyl]-1,2,3,4,5,6-hexahydrobenzazocine
- 2-206: 7-Chloro-5-methylamino-1-[3-methoxy-4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-207: 7-Chloro-5-dimethylamino-1-[3-methoxy-4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-208: 7-Chloro-5-cyclopropylamino-1-[3-methoxy-4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-209: 7-Methoxy-5-oxo-1-[4-(2-methylbenzoylamino)-



- benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-210: 7-Methyl-5-methylamino-1-[4-(2-methylbenzoylamino)-  
benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-211: 7-Methyl-5-cyclopropylamino-1-[4-(2-methylbenzoyl-  
amino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-212: 7-Methyl-5-dimethylamino-1-[4-(2-methylbenzoyl-  
amino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-213: 7-Methoxy-5-oxo-1-[3-methoxy-4-(2-methylbenzoyl-  
amino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-214: 7-Methoxy-5-methylamino-1-[2-chloro-4-(2-methyl-  
benzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-  
benzazepine
- 2-215: 7-Bromo-5-methylamino-1-[4-(2-methylbenzoylamino)-  
benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-216: 7-Chloro-5-methylamino-1-[2-chloro-4-(2-methyl-  
benzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-  
benzazepine
- 2-217: 7-Chloro-5-cyclopropylamino-1-[2-chloro-4-(2-  
methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-  
benzazepine
- 2-218: 7-Chloro-5-dimethylamino-1-[2-chloro-4-(2-methyl-  
benzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-  
benzazepine
- 2-219: 7-Methyl-5-(N-methyl,N-ethyl)amino-1-[4-(2-methyl-  
benzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-  
benzazepine
- 2-220: 7-Bromo-5-dimethylamino-1-[4-(2-methylbenzoyl-  
amino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine

- 2-221: 7-Methoxy-5-methylamino-1-[4-(2-methylbenzoyl-amino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-222: 7-Methoxy-5-methylamino-1-[3-methoxy-4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-223: 7-Methoxy-5-dimethylamino-1-[2-chloro-4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-224: 7-Methyl-5-methylamino-1-[2-chloro-4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-225: 7-Methoxy-5-dimethylamino-1-[4-(2-methylbenzoyl-amino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-226: 7-Methyl-5-cyclopropylamino-1-[2-chloro-4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-227: 7-Chloro-5-allylamino-1-[2-chloro-4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-228: 7-Chloro-5-dimethylamino-1-[2-methyl-4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-229: 6-Methylamino-1-[2-chloro-4-(2-methylbenzoylamino)benzoyl]-1,2,3,4,5,6-hexahydrobenzazocine
- 2-230: 7-Methoxy-5-dimethylamino-1-[3-methoxy-4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-231: 7-Methoxy-5-cyclopropylamino-1-[2-chloro-4-(2-

- methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-232: 7-Chloro-5-ethylamino-1-[2-chloro-4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-233: 7-Methoxy-5-cyclopropyl-1-[3-methoxy-4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-234: 7-Dimethylamino-5-oxo-1-[2-chloro-4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-235: 8-Chloro-6-methylamino-1-[2-chloro-4-(2-methylbenzoylamino)benzoyl]-1,2,3,4,5,6-hexahydrobenzazocine
- 2-236: 7-Methoxy-5-cyclopropylamino-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-237: 7-Methoxy-5-hydroxy-1-[2-chloro-4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-238: 6-Chloro-4-methylamino-1-[4-(2-methylbenzoylamino)benzoyl]-1,2,3,4-tetrahydroquinoline
- 2-239: 7-Dimethylamino-5-methylamino-1-[2-chloro-4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-240: 7-Methyl-5-dimethylamino-1-[2-chloro-4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-241: 7-Dimethylamino-5-hydroxy-1-[2-chloro-4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-

benzazepine

- 2-242: 6-Chloro-4-methylamino-1-[2-chloro-4-(2-methyl-benzoylamino)benzoyl]-1,2,3,4-tetrahydroquinoline
- 2-243: 7-Chloro-5-methylamino-1-[2-methoxy-4-(2-methyl-benzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-244: 7-Chloro-5-dimethylamino-1-[2-methoxy-4-(2-methyl-benzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-245: (+)-5-Dimethylamino-1-[4-(2-methylbenzoylamino)-benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine hydrochloride
- 2-246: (-)-5-Dimethylamino-1-[4-(2-methylbenzoylamino)-benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine hydrochloride
- 2-247: 7-Chloro-5-cyclopropylamino-1-[2-methyl-4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-248: 7-Methyl-5-methylamino-1-[2-chloro-4-(2-methyl-benzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-249: 8-Methoxy-6-methylamino-1-[2-chloro-4-(2-methyl-benzoylamino)benzoyl]-1,2,3,4,5,6-hexahydro-benzazocine
- 2-250: 7-Methoxy-5-methylamino-1-[4-(2-methylbenzoyl-amino)benzoyl]-1,2,3,4-tetrahydroquinoline
- 2-251: 6-Methoxy-4-methylamino-1-[2-chloro-4-(2-methyl-benzoylamino)benzoyl]-1,2,3,4-tetrahydroquinoline

- 2-252: 7-Fluoro-5-cyclopropylamino-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-253: 7-Fluoro-5-cyclopropylamino-1-[2-chloro-4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-254: 7-Fluoro-5-cyclopropyl-1-[3-methoxy-4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-255: 6-Methoxy-4-dimethylamino-1-[4-(2-methylbenzoylamino)benzoyl]-1,2,3,4-tetrahydroquinoline
- 2-256: 7-Methoxy-4-dimethylamino-1-[2-chloro-4-(2-methylbenzoylamino)benzoyl]-1,2,3,4-tetrahydroquinoline
- 2-257: 7-Methyl-5-methylamino-1-[3-methoxy-4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-258: 7-Methyl-5-dimethylamino-1-[3-methoxy-4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-259: 6-Chloro-4-methylamino-1-[2-methyl-4-(2-methylbenzoylamino)benzoyl]-1,2,3,4-tetrahydroquinoline
- 2-260: 7-Chloro-5-dimethylamino-1-[2-methyl-4-(2-methylbenzoylamino)benzoyl]-1,2,3,4-tetrahydroquinoline
- 2-261: 7-Fluoro-5-methylamino-1-[3-methoxy-4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-262: 7-Fluoro-5-dimethylamino-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-263: 7-Fluoro-5-dimethylamino-1-[2-chloro-4-(2-methyl-

- benzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-264: 7-Chloro-5-allylamino-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-265: 7-Chloro-5-(N-methyl,N-allyl)amino-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-266: 7-Fluoro-5-dimethylamino-1-[3-methoxy-4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-267: 7-Methoxy-5-methylamino-1-[2-methyl-4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-268: 7-Methoxy-5-dimethylamino-1-[2-methyl-4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-269: 6-Methyl-4-methylamino-1-[4-(2-methylbenzoylamino)benzoyl]-1,2,3,4-tetrahydroquinoline
- 2-270: 6-Chloro-4-methylamino-3-methyl-1-[4-(2-methylbenzoylamino)benzoyl]-1,2,3,4-tetrahydroquinoline
- 2-271: 4-Methylamino-3-methyl-1-[4-(2-methylbenzoylamino)benzoyl]-1,2,3,4-tetrahydroquinoline
- 2-272: 6-Chloro-4-methylamino-1-[2-chloro-4-(2-methylbenzoylamino)benzoyl]-1,2,3,4-tetrahydroquinoline
- 2-273: 6-Chloro-4-dimethylamino-1-[4-(2-methylbenzoylamino)benzoyl]-1,2,3,4-tetrahydroquinoline
- 2-274: 6-Chloro-4-dimethylamino-1-[2-chloro-4-(2-methylbenzoylamino)benzoyl]-1,2,3,4-tetrahydroquinoline

- 2-275: 6-Methyl-4-dimethylamino-1-[4-(2-methylbenzoyl-amino)benzoyl]-1,2,3,4-tetrahydroquinoline
- 2-276: 6-Methyl-4-dimethylamino-1-[2-chloro-4-(2-methylbenzoylamino)benzoyl]-1,2,3,4-tetrahydroquinoline
- 2-277: 6-Chloro-4-dimethylamino-3-methyl-1-[2-chloro-4-(2-methylbenzoylamino)benzoyl]-1,2,3,4-tetrahydroquinoline
- 2-278: 4-Dimethylamino-3-methyl-1-[2-chloro-4-(2-methylbenzoylamino)benzoyl]-1,2,3,4-tetrahydroquinoline
- 2-279: 8-Chloro-6-methylamino-1-[4-(2-methylbenzoylamino)benzoyl]-1,2,3,4,5,6-hexahydrobenzazocine
- 2-280: 7-Chloro-5-allylamino-1-[3-methoxy-4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-281: 7-Chloro-5-(N-methyl,N-ethyl)amino-1-[3-methoxy-4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-282: 7-Chloro-5-(N-methyl,N-allyl)amino-1-[3-methoxy-4-(2-chlorobenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-283: 7-Fluoro-5-hydroxy-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-284: 7-Fluoro-5-hydroxy-1-[2-chloro-4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-285: 7-Fluoro-5-hydroxy-1-[3-methoxy-4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-286: 5-Dimethylamino-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine

- 2-287: 5-Chloro-1-[4-(2-methylbenzoylamino)benzoyl]-  
2,3,4,5-tetrahydro-1H-benzazepine
- 2-288: 7-Methoxy-5-methylidene-1-[2-chloro-4-(2-methyl-  
benzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-  
benzazepine
- 2-289: 7-Chloro-4-methyl-1-[4-(2-methylbenzoylamino)-  
benzoyl]-2,3,4,5-tetrahydro-1H-1,4-benzodiazepine
- 2-290: 4-Methyl-1-[2-chloro-4-(2-methylbenzoylamino)-  
benzoyl]-2,3,4,5-tetrahydro-1H-1,4-benzodiazepine
- 2-291: 7-Methoxy-5-hydroxymethyl-5-hydroxy-1-[2-chloro-4-  
(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-  
1H-benzazepine
- 2-292: 7-Methoxy-5-methylamino-1-[2-methoxy-4-(2-methyl-  
benzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-  
benzazepine
- 2-293: 7-Methoxy-5-hydroxy-1-[2-methoxy-4-(2-methyl-  
benzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-  
benzazepine
- 2-294: 7-Fluoro-5-[2-(4-methyl-1-piperazinyl)ethoxy]-1-[2-  
methoxy-4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-  
tetrahydro-1H-benzazepine
- 2-295: 7-Chloro-1-[2-methoxy-4-[2-(4-[4-methyl-1-  
piperazinyl]butoxy)benzoylamino]benzoyl]-2,3,4,5-  
tetrahydro-1H-benzazepine
- 2-296: 7-Chloro-5-(2-(isopropylamino)ethoxy)-1-[2-methyl-  
4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-  
tetrahydro-1H-benzazepine hydrochloride
- 2-297: 7-Chloro-5-(4-methyl-1-piperazinyl)carbonylmethyl-



- 1-[2-chloro-4-(2-methylbenzoylamino)benzoyl]-  
2,3,4,5-tetrahydro-1H-benzazepine hydrochloride
- 2-298: 7-Chloro-1-[3-methoxy-4-[2-(2-dimethylaminoethoxy)-  
benzoylamino]benzoyl]-2,3,4,5-tetrahydro-1H-  
benzazepine
- 2-299: 7-Chloro-5-(4-methyl-1-piperidinyl)carbonylmethyl-  
1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-  
tetrahydro-1H-benzazepine hydrochloride
- 2-300: 7-Chloro-5-(2-dimethylaminoethyl)-1-[2-methoxy-4-  
(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-  
1H-benzazepine hydrochloride
- 2-301: 7-Chloro-4-hydroxy-1-[2-methoxy-4-(2-methylbenzoyl-  
amino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-302: 7-Chloro-1-[2-chloro-4-(2-acetyloxybenzoylamino)-  
benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-303: 7-Chloro-1-[2-chloro-4-(2-hydroxybenzoylamino)-  
benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-304: 7-Chloro-1-[2-methyl-4-(2-hydroxybenzoylamino)-  
benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-305: 7-Fluoro-5-[(4-dimethylamino-1-piperidino)carbonyl-  
methyl]-1-[2-methoxy-4-(2-methylbenzoylamino)-  
benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-306: 7-Fluoro-5-(1-piperidinocarbonylmethyl)-1-[2-  
methoxy-4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-  
tetrahydro-1H-benzazepine
- 2-307: 7-Chloro-5-[(1-methyl-4-piperidinyl)aminocarbonyl-  
methoxy]-1-[2-methyl-4-(2-methylbenzoylamino)-  
benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine

hydrochloride

- 2-308: 7-Chloro-5-(2-acetylaminoethyl)-1-[2-methoxy-4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-309: 7-Chloro-5-dimethylaminocarbonylmethyl-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-310: 7-Chloro-5-carbamoylmethyl-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-311: 7-Chloro-5-[(4-methyl-1-piperazinyl)carbonylmethoxy]-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-312: 7-Fluoro-5-[(4-acetylamino-1-piperidino)carbonylmethyl]-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-313: 7-Chloro-5-[(4-acetylamino-1-piperidino)carbonylmethyl]-1-[2-methoxy-4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-314: 5-[(4-Acetylamino-1-piperidino)carbonylmethyl]-7-fluoro-1-[2-methoxy-4-(2-bromomethylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-315: 7-Chloro-1-[2-chloro-4-(2-carbamoylmethoxybenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-316: 7-Chloro-1-[2-chloro-4-[2-(2-dimethylaminoethoxy)benzoylamino]benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-317: 7-Chloro-1-[2-chloro-4-[2-(4-dimethylaminobutoxy)benzoylamino]benzoyl]-2,3,4,5-tetrahydro-1H-

## benzazepine

- 2-318: 7-Chloro-1-(2-chloro-4-[2-(4-isopropylaminobutoxy)-benzoylamino]benzoyl)-2,3,4,5-tetrahydro-1H-benzazepine
- 2-319: 7-Chloro-1-(2-methyl-4-(2-carbamoylmethoxy)benzoylamino]benzoyl)-2,3,4,5-tetrahydro-1H-benzazepine
- 2-320: 7-Chloro-5-(2-hydroxyethyl)-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-321: 7-Chloro-5-(2-hydroxyethyl)-1-[4-(2-chlorobenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-322: 7-Chloro-5-(2-hydroxyethoxy)-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-323: 7-Chloro-5-(2-hydroxyethoxy)-1-[4-(2-chlorobenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-324: 7-Chloro-5-(2-dimethylaminoethyl)-1-[4-(2-chlorobenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-325: 7-Chloro-5-(2-chloroethyl)-1-[4-(2-chlorobenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-326: 7-Chloro-5-(2-dimethylaminoethyl)-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-327: 7-Chloro-5-(2-isopropylaminoethyl)-1-[4-(2-chlorobenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-328: 7-Chloro-5-(2-isopropylaminoethyl)-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine

- 2-329: 7-Chloro-5-(2-tert-butylaminoethyl)-1-[4-(2-chloro-benzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-330: 7-Chloro-5-(2-tert-butylaminoethyl)-1-[4-(2-methyl-benzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-331: 7-Chloro-5-(2-isopropylaminoethoxy)-1-[4-(2-chloro-benzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-332: 7-Chloro-5-(2-isopropylaminoethoxy)-1-[4-(2-methyl-benzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-333: 7-Chloro-5-(2-tert-butylaminoethoxy)-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-334: 7-Chloro-4-methylamino-1-[2-methoxy-4-(2-methyl-benzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-335: 7-Chloro-4-dimethylamino-1-[2-methoxy-4-(2-methyl-benzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-336: 7-Chloro-5-(2-dimethylaminoethyl)-1-[2-methyl-4-(2-chlorobenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-337: 7-Chloro-5-(2-diethylaminoethyl)-1-[2-methyl-4-(2-chlorobenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-338: 7-Chloro-5-(2-isopropylaminoethyl)-1-[2-methyl-4-

- (2-chlorobenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-339: 7-Chloro-5-(2-tert-butylaminoethyl)-1-[2-methyl-4-(2-chlorobenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-340: 7-Chloro-5-(2-dimethylaminoethoxy)-1-[3-methoxy-4-(2-chlorobenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-341: 7-Chloro-5-(2-diethylaminoethoxy)-1-[3-methoxy-4-(2-chlorobenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-342: 7-Chloro-5-(2-isopropylaminoethoxy)-1-[3-methoxy-4-(2-chlorobenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-343: 7-Chloro-5-(2-diethylaminoethoxy)-1-[3-methoxy-4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-344: 7-Chloro-5-(2-isopropylaminoethoxy)-1-[3-methoxy-4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-345: 7-Chloro-5-(2-tert-butylethoxy)-1-[3-methoxy-4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-346: 7-Chloro-5-(2-diethylaminoethyl)-1-[2-methoxy-4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-347: 7-Chloro-5-(2-isopropylaminoethyl)-1-[2-methoxy-4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-

1H-benzazepine

- 2-348: 7-Chloro-5-(2-tert-butylaminoethyl)-1-[2-methoxy-4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-349: 7-Chloro-5-(2-diethylaminoethyl)-1-[2-methoxy-4-(2-chlorobenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-350: 7-Chloro-5-(2-isopropylaminoethyl)-1-[2-methoxy-4-(2-chlorobenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-351: 7-Chloro-5-(2-tert-butylaminoethyl)-1-[2-methoxy-4-(2-chlorobenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-352: 7-Chloro-1-[2-methoxy-4-(3-hydroxybenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-353: 7-Chloro-1-[3-methoxy-4-(2-hydroxybenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-354: 7-Chloro-1-[3-methoxy-4-(2-carbamoylmethoxybenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-355: 7-Chloro-1-[3-methoxy-4-[2-(2-dimethylaminoethoxy)benzoylamino]benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-356: 7-Chloro-1-[3-methoxy-4-[2-(2-isopropylaminoethoxy)benzoylamino]benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-357: 7-Chloro-1-[3-methoxy-4-[2-(4-dimethylaminobutoxy)benzoylamino]benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine

- 2-358: 7-Chloro-1-{3-methoxy-4-[2-(4-isopropylamino-butoxy)benzoylamino]benzoyl}-2,3,4,5-tetrahydro-1H-benzazepine
- 2-359: 7-Chloro-4-hydroxy-1-[2-methoxy-4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-360: 7-Chloro-5-(2-aminoethyl)-1-[2-methoxy-4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine hydrochloride
- 2-361: 7-Chloro-4-hydroxy-1-[2-methyl-4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-362: 7-Chloro-1-[2-methyl-4-(2-acetyloxybenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-363: 7-Chloro-5-carbamoylmethoxy-1-[2-methyl-4-(2-chlorobenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-364: 7-Fluoro-5-(2-diethylaminoethyl)-1-[2-methyl-4-(2-chlorobenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-365: 7-Fluoro-5-(2-isopropylaminoethyl)-1-[2-methyl-4-(2-chlorobenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-366: 7-Fluoro-5-(2-tert-butylaminoethyl)-1-[2-methyl-4-(2-chlorobenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-367: 7-Chloro-5-(2-ethylaminoethoxy)-1-[2-methoxy-4-(2-chlorobenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-368: 7-Chloro-5-(2-acetylaminoethoxy)-1-[2-methoxy-4-(2-

- chlorobenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-369: 7-Chloro-5-(2-isopropylaminoethoxy)-1-[2-methoxy-4-(2-bromobenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-370: 7-Chloro-5-methoxy-1-[2-methoxy-4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-371: 7-Chloro-5-{N-methyl,N-[2-(2-pyridyl)ethyl]amino-carbonylmethoxy-1-[2-trifluoromethyl-4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine
- 2-372: 7-Chloro-5-[2-(N-ethyl,N-butylamino)ethoxy]-1-[2-methoxy-4-(2-chlorobenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine



Table 1 (\*) : Inhibitory Rate (%) at  $10^{-5}$  M

Test Comp. No.	IC <sub>50</sub> ( $\mu$ M)	Test Comp. No.	IC <sub>50</sub> ( $\mu$ M)
1-1	7.60	1-2	5.63
1-3	4.37	1-4	3.96
1-5	8.38	1-6	4.57
1-7	7.66	1-8	8.23
1-9	4.89	1-10	4.09
1-11	5.05	1-12	3.55
1-13	4.75	1-14	43.8 (*)
1-15	6.18	1-16	6.03
1-17	4.32	1-18	23.3 (*)
1-19	5.10	1-20	7.13
1-21	5.10	1-22	4.32
1-23	4.99	1-24	22.7 (*)
1-25	7.14	1-26	24.2 (*)
1-27	13.6 (*)	1-28	5.55
1-29	7.24	1-30	24.6 (*)
1-31	33.8 (*)	1-32	28.7 (*)
1-33	14.6 (*)	1-34	10.8 (*)
1-35	32.4 (*)	1-36	4.45
1-37	1.91	1-38	4.38
1-39	0.85	1-40	2.92
1-41	7.70	1-42	4.54
1-43	4.14	1-44	8.28
1-45	9.24	1-46	40.1 (*)
1-47	3.28	1-48	24.5 (*)
1-49	7.85	1-50	8.59
1-51	40.1 (*)	1-52	6.85
1-53	72.7	1-54	47.4 (*)

Test Comp. No.	IC <sub>50</sub> ( $\mu$ M)	Test Comp. No.	IC <sub>50</sub> ( $\mu$ M)
1-55	45.7 (*)	1-56	2.92
1-57	30.3 (*)	1-58	43.8 (*)
1-59	3.19	1-60	4.29
1-61	5.08	1-62	5.13
1-63	5.73	1-64	4.55
1-65	6.86	1-66	45.8 (*)
1-67	6.80	1-68	49.7 (*)
1-69	41.4 (*)	1-70	2.93
1-71	3.42	1-72	5.82
1-73	2.85	1-74	7.39
1-75	44.10 (*)	1-76	43.3 (*)
1-77	3.29	1-78	5.16
1-79	8.74	1-80	6.62
1-81	1.89	1-82	4.69
1-83	1.91	1-84	5.54
1-85	15.7 (*)	1-86	8.21
1-87	9.85	1-88	2.45
1-89	6.73	1-90	5.75
1-91	7.05	1-92	2.32
1-93	5.13	1-94	7.44
1-95	4.02	1-96	3.10
1-97	3.87	1-98	7.13
1-99	4.6		

Table 2 (\*) : Inhibitory Rate (%) at  $10^{-5}$  M  
 (\*\*) : Inhibitory Rate (%) at  $10^{-6}$  M

Test Comp. No.	IC <sub>50</sub> ( $\mu$ M)	Test Comp. No.	IC <sub>50</sub> ( $\mu$ M)
2-1	4.01	2-2	1.55
2-3	0.22	2-4	21.3 (*)
2-5	1.57	2-6	1.26
2-7	25.0 (*)	2-8	0.52
2-9	0.23	2-10	3.07
2-11	0.34	2-12	0.60
2-13	0.23	2-14	42.0 (*)
2-15	15.3 (*)	2-16	5.30
2-17	43.2 (*)	2-18	37.8 (*)
2-19	14.8 (*)	2-20	5.20
2-21	27.2 (*)	2-22	3.89
2-23	0.72	2-24	2.49
2-25	2.82	2-26	8.89
2-27	7.25	2-28	7.61
2-29	37.1 (*)	2-30	8.10
2-31	1.83	2-32	2.55
2-33	1.12	2-34	4.04
2-35	41.1 (*)	2-36	35.9 (*)
2-37	6.64	2-38	1.50
2-39	27.9 (*)	2-40	1.38
2-41	0.48	2-42	0.07
2-43	0.55	2-44	4.29
2-45	0.23	2-46	0.07
2-47	8.35	2-48	3.64
2-49	0.55	2-50	2.93
2-51	4.79	2-52	2.70
2-53	5.81	2-54	2.92

Test Comp. No.	IC <sub>50</sub> ( $\mu$ M)	Test Comp. No.	IC <sub>50</sub> ( $\mu$ M)
2-55	0.38	2-56	1.83
2-57	8.79	2-58	3.82
2-59	22.8 (*)	2-60	5.01
2-61	22.7 (*)	2-62	37.9 (*)
2-63	5.30	2-64	12.0 (*)
2-65	33.9 (*)	2-66	16.8 (*)
2-67	28.5 (*)	2-68	16.9 (*)
2-69	31.2 (*)	2-70	5.19
2-71	6.07	2-72	26.3 (*)
2-73	8.93	2-74	22.9 (*)
2-75	32.0 (*)	2-76	1.55
2-77	6.10	2-78	10.3 (*)
2-79	19.6 (*)	2-80	8.39
2-81	22.4 (*)	2-82	27.5 (*)
2-83	30.1 (*)	2-84	7.92
2-85	40.3 (*)	2-86	37.3 (*)
2-87	5.55	2-88	2.37
2-89	2.58	2-90	26.5 (*)
2-91	8.62	2-92	2.47
2-93	5.38	2-94	3.19
2-95	5.56	2-96	9.19
2-97	3.81	2-98	16.6 (*)
2-99	44.2 (*)	2-100	21.8 (*)
2-101	14.6 (*)	2-102	3.48
2-103	3.03	2-104	7.61
2-105	33.7 (*)	2-106	32.4 (*)
2-107	3.57	2-108	5.84
2-109	8.75	2-110	36.9 (*)

Test Comp. No.	IC <sub>50</sub> ( $\mu$ M)	Test Comp. No.	IC <sub>50</sub> ( $\mu$ M)
2-111	0.05	2-112	0.05
2-113	0.11	2-114	0.03
2-115	0.46	2-116	1.26
2-117	6.02	2-118	0.55
2-119	0.62	2-120	3.33
2-121	1.18	2-122	9.61
2-123	1.24	2-124	1.29
2-125	0.88	2-126	7.34
2-127	1.02	2-128	46.4 (*)
2-129	45.0 (*)	2-130	8.42
2-131	2.05	2-132	8.29
2-133	4.16	2-134	46.9 (*)
2-135	19.0	2-136	10.0 (*)
2-137	11.4 (*)	2-138	16.2 (*)
2-139	8.97	2-140	19.0 (*)
2-141	2.41	2-142	3.29
2-143	5.76	2-144	8.26
2-145	8.54	2-146	3.15
2-147	13.2 (*)	2-148	7.02
2-149	4.83	2-150	3.33
2-151	10.9 (*)	2-152	21.7 (*)
2-153	8.96	2-154	7.93
2-155	2.54	2-156	4.01
2-157	13.8 (*)	2-158	23.7 (*)
2-159	6.73	2-160	49.3 (*)
2-161	6.32	2-162	30.7 (*)
2-163	22.2 (*)	2-164	23.3 (*)
2-165	8.42	2-166	8.75
2-167	25.2 (*)	2-168	1.60

Test Comp. No.	IC <sub>50</sub> ( $\mu$ M)	Test Comp. No.	IC <sub>50</sub> ( $\mu$ M)
2-169	1.76	2-170	0.96
2-171	1.90	2-172	5.34
2-173	43.4 (*)	2-174	1.78
2-175	1.24	2-176	21.1 (*)
2-177	24.1 (*)	2-178	9.26
2-179	2.63	2-180	28.6 (*)
2-181	14.2 (*)	2-182	1.34
2-183	2.09	2-184	2.40
2-185	1.47	2-186	1.44
2-187	10.1 (*)	2-188	41.7 (*)
2-189	2.36	2-190	34.2 (*)
2-191	15.6 (*)	2-192	7.71
2-193	7.60	2-194	26.7 (*)
2-195	53.4 (*)	2-196	8.93
2-197	44.1 (*)	2-198	46.0 (*)
2-199	31.1 (*)	2-200	38.1 (*)
2-201	1.17	2-202	4.45
2-203	6.00	2-204	47.4 (*)
2-205	38.2 (*)	2-206	1.58
2-207	3.07	2-208	3.19
2-209	17.8 (*)	2-210	2.71
2-211	3.49	2-212	1.44
2-213	36.1 (*)	2-214	5.06
2-215	0.49	2-216	4.76
2-217	48.8 (*)	2-218	8.58
2-219	3.78	2-220	1.35
2-221	2.32	2-222	3.37
2-223	4.53	2-224	45.6 (*)

Test Comp. No.	IC <sub>50</sub> ( $\mu$ M)	Test Comp. No.	IC <sub>50</sub> ( $\mu$ M)
2-225	1.76	2-226	9.19
2-227	47.4 (*)	2-228	40.4 (*)
2-229	34.4 (*)	2-230	4.17
2-231	5.39	2-232	42.8 (*)
2-233	5.27	2-234	22.2 (*)
2-235	2.86	2-236	3.05
2-237	2.40	2-238	2.47
2-239	15.8 (*)	2-240	7.83
2-241	18.1 (*)	2-242	44.5 (*)
2-243	3.19	2-244	16.4 (*)
2-245	20.2 (*)	2-246	2.60
2-247	9.78	2-248	43.1
2-249	1.76	2-250	41.1 (*)
2-251	11.6 (*)	2-252	5.56
2-253	33.7 (*)	2-254	6.09
2-255	3.21	2-256	29.5 (*)
2-257	5.82	2-258	5.86
2-259	25.0 (*)	2-260	26.8 (*)
2-261	8.34	2-262	5.68
2-263	49.8 (*)	2-264	0.83
2-265	7.32	2-266	6.68
2-267	9.46	2-268	35.0 (*)
2-269	45.1 (*)	2-270	0.26
2-271	2.17	2-272	9.46
2-273	3.20	2-274	10.5 (*)
2-275	4.47	2-276	32.8 (*)
2-277	26.0 (*)	2-278	18.8 (*)
2-279	1.18	2-280	3.92

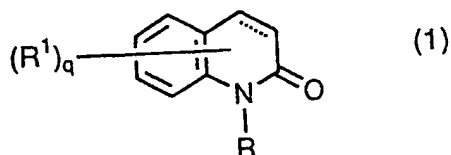
Test Comp. No.	IC <sub>50</sub> ( $\mu$ M)	Test Comp. No.	IC <sub>50</sub> ( $\mu$ M)
2-281	36.9 (*)	2-282	35.9 (*)
2-283	1.18	2-284	3.43
2-285	0.94	2-286	1.81 (*)
2-287	1.17	2-288	3.62
2-289	2.41	2-290	7.58
2-291	33.8 (*)	2-292	46.0 (*)
2-293	89.7 (*)	2-294	1.64
2-295	3.69	2-296	2.18
2-297	1.85	2-298	47.3 (*)
2-299	0.36	2-300	0.97
2-301	0.12	2-302	29.6 (*)
2-303	14.4 (*)	2-304	17.7 (*)
2-305	0.22	2-306	0.09
2-307	1.94	2-308	0.09
2-309	0.25	2-310	0.28
2-311	0.10	2-312	1.22
2-313	0.13	2-314	0.10
2-315	4.44	2-316	3.52
2-317	6.75	2-318	8.01
2-319	3.58	2-320	0.22
2-321	0.30	2-322	0.23
2-323	0.25	2-324	1.76
2-325	27.6 (*)	2-326	0.61
2-327	0.37	2-328	0.35
2-329	0.45	2-330	0.33
2-331	0.30	2-332	0.38
2-333	0.52	2-334	0.35
2-335	0.14	2-336	7.54



Test Comp. No.	IC <sub>50</sub> ( $\mu$ M)	Test Comp. No.	IC <sub>50</sub> ( $\mu$ M)
2-337	4.23	2-338	7.55
2-339	5.41	2-340	0.57
2-341	0.49	2-342	0.62
2-343	0.60	2-344	1.87
2-345	2.50	2-346	0.33
2-347	0.64	2-348	0.66
2-349	0.51	2-350	0.43
2-351	0.86	2-352	0.72
2-353	31.6 (*)	2-354	4.52
2-355	9.50	2-356	9.23
2-357	0.57	2-358	5.18
2-359	0.08	2-360	0.45
2-361	1.37	2-362	24.2 (*)
2-363	4.00	2-364	4.49
2-365	4.40	2-366	9.29
2-367	0.10	2-368	0.21
2-369	88.8 (**)	2-370	0.78
2-371	1.93	2-372	0.88

## C L A I M S

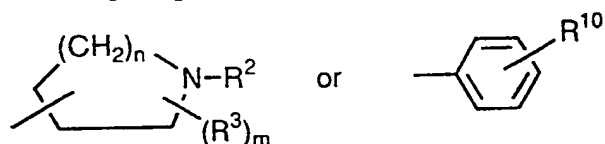
1. An oxytocin antagonist which comprises as an active ingredient a carbostyryl derivative of the formula (1):



wherein  $R^1$  is hydrogen atom; nitro; a lower alkoxy; a lower alkoxy carbonyl; a lower alkyl; a halogen atom; an amino having optionally one or two substituents selected from a lower alkanoyl, a lower alkyl, benzoyl and a phenyl(lower)-alkoxy carbonyl; hydroxy; cyano; carboxy; a lower alkanoyl-oxy; or hydrazinocarbonyl,

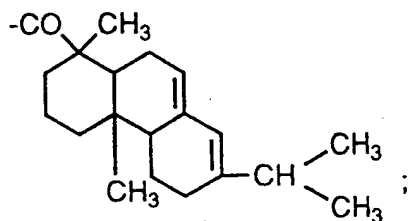
$q$  is an integer of 1 to 3, and

$R$  is a group of the formula:

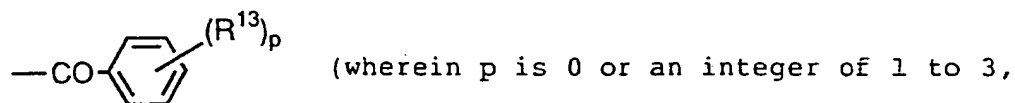


wherein  $R^2$  is hydrogen atom; a lower alkoxy carbonyl; a phenoxy carbonyl wherein the phenyl ring may optionally be substituted by one to three substituents selected from nitro and an amino having optionally one or two substituents selected from a lower alkanoyl, a lower alkyl and benzoyl; a phenyl(lower)alkenyl carbonyl; a phenyl(lower)alkanoyl wherein the lower alkanoyl moiety may optionally be substituted by an amino having optionally a lower alkoxy carbonyl substituent; an alkanoyl; an alkenyl carbonyl;

a phenylsulfonyl wherein the phenyl ring may optionally be substituted by a lower alkoxy; a group of the formula:  
 $-\text{CONR}^8\text{R}^9$  (wherein  $\text{R}^8$  and  $\text{R}^9$  are the same or different and are each hydrogen atom or a phenyl which may optionally have one to three substituents selected from a lower alkoxy, a lower alkyl, a halogen atom, an amino having optionally one or two substituents selected from a lower alkyl and a lower alkanoyl, and nitro); a heterocyclic group-substituted carbonyl wherein the heterocyclic group may optionally have one to three substituents selected from a phenyl(lower)-alkoxycarbonyl, a phenyl(lower)alkoxy, oxo, a lower alkyl, and a lower alkylenedioxy; a group of the formula:



naphthylcarbonyl; a thienyl(lower)alkanoyl; a tricyclo-[3.3.1.1]decanyl(lower)alkanoyl; tricyclo[3.3.1.1]-decanylcarbonyl; or a group of the formula:



and  $\text{R}^{13}$  is hydroxy; an alkoxy; an alkoxy which has one or two substituents selected from hydroxy, a lower alkanoyloxy, a tri(lower)alkylammonium, a lower alkoxy, and a group of the formula:  $-\text{NR}^{14}\text{R}^{15}$  [wherein  $\text{R}^{14}$  and  $\text{R}^{15}$  are the same or different and are each hydrogen atom, a lower alkyl, a hydroxy-substituted lower alkyl, a lower alkanoyl, a

tetrahydropyranyl(lower)alkyl, phenyl, a phenyl(lower)alkyl (wherein the alkyl moiety may optionally be substituted by hydroxy and the phenyl ring may optionally be substituted by a lower alkoxy), or a pyridyl(lower)alkyl; or  $R^{14}$  and  $R^{15}$  may bind with the nitrogen atom to which they bond to form a 5- or 6-membered, saturated heterocyclic group which may be intervened or not with nitrogen, oxygen or sulfur atom (wherein the heterocyclic group may optionally be substituted by a member selected from carbamoyl, a lower alkyl, a phenyl(lower)alkyl, phenyl and a hydroxy-substituted lower alkyl)]; a carboxy-substituted alkoxy; a halogen-substituted lower alkoxy; a lower alkoxycarbonyl-substituted alkoxy; a lower alkanoyloxy-substituted lower alkoxy; a lower alkenyloxy-substituted lower alkoxy; a lower alkoxy(lower)alkoxy; a lower alkylsulfonyloxy-substituted lower alkoxy; a benzoyloxy-substituted lower alkoxy; tricyclo[3.3.1.1]decanyl-substituted lower alkoxy; a lower alkoxy(lower)alkoxy which is substituted by one or two substituents selected from hydroxy and an amino being optionally substituted by a lower alkyl; a morpholinyl-substituted lower alkoxy which may optionally be substituted by a lower alkyl or oxo; a benzimidazolylthio-substituted lower alkoxy; a benzimidazolylsulfinyl-substituted lower alkoxy; a group of the formula:  $-O-A(E)_1-NR^4R^5$  (wherein A is an alkylene, 1 is an integer of 0 or 1, E is a group of the formula:  $-CO-$  or  $-OCO-$ ,  $R^4$  and  $R^5$  are the same or different and are each hydrogen atom; a lower alkyl which may optionally be substituted by hydroxy or cyano; a lower

alkenyl; a lower alkynyl; a phenyl(lower)alkyl; a lower alkanoyl which may optionally have one to three substituents of a halogen atom; a benzoyl wherein the phenyl ring may optionally be substituted by a member selected from nitro and an amino having optionally one or two substituents selected from a lower alkyl, a lower alkanoyl and a phenyl(lower)alkoxycarbonyl; phenyl; a lower alkoxycarbonyl; a lower alkoxycarbonyl(lower)alkyl wherein the lower alkyl moiety may optionally be substituted by hydroxy or an amino having optionally a phenyl(lower)alkoxycarbonyl substituent; an amido having optionally a lower alkyl substituent; a pyrrolidinyl-substituted carbonyl wherein the pyrrolidinyl ring may optionally be substituted by a phenyl(lower)alkoxycarbonyl; an amino-substituted lower alkanoyl wherein the lower alkanoyl moiety may optionally be substituted by a member selected from phenyl(lower)alkoxycarbonylamino, hydroxy, a phenyl having optionally a hydroxy substituent, carbamoyl, imidazolyl and a lower alkylthio, and the amino group may optionally have a substituent selected from a lower alkyl having optionally a hydroxy substituent, a lower alkenyl, a phenyl(lower)alkyl having optionally a lower alkoxy substituent on the phenyl ring, a lower alkylsulfonyl, a lower alkanoyl, and a phenyl(lower)alkoxycarbonyl; a hydroxy-substituted lower alkanoyl; a lower alkanoyloxy(lower)alkanoyl; a lower alkylsulfonyl; a phenylsulfonyl wherein the phenyl ring may optionally be substituted by a lower alkyl, nitro or an amino having optionally one or two substituents selected from a lower

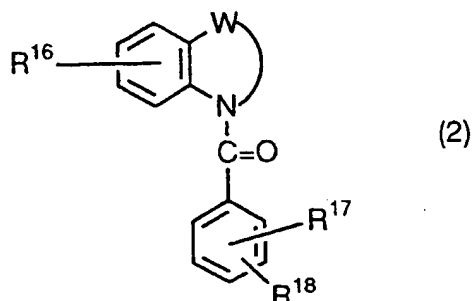
alkyl and a lower alkanoyl; an amido-substituted lower alkyl wherein the lower alkyl moiety have optionally a substituent selected from a phenyl having optionally a hydroxy substituent, imidazolyl, carbamoyl and a lower alkylthio, and the amido group may optionally have a lower alkyl substituent; an amino-substituted lower alkyl which may optionally substituted by a lower alkyl or a lower alkanoyl; anilino-carbonyl; a piperidinyl which may optionally be substituted by a phenyl(lower)alkyl; a cycloalkyl, a cycloalkenyl-carbonyl; a cycloalkylcarbonyl which may optionally have one to three substituents selected from hydroxy and a lower alkanoyloxy; a tetrahydropyranyl-substituted lower alkyl wherein the tetrahydropyranyl ring may optionally have one to four substituents selected from hydroxy and a lower alkoxy; a lower alkanoyl which is substituted by a 5- or 6-membered saturated heterocyclic group selected from pyrrolidinyl, piperazinyl, piperidinyl and morpholinyl wherein the heterocyclic group have optionally a substituent selected from a lower alkyl and phenyl; a piperidinyl-substituted carbonyl which may optionally be substituted by a lower alkanoyl; a lower alkanoyloxy(lower)alkyl; a pyridyl-substituted lower alkyl; or an amino acid residue which can form an amido group with its amino group, or  $R^4$  and  $R^5$  may bind together with the nitrogen atom to which they bond to form a 5- or 6-membered, saturated or unsaturated heterocyclic group which may be intervened or not with nitrogen, oxygen or sulfur atom, wherein the heterocyclic group may optionally be substituted by a member

selected from a phenyl having optionally a substituent selected from a lower alkoxy and a halogen atom, oxo, hydroxy, a lower alkenyl, carboxy, a phenyl(lower)alkyl having optionally a hydroxy substituent on the lower alkyl moiety, a lower alkanoyl, a lower alkyl having optionally a hydroxy substituent, benzoyl, an amido having optionally a lower alkyl substituent, anilinocarbonyl, a benzoyl(lower)-alkyl, a lower alkylsulfonyl, piperidinyl, pyrimidinyl, pyridyl, and a lower alkoxycarbonyl); a carbamoyloxy-substituted lower alkoxy; a lower alkylthio-substituted lower alkoxy; a lower alkylsulfonyl-substituted lower alkoxy; a lower alkylsulfinyl-substituted lower alkoxy; an alkenyloxy; phenoxy; a lower alkanoyloxy; a lower alkylsulfonyloxy; a lower alkynyloxy; a phenyl(lower)alkoxy; a cycloalkyl; a cycloalkyloxy; a cycloalkenyloxy; imidazo-[4,5-c]pyridyl-carbonyl(lower)alkoxy; a group of the formula:  $-(B)_xNR^6R^7$  (wherein  $x$  is as defined above, B is a lower alkylene or a group of  $-CO-$ , and  $R^6$  and  $R^7$  are the same or different and are each hydrogen atom, a lower alkyl, a lower alkanoyl having optionally one to three halogen substituents, a carboxy(lower)alkyl, a lower alkoxycarbonyl, a lower alkoxycarbonyl(lower)alkyl, a lower alkenyl, an amido-substituted lower alkyl having optionally a lower alkyl substituent, or a phenyl(lower)alkoxycarbonyl, or  $R^6$  and  $R^7$  may bind together with nitrogen atom to which they bond to form a 5- or 6-membered, saturated or unsaturated heterocyclic group which may be intervened or not with nitrogen, oxygen or sulfur atom, wherein the heterocyclic

group may optionally have a substituent selected from a lower alkoxy carbonyl, a lower alkyl, a lower alkylthio, and oxo); nitro; a halogen atom; a lower alkylsulfonyl; a lower alkyl which may optionally have one to three substituents selected from a halogen atom, hydroxy, phenyl and a lower alkoxy; a cyano-substituted lower alkoxy; an oxiranyl-substituted lower alkoxy; a phthalimido-substituted alkoxy; an amidino-substituted lower alkoxy, a pyrrolyl-substituted lower alkoxy; cyano; a lower alkoxy carbonyl; amidino; carbamoyl; carboxy; a lower alkanoyl; benzoyl; a lower alkoxy carbonyl(lower)alkyl; a carboxy(lower)alkyl; a lower alkoxy(lower)alkyl; a lower alkanoyloxy(lower)alkyl; hydroxyimino-substituted lower alkyl; phenyl; a lower alkylthio; a lower alkylsulfinyl; a lower alkenyl having optionally a hydroxy substituent; a lower alkylenedioxy, a lower alkylsilyl; a pyrimidylthio-substituted lower alkoxy; a pyrimidylsulfinyl-substituted lower alkoxy; a pyrimidylsulfonyl-substituted lower alkoxy; an imidazolylthio-substituted lower alkoxy which may optionally have a lower alkyl substituent; an imidazolylsulfonyl-substituted lower alkoxy which may optionally have a lower alkyl substituent; an ammonium-lower alkoxy having three substituents selected from lower alkyl, lower alkenyl and oxo; a phenylthio-substituted lower alkoxy wherein the phenyl ring may optionally have a substituent selected from nitro and amino; a phenylsulfonyl-substituted lower alkoxy wherein the phenyl ring may optionally have a substituent selected from nitro and an amino having optionally one or two substituents



selected from a lower alkanoyl and lower alkyl; a pyridylthio-substituted lower alkoxy; or a pyridylsulfonyl-substituted lower alkoxy wherein the pyridyl ring may optionally be substituted by oxo),  $n$  is an integer of 1 or 2,  $m$  is 0 or an integer of 1 to 3,  $R^3$  is a lower alkyl,  $R^{10}$  is a group of the formula:  $-(CO)_x-NR^{11}R^{12}$  (wherein  $x$  is as defined above and  $R^{11}$  and  $R^{12}$  are the same or different and are each hydrogen atom, a lower alkyl, a phenyl(lower)alkyl, a lower alkenyl, a benzoyl which may optionally have a lower alkoxy substituent, tricyclo[3.3.1.1]decanyl, a phenyl which may optionally have a lower alkoxy substituent, or a cycloalkyl, or  $R^{11}$  and  $R^{12}$  may bind together with the nitrogen atom to which they bond to form a saturated or unsaturated heterocyclic group which may be intervened or not with nitrogen, oxygen or sulfur atom, wherein the heterocyclic group may optionally have a substituent selected from a benzoyl, a lower alkanoyl, a phenyl(lower)-alkyl and a phenyl which may optionally be substituted by a lower alkoxy or a lower alkanoyl), the bond between 3- and 4-positions of the carbostyryl ring is single bond or double bond, provided that when  $R^1$  is hydrogen atom and the  $x$  in the formula:  $-(CO)_x-NR^{11}R^{12}$  is 0,  $R^{11}$  and  $R^{12}$  are not simultaneously hydrogen atom, or a pharmaceutical acceptable salt thereof, or a benzoheterocyclic compound of the formula (2):



wherein  $R^{16}$  is hydrogen atom, a halogen atom, a lower alkyl, an amino having optionally a lower alkyl substituent, or a lower alkoxy,

$R^{17}$  is hydrogen atom, a halogen atom, a lower alkoxy, a phenyl(lower)alkoxy, hydroxy, a lower alkyl, an amino having optionally a lower alkyl substituent, a carbamoyl-substituted lower alkoxy, an amino-substituted lower alkoxy having optionally a lower alkyl substituent, or a benzoyloxy which has optionally a halogen substituent on the phenyl ring,


$R^{18}$  is a group of the formula:  $-NR^{19}R^{20}$  or a group of the formula:  $-CONR^{26}R^{27}$ ,

$R^{19}$  is hydrogen atom, a benzoyl which has optionally a halogen substituent on the phenyl ring, or a lower alkyl,

$R^{20}$  is a group of the formula:  $-\text{CO}-\text{C}_6\text{H}_4-(R^{31})_r$

[wherein  $R^{31}$  is a halogen atom; a lower alkyl which has optionally a substituent selected from a halogen atom and hydroxy; hydroxy; a lower alkoxy; a lower alkanoyloxy; a lower alkylthio; a lower alkanoyl; carboxy; a lower alkoxycarbonyl; cyano; nitro; an amino which has optionally

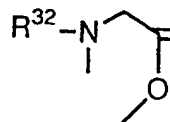
a substituent selected from a lower alkyl and a lower alkanoyl; phenyl; a cycloalkyl; a lower alkanoyloxy-substituted lower alkoxy; a carboxy-substituted lower alkoxy; a halogen-substituted lower alkoxy; a carbamoyl-substituted lower alkoxy; a hydroxy-substituted lower alkoxy; a lower alkoxycarbonyl-substituted lower alkoxy; a phthalimido-substituted lower alkoxy; an aminocarbonyl-lower alkoxy having a lower alkyl substituent; or a group of the formula:  $-O-F-N^{21}R^{22}$  (F is a lower alkylene, and  $R^{21}$  and  $R^{22}$  are the same or different and are each hydrogen atom, a lower alkyl having optionally a hydroxy substituent, a lower alkanoyl, or benzoyl, or  $R^{21}$  and  $R^{22}$  may bind together with the nitrogen atom to which they bond to form a 5- or 6-membered saturated heterocyclic group with or without being intervened with nitrogen or oxygen atom wherein the heterocyclic group has optionally a substituent selected from piperidiny1 and a lower alkyl); and r is an integer of 0 to 3], a phenyl-lower alkoxycarbonyl, a lower alkanoyl, a phenyl-lower alkanoyl, a cycloalkyl-lower alkanoyl, a cycloalkylcarbonyl, tricyclo[3.3.1.1]decanylcabonyl, naphthylcarbonyl, pyridylcarbonyl, furoyl, thenoyl, a phenoxy-lower alkanoyl wherein the phenyl ring has optionally 1 to 3 substituents selected from a lower alkyl, a lower alkoxy and an amino having optionally a lower alkanoyl substituent, a phthalimido-substituted lower alkanoyl, a lower alkoxycarbonyl-lower alkanoyl, a carboxy-lower alkanoyl, a naphthyloxy-lower alkanoyl, a halogen-substituted lower alkanoyl, a group of the formula:

$\text{—CO—}$    $\text{N—R}^{23}$  (wherein  $\text{R}^{23}$  is hydrogen atom, a lower alkyl, a phenyl-lower alkoxycarbonyl, a carbamoyl-lower alkyl, an amino-lower alkanoyl having optionally a lower alkyl substituent, or a lower alkanoyl), an anilinocarbonyl which has optionally a lower alkyl substituent on the phenyl ring, phenoxycarbonyl, a phenylsulfonyl which has optionally a substituent selected from a halogen atom and a lower alkyl on the phenyl ring, quinolylsulfonyl, or a group of the formula:  $\text{—CO—G—(CO)}_s\text{—NR}^{24}\text{R}^{25}$  (wherein G is a lower alkylene, s is an integer of 0 or 1, and  $\text{R}^{24}$  and  $\text{R}^{25}$  are the same or different and are each hydrogen atom, a lower alkyl having optionally a hydroxy substituent, a cycloalkyl, a phenyl-lower alkyl, a lower alkanoyl, a lower alkenyl, a phenoxy-lower alkyl, a phenyl which has optionally 1 to 3 substituents selected from an amino-lower alkyl having optionally a lower alkanoyl substituent, a lower alkyl, a lower alkoxy and a halogen atom, a phthalimido-substituted lower alkyl, an amino-lower alkyl having optionally a lower alkanoyl substituent, a lower alkynyl, or an amino-lower alkyl having optionally a lower alkyl substituent, or  $\text{R}^{24}$  and  $\text{R}^{25}$  may bind together with the nitrogen atom to which they bond to form a 5- or 6-membered saturated heterocyclic group with or without being intervened with nitrogen or oxygen atom wherein the heterocyclic group has optionally a substituent selected from a lower alkyl, a lower alkoxycarbonyl and piperidinyl),

$\text{R}^{26}$  is hydrogen atom or a lower alkyl,

$\text{R}^{27}$  is a cycloalkyl, or a phenyl which has

W is a group of the formula:  $-(CH_2)_t-$  (t is an integer of 3 to 5), or a group of the formula:  $-CH=CH-(CH_2)_v-$  (v is an integer of 1 to 3), the carbon atom of these groups:  $-(CH_2)_t-$  and  $-CH=CH-(CH_2)_v-$  being optionally replaced by oxygen atom, sulfur atom, sulfinyl, sulfonyl, or a group of the formula:  $-NR^{28}-$  ( $R^{28}$  is hydrogen atom, a cycloalkyl, or a lower alkyl), and further said  $-(CH_2)_t-$  and  $-CH=CH-(CH_2)_v-$  groups having optionally 1 to 3 substituents selected from a lower alkyl having optionally a hydroxy substituent, a lower alkoxy, a lower alkoxy-carbonyl, a lower alkoxy-carboxy, a lower alkoxy-oxo, a lower alkoxy-alkanoyloxy having optionally a halogen substituent, an amino-lower alkyl having optionally a substituent selected from a lower alkyl and a lower alkanoyl, a lower alkanoyloxy-substituted lower alkyl, a lower alkyl sulfonyloxy-lower alkyl, an azido-lower alkyl, a group of the formula:  $-OCH_2-$ , an aminocarbonyloxy having optionally a lower alkyl substituent, a lower alkoxy, a lower alkoxy-carbonyl-substituted lower alkoxy, a lower alkoxy-carboxy-substituted lower alkoxy, an aminocarbonyl-lower alkoxy having optionally a lower alkyl substituent, an amino-lower alkoxy having optionally a substituent selected from a lower alkyl and a lower alkanoyl, a phthalimido-substituted lower alkoxy, hydroxyimino, a lower alkanoyloxy-imino, a lower alkylidene, a halogen atom, azido, sulfoxyimino, a group of the formula:  $R^{32}-N-\text{C}(=O)-$  ( $R^{32}$  is hydrogen atom or a lower



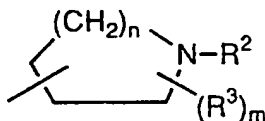
alkyl), hydrazino, pyrrolyl, an amino-lower alkanoyloxy having optionally a lower alkyl substituent, a group of the formula:  $-O-F-CO-NR^{33}R^{34}$  (F is as defined above, and  $R^{33}$  and  $R^{34}$  are the same or different and are each hydrogen atom, a lower alkyl, a carbamoyl-substituted lower alkyl, a hydroxy-substituted lower alkyl, or a pyridyl-lower alkyl, or  $R^{33}$  and  $R^{34}$  may bind together with nitrogen atom to which they bond to form a 5- or 6-membered saturated heterocyclic group with or without being intervened with nitrogen, oxygen or sulfur atom wherein the heterocyclic group has optionally a substituent selected from oxo, a lower alkyl, a lower alkanoyl, and carbamoyl), or a group of the formula:  $-(CO)_s-NR^{29}R^{30}$  (wherein s is as defined above, and  $R^{29}$  and  $R^{30}$  are the same or different and are each hydrogen atom, a lower alkyl, a lower alkenyl, a lower alkanoyl, a cycloalkyl, an oxiranyl-substituted lower alkyl, a lower alkyl having optionally 1 to 2 substituents selected from a lower alkoxy, hydroxy and an amino having optionally a lower alkyl substituent, a phenyl-lower alkyl, a pyridyl-lower alkyl, a lower alkylsulfonyl, benzoyl, a lower alkoxy-carbonyl, anilinocarbonyl, an aminocarbonyl having optionally a lower alkyl substituent, a cyano-substituted lower alkyl, a lower alkoxy-carbonyl-substituted lower alkyl, a carbamoyl-substituted lower alkyl, a carboxy-substituted lower alkyl, a tetrahydropyranyloxy-substituted lower alkyl, a lower alkanoyloxy-substituted lower alkyl, a piperidinyl having optionally a phenyl-lower alkyl substituent on the piperidinyl ring, a halogen-substituted lower alkanoyl, an

imidazolyl-substituted lower alkanoyl, an amino-lower alkanoyl having optionally a substituent selected from a lower alkyl and a lower alkoxy carbonyl, an aminocarbonyl-lower alkyl having optionally a lower alkyl substituent, or a phenyl-lower alkoxy carbonyl, or  $R^{29}$  and  $R^{30}$  may bind together with nitrogen atom to which they bond to form a 5- or 6-membered saturated heterocyclic group with or without being intervened with nitrogen or oxygen, wherein the heterocyclic group may optionally have a substituent selected from a lower alkyl, a phenyl-lower alkyl and a lower alkanoyl, or a pharmaceutical acceptable salt thereof, in admixture with a pharmaceutically acceptable carrier or diluent.

2. The oxytocin antagonist according to claim 1, wherein the active ingredient is a carbostyryl derivative of the formula (1) as set forth in claim 1, or a pharmaceutically acceptable salt thereof.

3. The oxytocin antagonist according to claim 1, wherein the active ingredient is a benzoheterocyclic compound of the formula (2) as set forth in claim 1 or a pharmaceutically acceptable salt thereof.

4. The oxytocin antagonist according to claim 2, wherein R is a group of the formula:



in which  $R^2$ ,  $R^3$ , m and n are the same as defined above.

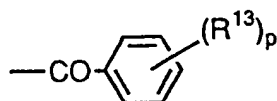
5. The oxytocin antagonist according to claim 2,

wherein R is a group of the formula:



in which  $R^{10}$  is the same as defined above.

6. The oxytocin antagonist according to claim 4, wherein  $R^2$  is a group of the formula:

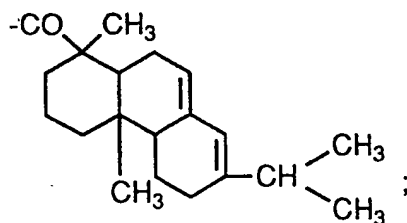


in which  $R^{13}$  and p are the same as defined above.

7. The oxytocin antagonist according to claim 4, wherein  $R^2$  is hydrogen atom; a lower alkoxy-carbonyl; a phenoxycarbonyl wherein the phenyl ring may optionally be substituted by one to three substituents selected from nitro and an amino having optionally one or two substituents selected from a lower alkanoyl, a lower alkyl and benzoyl; a phenyl(lower)alkenylcarbonyl; a phenyl(lower)alkanoyl wherein the lower alkanoyl moiety may optionally be substituted by an amino having optionally a lower alkoxy-carbonyl substituent; an alkanoyl; an alkenylcarbonyl; a phenylsulfonyl wherein the phenyl ring may optionally be substituted by a lower alkoxy; a group of the formula:  $-CONR^8R^9$  (wherein  $R^8$  and  $R^9$  are the same or different and are each hydrogen atom or a phenyl which may optionally have one to three substituents selected from a lower alkoxy, a lower alkyl, a halogen atom, an amino having optionally one or two substituents selected from a lower alkyl and a lower



alkanoyl, and nitro); a heterocyclic group-substituted carbonyl wherein the heterocyclic group may optionally have one to three substituents selected from a phenyl(lower)-alkoxycarbonyl, a phenyl(lower)alkoxy, oxo, a lower alkyl, and a lower alkylenedioxy); a group of the formula:



naphthylcarbonyl; a thienyl(lower)alkanoyl; a tricyclo-[3.3.1.1]decanyl(lower)alkanoyl, or tricyclo[3.3.1.1]-decanylcarbonyl.

8. The oxytocin antagonist according to claim 6, wherein  $R^{13}$  is a group of the formula:  $-O-A-(E)_p-NR^4R^5$  (in which A, E,  $p$ ,  $R^4$  and  $R^5$  are the same as defined above), and  $p$  is an integer of 1 to 3.

9. The oxytocin antagonist according to claim 6, wherein  $R^{13}$  is an alkoxy which has one or two substituents selected from hydroxy, a lower alkanoyloxy, a tri(lower)-alkylammonium, a lower alkoxy, and a group of the formula:  $-NR^{14}R^{15}$  [wherein  $R^{14}$  and  $R^{15}$  are the same or different and are each hydrogen atom, a lower alkyl, a hydroxy-substituted lower alkyl, a lower alkanoyl, a tetrahydropyranyl(lower)-alkyl, phenyl, a phenyl(lower)alkyl (wherein the alkyl moiety may optionally be substituted by hydroxy and the phenyl ring may optionally be substituted by a lower alkoxy), or a pyridyl(lower)alkyl; or  $R^{14}$  and  $R^{15}$  may bind

together with the nitrogen atom to which they bond to form a 5- or 6-membered, saturated heterocyclic group which may be intervened or not with nitrogen, oxygen or sulfur atom (wherein the heterocyclic group may optionally be substituted by a member selected from carbamoyl, a lower alkyl, a phenyl(lower)alkyl, phenyl and a hydroxy-substituted lower alkyl)], and p is an integer of 1 to 3.

10. The oxytocin antagonist according to claim 6, wherein R<sup>13</sup> is hydroxy; an alkoxy; a carboxy-substituted alkoxy; a halogen-substituted lower alkoxy; a lower alkoxy-carbonyl-substituted alkoxy; a lower alkanoyloxy-substituted lower alkoxy; a lower alkenyloxy-substituted lower alkoxy; a lower alkoxy(lower)alkoxy; a lower alkylsulfonyloxy-substituted lower alkoxy; a benzoyloxy-substituted lower alkoxy; tricyclo[3.3.1.1]decanyl-substituted lower alkoxy; a lower alkoxy(lower)alkoxy which is substituted by one or two substituents selected from hydroxy and an amino being optionally substituted by a lower alkyl; a morpholinyl-substituted lower alkoxy which may optionally be substituted by a lower alkyl or oxo; a benzimidazolylthio-substituted lower alkoxy; a benzimidazolylsulfinyl-substituted lower alkoxy; a carbamoyloxy-substituted lower alkoxy; a lower alkylthio-substituted lower alkoxy; a lower alkylsulfonyl-substituted lower alkoxy; a lower alkylsulfinyl-substituted lower alkoxy; an alkenyloxy; phenoxy; a lower alkanoyloxy; a lower alkylsulfonyloxy; a lower alkynyloxy; a phenyl(lower)-alkoxy; a cycloalkyl; a cycloalkyloxy; a cycloalkenyloxy; imidazo-[4,5-c]pyridyl-carbonyl(lower)alkoxy; a group of the

formula:  $-(B)_l NR^6R^7$  (wherein  $l$  is as defined above,  $B$  is a lower alkylene or a group of  $-CO-$ , and  $R^6$  and  $R^7$  are the same or different and are each hydrogen atom, a lower alkyl, a lower alkanoyl having optionally one to three halogen substituents, a carboxy(lower)alkyl, a lower alkoxycarbonyl, a lower alkoxycarbonyl(lower)alkyl, a lower alkenyl, an amido-substituted lower alkyl having optionally a lower alkyl substituent, or a phenyl(lower)alkoxycarbonyl, or  $R^6$  and  $R^7$  may bind together with nitrogen atom to which they bond to form a 5- or 6-membered, saturated or unsaturated heterocyclic group which may be intervened or not with nitrogen, oxygen or sulfur atom, wherein the heterocyclic group may optionally have a substituent selected from a lower alkoxycarbonyl, a lower alkyl, a lower alkylthio, or oxo); nitro; a halogen atom; a lower alkylsulfonyl; a lower alkyl which may optionally have one to three substituents selected from a halogen atom, hydroxy, phenyl and a lower alkoxy; a cyano-substituted lower alkoxy; an oxiranyl-substituted lower alkoxy; a phthalimido-substituted alkoxy; an amidino-substituted lower alkoxy, a pyrrolyl-substituted lower alkoxy; cyano; a lower alkoxycarbonyl; amidino; carbamoyl; carboxy; a lower alkanoyl; benzoyl; a lower alkoxycarbonyl(lower)alkyl; a carboxy(lower)alkyl; a lower alkoxy(lower)alkyl; a lower alkanoyloxy(lower)alkyl; hydroxyimino-substituted lower alkyl; phenyl; a lower alkylthio; a lower alkylsulfinyl; a lower alkenyl having optionally a hydroxy substituent; a lower alkylenedioxy, a lower alkylsilyl; a pyrimidylthio-substituted lower alkoxy;

a pyrimidylsulfinyl-substituted lower alkoxy; a pyrimidylsulfonyl-substituted lower alkoxy; an imidazolylthio-substituted lower alkoxy which may optionally have a lower alkyl substituent; an imidazolylsulfonyl-substituted lower alkoxy which may optionally have a lower alkyl substituent; an ammonium-lower alkoxy having three substituents selected from lower alkyl, lower alkenyl and oxo; a phenylthio-substituted lower alkoxy wherein the phenyl ring may optionally have a substituent selected from nitro and amino; a phenylsulfonyl-substituted lower alkoxy wherein the phenyl ring may optionally have a substituent selected from nitro and an amino having optionally one or two substituents selected from a lower alkanoyl and lower alkyl; a pyridylthio-substituted lower alkoxy; or a pyridylsulfonyl-substituted lower alkoxy wherein the pyridyl ring may optionally be substituted by oxo.

11. The oxytocin antagonist according to claim 8, wherein  $\alpha$  is 0.

12. The oxytocin antagonist according to claim 11, wherein  $R^4$  and  $R^5$  are the same or different and each hydrogen atom, a lower alkanoyl which may optionally have one to three substituents of a halogen atom.

13. The oxytocin antagonist according to claim 11, wherein  $R^4$  and  $R^5$  may bind together with the nitrogen atom to which they bond to form a 5- or 6-membered, saturated or unsaturated heterocyclic group which may be intervened or not with nitrogen, oxygen or sulfur atom, in which the heterocyclic group may optionally be substituted by a member

selected from a phenyl having optionally a substituent selected from a lower alkoxy and a halogen atom, oxo, hydroxy, a lower alkenyl, carboxy, a phenyl(lower)alkyl having optionally a hydroxy substituent on the lower alkyl moiety, a lower alkanoyl, a lower alkyl having optionally a hydroxy substituent, benzoyl, an amido having optionally a lower alkyl substituent, anilinocarbonyl, a benzoyl(lower)-alkyl, a lower alkylsulfonyl, piperidinyl, pyrimidinyl, pyridyl, and a lower alkoxycarbonyl.

14. The oxytocin antagonist according to claim 13, wherein the 5- or 6-membered, saturated or unsaturated heterocyclic group which is formed by binding the groups R<sup>4</sup> and R<sup>5</sup> together with the nitrogen atom to which they bond and may be intervened or not with nitrogen, oxygen or sulfur atom is pyrrolidinyl, piperidinyl, piperazinyl, morpholino, thiomorpholino, pyrrolyl, pyrazoly, imidazolyl, imidazolidinyl, 1,2,4-triazolyl, 1,2,3,4-tetrazolyl, pyrrolinyl, imidazolinyl, pyrazolinyl, pyrazolidinyl, oxazolinyl, oxazolidinyl, isoxazolinyl, isoxazolidinyl, thiazolinyl, thiazolidinyl, isothiazolinyl or isothiazolidinyl.

15. The oxytocin antagonist according to any one of claim 12 and 14, wherein R<sup>1</sup> is hydrogen atom or a halogen atom, and the bond between 3-position and 4-position is single bond.

16. The oxytocin antagonist according to claim 2, wherein the active ingredient is a compound selected from 1-[1-[4-(3-acetylamino-propoxy)benzoyl]-4-piperidinyl]-3,4-

dihydrocarbostyryl, 1-{1-[4-(4-acetylamino-butoxy)benzoyl]-4-piperidinyl}-3,4-dihydrocarbostyryl, 1-{1-[4-(5-acetylamino-pentyloxy)benzoyl]-4-piperidinyl}-3,4-dihydrocarbostyryl, 1-{1-[4-(3-carbamoyloxypropoxy)benzoyl]-4-piperidinyl}-3,4-dihydrocarbostyryl, 7-fluoro-1-{1-[4-(3-acetylamino-propoxy)benzoyl]-4-piperidinyl}-3,4-dihydrocarbostyryl, 1-{1-[4-[5-(1-pyrrolidinyl)pentyloxy]benzoyl]-4-piperidinyl}-3,4-dihydrocarbostyryl, 1-{1-[4-(6-diethylamino-5-hydroxyhexyloxy)benzoyl]-4-piperidinyl}-3,4-dihydrocarbostyryl, 1-{1-[4-[5-hydroxy-6-(1-pyrrolidinyl)hexyloxy]benzoyl]-4-piperidinyl}-3,4-dihydrocarbostyryl, 1-{1-[4-[5-hydroxy-6-dimethylamino-hexyloxy]benzoyl]-4-piperidinyl}-3,4-dihydrocarbostyryl, 1-{1-[4-(4-hydroxy-5-dimethylaminopentyloxy)benzoyl]-4-piperidinyl}-3,4-dihydrocarbostyryl, 1-{1-[4-(7-hydroxy-8-diethylamino-octyloxy)benzoyl]-4-piperidinyl}-3,4-dihydrocarbostyryl, 1-{1-[4-(5-diethylaminopentyloxy)benzoyl]-4-piperidinyl}-3,4-dihydrocarbostyryl and a pharmaceutically acceptable salt thereof.

17. The oxytocin antagonist according to claim 3, wherein  $R^{16}$  is hydrogen atom, and  $R^{17}$  is hydrogen atom, a halogen atom, a lower alkoxy or a lower alkyl.

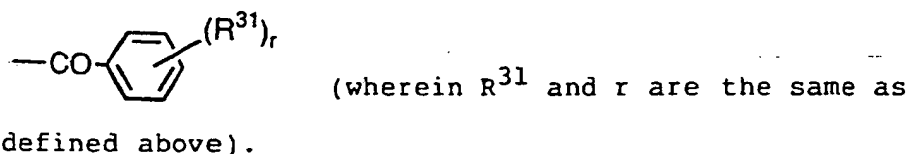
18. The oxytocin antagonist according to claim 3, wherein  $R^{16}$  is a halogen atom, and  $R^{17}$  is hydrogen atom, a halogen atom, a lower alkoxy or a lower alkyl.

19. The oxytocin antagonist according to claim 3, wherein  $R^{16}$  is a lower alkyl, an amino having optionally a lower alkyl substituent, or a lower alkoxy, and  $R^{17}$  is hydrogen atom, a halogen atom, a lower alkoxy or a lower

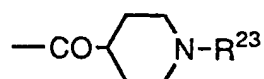
alkyl.

20. The oxytocin antagonist according to claim 3, wherein  $R^{17}$  is a phenyl(lower)alkoxy, hydroxy, an amino having optionally a lower alkyl substituent, a carbamoyl-substituted lower alkoxy, an amino-substituted lower alkoxy having optionally a lower alkyl substituent, or a benzyloxy which has optionally a halogen substituent on the phenyl ring.

21. The oxytocin antagonist according to claim 17, wherein  $R^{18}$  is a group of the formula:  $-NR^{19}R^{20}$ , in which  $R^{19}$  is hydrogen atom and  $R^{20}$  is a group of the formula:



22. The oxytocin antagonist according to claim 3, wherein  $R^{18}$  is a group of the formula:  $-NR^{19}R^{20}$ , in which  $R^{19}$  is hydrogen atom, and  $R^{20}$  is a phenyl-lower alkoxy-carbonyl, a lower alkanoyl, a phenyl-lower alkanoyl, a cycloalkyl-lower alkanoyl, a cycloalkylcarbonyl, tricyclo-[3.3.1.1]decanylcabonyl, naphthylcarbonyl, pyridylcarbonyl, furoyl, thenoyl, a phenoxy-lower alkanoyl wherein the phenyl ring has optionally 1 to 3 substituents selected from a lower alkyl, a lower alkoxy and an amino having optionally a lower alkanoyl substituent, a phthalimido-substituted lower alkanoyl, a lower alkoxy-carbonyl-lower alkanoyl, a carboxy-lower alkanoyl, a naphthyloxy-lower alkanoyl, a halogen-substituted lower alkanoyl, a group of the formula:



(wherein  $\text{R}^{23}$  is hydrogen atom, a lower alkyl, a phenyl-lower alkoxy-carbonyl, a carbamoyl-lower alkyl, an amino-lower alkanoyl having optionally a lower alkyl substituent, or a lower alkanoyl), an anilinocarbonyl which has optionally a lower alkyl substituent on the phenyl ring, phenoxycarbonyl, a phenylsulfonyl which has optionally a substituent selected from a halogen atom and a lower alkyl on the phenyl ring, quinolylsulfonyl, or a group of the formula:  $-\text{CO}-\text{G}-(\text{CO})_s-\text{NR}^{24}\text{R}^{25}$  (wherein G is a lower alkylene, s is 0 or an integer of 1, and  $\text{R}^{24}$  and  $\text{R}^{25}$  are the same or different and are each hydrogen atom, a lower alkyl having optionally a hydroxy substituent, a cycloalkyl, a phenyl-lower alkyl, a lower alkanoyl, a lower alkenyl, a phenoxy-lower alkyl, a phenyl which has optionally 1 to 3 substituents selected from an amino-lower alkyl having optionally a lower alkanoyl substituent, a lower alkyl, a lower alkoxy and a halogen atom, a phthalimido-substituted lower alkyl, an amino-lower alkyl having optionally a lower alkanoyl substituent, a lower alkynyl, or an amino-lower alkyl having optionally a lower alkyl substituent, or  $\text{R}^{24}$  and  $\text{R}^{25}$  may bind together with the nitrogen atom to which they bond to form a 5- or 6-membered saturated heterocyclic group with or without being intervened with nitrogen or oxygen atom wherein the heterocyclic group has optionally a substituent selected from a lower alkyl, a lower alkoxy-carbonyl and piperidinyl).

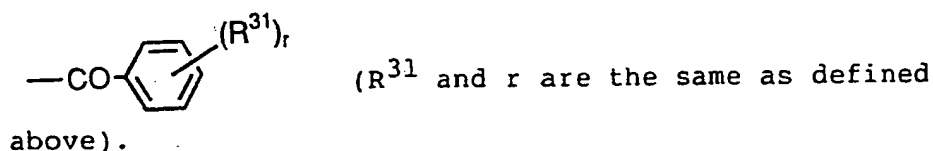
23. The oxytocin antagonist according to claim 3, wherein  $\text{R}^{18}$  is a group of the formula:  $-\text{CONR}^{26}\text{R}^{27}$  in which



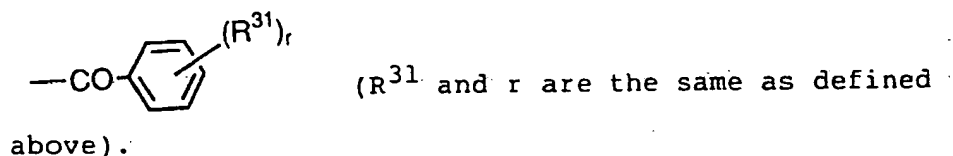
$R^{26}$  and  $R^{27}$  are the same as defined above.

24. The oxytocin antagonist according to claim 3, wherein  $R^{18}$  is a group of the formula:  $-NR^{19}R^{20}$  in which  $R^{19}$  is a lower alkyl, and  $R^{20}$  is the same as defined above.

25. The oxytocin antagonist according to claim 18, wherein  $R^{18}$  is a group of the formula:  $-NR^{19}R^{20}$  in which  $R^{19}$  is hydrogen atom, and  $R^{20}$  is a group of the formula:



26. The oxytocin antagonist according to claim 19, wherein  $R^{18}$  is a group of the formula:  $-NR^{19}R^{20}$  in which  $R^{19}$  is hydrogen atom, and  $R^{20}$  is a group of the formula:



27. The oxytocin antagonist according to any one of claims 21, 25 and 26, wherein  $R^{31}$  is a halogen atom or a lower alkyl which has optionally a substituent selected from a halogen atom and hydroxy.

28. The oxytocin antagonist according to claim 3, wherein W is a group of the formula:  $-(\text{CH}_2)_t-$ , in which t is 4, and the carbon atom thereof is not replaced by any oxygen atom, sulfur atom, sulfinyl, sulfonyl, or a group of the formula:  $-NR^{28}-$  ( $R^{28}$  is the same as defined above), and the group of the formula:  $-(\text{CH}_2)_t-$  has no substituent.

29. The oxytocin antagonist according to claim 3, wherein W is a group of the formula:  $-(\text{CH}_2)_t-$ , in which t is

4, and the carbon atom thereof is not replaced by any oxygen atom, sulfur atom, sulfinyl, sulfonyl, or a group of the formula:  $-NR^{28}-$  ( $R^{28}$  is the same as defined above), and the group of the formula:  $-(CH_2)_t-$  has a substituent of the formula:  $-(CO)_s-NR^{29}R^{30}$  ( $R^{29}$  and  $R^{30}$  are the same as defined above).

30. The oxytocin antagonist according to claim 29, wherein  $s$  is 0,  $R^{29}$  and  $R^{30}$  are the same or different and each hydrogen atom, a lower alkyl or a cycloalkyl.

31. The oxytocin antagonist according to claim 3, wherein  $W$  is a group of the formula:  $-(CH_2)_t-$  in which  $t$  is 3.

32. The oxytocin antagonist according to claim 3, wherein  $W$  is a group of the formula:  $-(CH_2)_t-$  in which  $t$  is 4.

33. The oxytocin antagonist according to claim 3, wherein  $W$  is a group of the formula:  $-(CH_2)_t-$  in which  $t$  is 5.

34. The oxytocin antagonist according to claim 3, wherein  $W$  is a group of the formula:  $-CH=CH-(CH_2)_v-$  in which  $v$  is 1.

35. The oxytocin antagonist according to claim 3, wherein  $W$  is a group of the formula:  $-CH=CH-(CH_2)_v-$  in which  $v$  is 2.

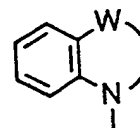
36. The oxytocin antagonist according to claim 3, wherein  $W$  is a group of the formula:  $-CH=CH-(CH_2)_v-$  in which  $v$  is 3.

37. The oxytocin antagonist according to claim 3,

wherein W is a group of the formula:  $-(CH_2)_t-$  in which t is 4, and the carbon atom thereof is not replaced by any oxygen atom, sulfur atom, sulfinyl, sulfonyl, or a group of the formula:  $-NR^{28}-$  ( $R^{28}$  is the same as defined above), and the group of the formula:  $-(CH_2)_t-$  has 1 to 3 substituents selected from a lower alkyl having optionally a hydroxy substituent, hydroxy, an amino-lower alkyl having optionally a substituent selected from a lower alkyl and a lower alkanoyl, an amino-lower alkanoyloxy having optionally a lower alkyl substituent, a group of the formula:  $-O-F-CO-NR^{33}R^{34}$  (F,  $R^{33}$  and  $R^{34}$  are the same as defined above).

38. The oxytocin antagonist according to claim 3, wherein W is a group of the formula:  $-(CH_2)_t-$  in which t is 4, and the carbon atom thereof is not replaced by any oxygen atom, sulfur atom, sulfinyl, sulfonyl, or a group of the formula:  $-NR^{28}-$  ( $R^{28}$  is the same as defined above), and the group of the formula:  $-(CH_2)_t-$  has 1 to 3 substituents selected from a lower alkyl having optionally a hydroxy-substituent, and hydroxy.

39. The oxytocin antagonist according to claim 32, wherein the heterocyclic group of the formula:



is 2,3,4,5-tetrahydro-1H-benzazepine.

40. The oxytocin antagonist according to claim 3, wherein the active ingredient is a compound selected from 5-dimethylamino-1-[4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine, 7-chloro-5-hydroxy-1-[2-methyl-4-

(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzoazepine, 7-chloro-5-hydroxy-1-[2-methoxy-4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine, 7-fluoro-5-hydroxy-1-[2-chloro-4-(2-methylbenzoylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine, and a pharmaceutically acceptable salt thereof.

41. A method for the protection or treatment of premature delivery, dysmenorrhea, endometritis, or for stopping labour preparatory to Caesarian delivery, which comprises administering the oxytocin antagonist as set forth in claim 1 to a warm-blooded animal including a human being.

42. A method for the protection or treatment of premature delivery, dysmenorrhea, endometritis, or for stopping labour preparatory to Caesarian delivery, which comprises administering the oxytocin antagonist as set forth in claim 2 to a warm-blooded animal including a human being.

43. A method for the protection or treatment of premature delivery, dysmenorrhea, endometritis, or for stopping labour preparatory to Caesarian delivery, which comprises administering the oxytocin antagonist as set forth in claim 3 to a warm-blooded animal including a human being.

44. A method for the protection or treatment of premature delivery, dysmenorrhea, endometritis, or for stopping labour preparatory to Caesarian delivery, which comprises administering the oxytocin antagonist as set forth

in claim 16 to a warm-blooded animal including a human being.

45. A method for the protection or treatment of premature delivery, dysmenorrhea, endometritis, or for stopping labour preparatory to Caesarian delivery, which comprises administering the oxytocin antagonist as set forth in claim 40 to a warm-blooded animal including a human being.

46. A method for antagonizing oxytocin participating in uterin smooth muscle constriction, which comprises administering the oxytocin antagonist as set forth in claim 1 to a warm-blooded animal including a human being.

## INTERNATIONAL SEARCH REPORT

International Application No

PCT/JP 93/00835

<b>I. CLASSIFICATION OF SUBJECT MATTER</b> (If several classification symbols apply, indicate all) <sup>6</sup>		
According to International Patent Classification (IPC) or to both National Classification and IPC		
Int.Cl. 5 A61K31/47; A61K31/55	A61K31/44;	A61K31/495; A61K31/535
<b>II. FIELDS SEARCHED</b>		
Minimum Documentation Searched <sup>7</sup>		
Classification System	Classification Symbols	
Int.Cl. 5	A61K	
Documentation Searched other than Minimum Documentation to the Extent that such Documents are Included in the Fields Searched <sup>8</sup>		
<b>III. DOCUMENTS CONSIDERED TO BE RELEVANT<sup>9</sup></b>		
Category <sup>10</sup>	Citation of Document, <sup>11</sup> with indication, where appropriate, of the relevant passages <sup>12</sup>	Relevant to Claim No. <sup>13</sup>
X	EP,A,0 382 185 (OTSUKA PHARMACEUTICAL CO., LTD) 16 August 1990 cited in the application see abstract	1-2, 4-16
Y	see page 317, line 31 - line 57; claims	41-42, 44, 46
X	WO,A,9 105 549 (OTSUKA PHARMACEUTICAL COMPANY, LIMITED) 2 May 1991 cited in the application see abstract; claims	1, 3, 17-40
Y		41, 43, 45-46
	---	-/--
<p><sup>10</sup> Special categories of cited documents : <sup>10</sup></p> <p>"A" document defining the general state of the art which is not considered to be of particular relevance</p> <p>"E" earlier document but published on or after the international filing date</p> <p>"L" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)</p> <p>"O" document referring to an oral disclosure, use, exhibition or other means</p> <p>"P" document published prior to the international filing date but later than the priority date claimed</p> <p>"T" later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention</p> <p>"X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step</p> <p>"Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art</p> <p>"A" document member of the same patent family</p>		
<b>IV. CERTIFICATION</b>		
Date of the Actual Completion of the International Search	Date of Mailing of this International Search Report	
17 SEPTEMBER 1993	30.09.93	
International Searching Authority	Signature of Authorized Officer	
EUROPEAN PATENT OFFICE	HOFF P.J.	

III. DOCUMENTS CONSIDERED TO BE RELEVANT (CONTINUED FROM THE SECOND SHEET)		
Category *	Citation of Document, with indication, where appropriate, of the relevant passages	Relevant to Claim No.
Y	EP,A,0 421 802 (MERCK & CO. INC.) 10 April 1991 cited in the application see abstract see page 8, line 50 - line 56; claims ---	41,43, 45-46
Y	THE JOURNAL OF LABORATORY AND CLINICAL MEDICINE vol. 114, no. 6, 1989, pages 617 - 632 M. MANNING ET AL. 'DISCOVERY, DEVELOPMENT, AND SOME USES OF VASOPRESSIN AND OXYTOCIN ANTAGONISTS' see the whole document, in particular pages 618 and 619 ---	41-46
Y	THIRD INTERNATIONAL VASOPRESSIN CONFERENCE August 1990, pages 339 - 347 M. AKERLUND 'MECHANISMS BY WHICH VASOPRESSIN INDUCES PAIN OF PRIMARY DYSMENORRHOEA AND THE USE OF VASOPRESSIN AND OXYTOCIN ANTAGONISTS IN THE MANAGEMENT OF PRIMARY DYSMENORRHOEA AND PRETERM LABOUR' see the whole document ---	41-46
Y	AMERICAN JOURNAL OF OBSTETRICS AND GYNECOLOGY vol. 161, 1989, pages 1637 - 1643 M. IVANISEVIC ET AL. 'VASOPRESSIN RECEPTORS IN HUMAN PREGNANT MYOMETRIUM AND DECIDUA: INTERACTIONS WITH OXYTOCIN AND VASOPRESSIN AGONISTS AND ANTAGONISTS' see the whole document, in particular pages 1637 and 1642 ---	41-46
X	LIFE SCIENCES vol. 50, no. 25, June 1992, pages 1953 - 1958 D.J. PETTIBONE ET AL. 'RADIOLIGAND BINDING STUDIES REVEAL MARKED SPECIES DIFFERENCES IN THE VASOPRESSIN V1 RECEPTOR OF RAT, RHESUS AND HUMAN TISSUES' see the whole document -----	1-2,4,6, 8,11,12, 15-16, 41-42,44

**ANNEX TO THE INTERNATIONAL SEARCH REPORT  
ON INTERNATIONAL PATENT APPLICATION NO.**

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SA 75314

This annex lists the patent family members relating to the patent documents cited in the above-mentioned international search report.  
The members are as contained in the European Patent Office EDP file on  
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17/09/93

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		JP-A- 4154765	27-05-92
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For more details about this annex : see Official Journal of the European Patent Office, No. 12/82